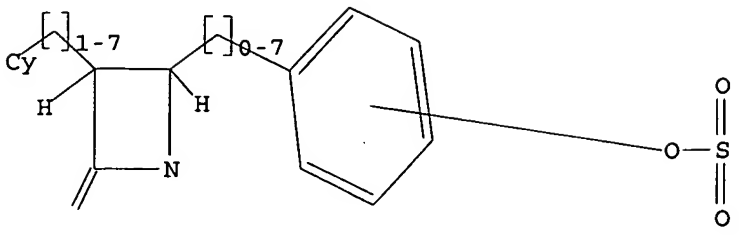
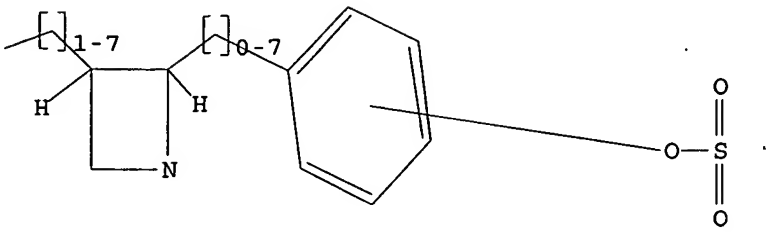
=> d L1
L1 HAS NO ANSWERS
L1 STR



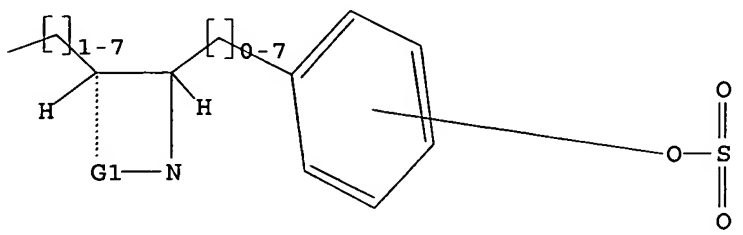
Structure attributes must be viewed using STN Express query preparation.

=> d l4
L4 HAS NO ANSWERS
L4 STR



Structure attributes must be viewed using STN Express query preparation.

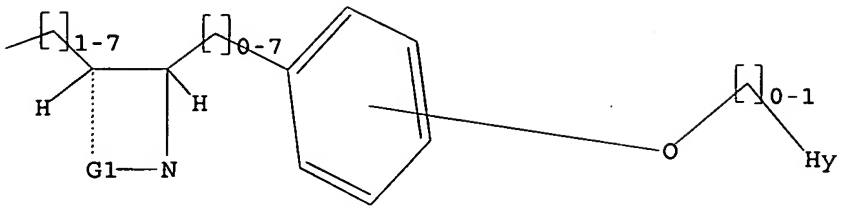
=> d L8
L8 HAS NO ANSWERS
L8 STR



G1 C,O,N

Structure attributes must be viewed using STN Express query preparation.

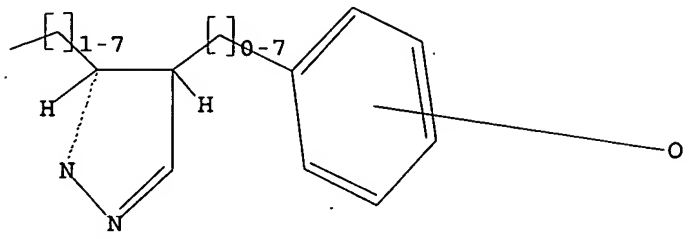
=> d L14
L14 HAS NO ANSWERS
L14 STR



G1 C,O,N

Structure attributes must be viewed using STN Express query preparation.

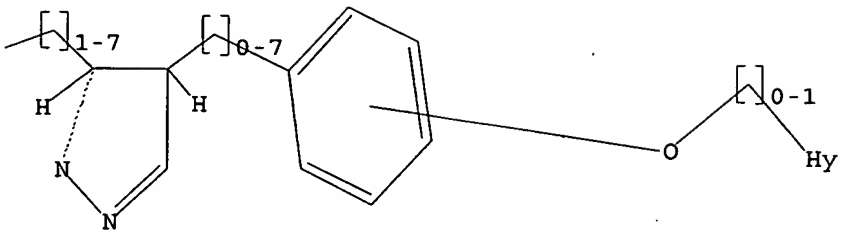
=> d L4
L4 HAS NO ANSWERS
L4 STR



G1 C,O,N

Structure attributes must be viewed using STN Express query preparation.

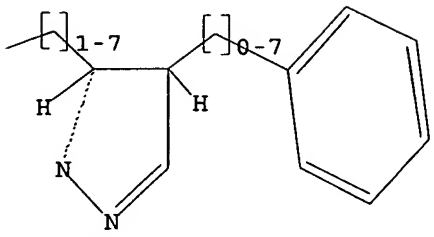
=> d L1
L1 HAS NO ANSWERS
L1 STR



G1 C,O,N

Structure attributes must be viewed using STN Express query preparation.

=> d L7
L7 HAS NO ANSWERS
L7 STR



G1 C,O,N

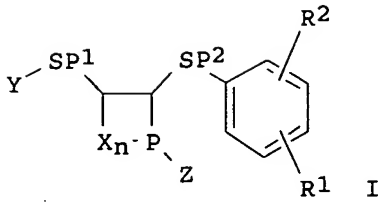
Structure attributes must be viewed using STN Express query preparation.

L7 ANSWER 8 OF 12 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2005:315621 CAPLUS
DOCUMENT NUMBER: 142:392600
TITLE: Preparation of hypocholesterolemic glycosides for the treatment and prevention of atherosclerosis and for the reduction of cholesterol levels
INVENTOR(S): Carreira, Erick; Kvaerno, Lisbet; Werder, Moritz; Hauser, Helmut; Ritter, Tobias
PATENT ASSIGNEE(S): Lipideon Biotechnology Ag, Switz.
SOURCE: Eur. Pat. Appl., 39 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

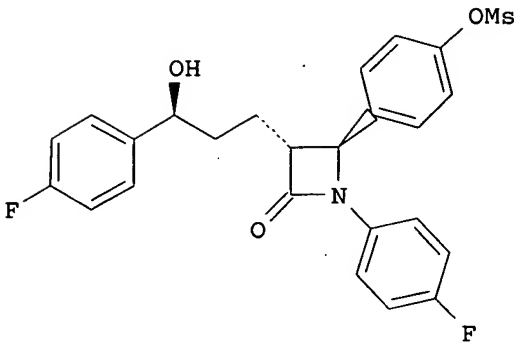
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 1522541	A1	20050413	EP 2003-405719	20031007
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
AU 2004278061	A1	20050414	AU 2004-278061	20040915
CA 2541822	A1	20050414	CA 2004-2541822	20040915
WO 2005033100	A1	20050414	WO 2004-CH584	20040915
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
EP 1670788	A1	20060621	EP 2004-761924	20040915
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK				
CN 1878770	A	20061213	CN 2004-80033017	20040915
JP 2007507433	T	20070329	JP 2006-529544	20040915
IN 2006MN00395	A	20070824	IN 2006-MN395	20060405
US 2007275909	A1	20071129	US 2007-575025	20070425

PRIORITY APPLN. INFO.: EP 2003-405719 A 20031007
WO 2004-CH584 W 20040915

OTHER SOURCE(S): CASREACT 142:392600; MARPAT 142:392600
GI



I



II

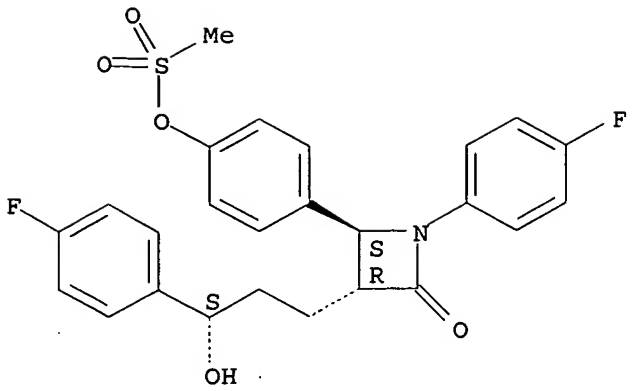
AB The present invention relates to novel hypocholesterolemic compds. I, wherein P is N, C; X is CH2, sp2 hybridized carbon, O, NH, CO, CS; n is 1, 2; R1 is H, alkyl, OR3, O(CO)R3, O(CO)OR3, O(CO)NR3R4, NR3R4, NR3(CO)R4, COOR3, CONR3R4, CH=CHCOOR3, CF3, CN, NO2, SO3H, PO3H or halogen, wherein R3 and R4 represent H or lower alkyl; R2 is H, OH, substituted oxy-sulfonyl; Z is aryl, heteroaryl; SP1 is a spacer unit, such as a straight-chain or branched lower alkyl; SP2 is a spacer unit, such as a covalent bond or a straight-chain or branched lower alkyl; Y is aryl, heteroaryl, is useful in the treatment and prevention of atherosclerosis and for the reduction of cholesterol levels as well as to pharmaceutical compns. comprising said compds. alone or in combination with other active agents. Thus, azetidinone II was prepared and tested for the treatment and prevention of atherosclerosis and for the reduction of cholesterol levels. Title compds. were evaluated by well-established methods to determine their inhibition of cholesterol uptake in rabbit brush border membrane vesicles (15-27 % inhibition compared to 16 % inhibition for ezetimide).

IT 849799-24-2P 849799-26-4P 849799-32-2P
849799-38-8P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of hypocholesterolemic glycosides for treatment and prevention of atherosclerosis and for redn of cholesterol levels)

RN 849799-24-2 CAPLUS

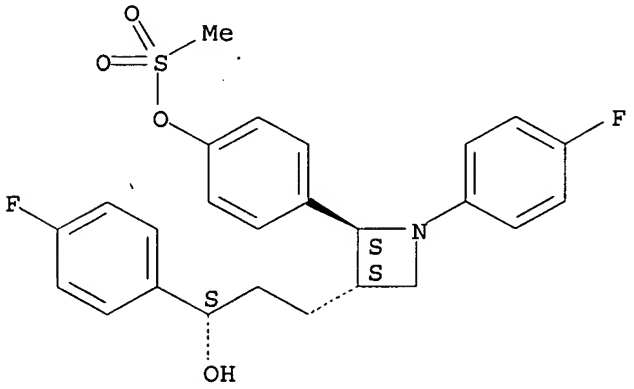
CN 2-Azetidinone, 1-(4-fluorophenyl)-3-[(3S)-3-(4-fluorophenyl)-3-hydroxypropyl]-4-[4-[(methylsulfonyl)oxy]phenyl]-, (3R,4S)- (CA INDEX NAME)

Absolute stereochemistry.



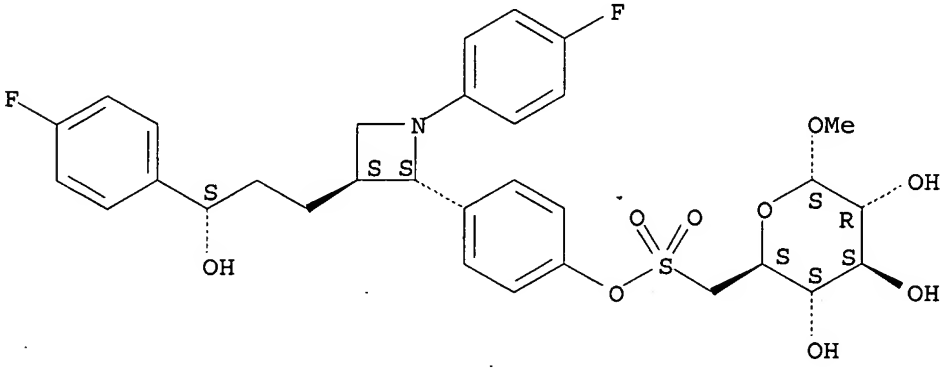
RN 849799-26-4 CAPLUS
CN 3-Azetidinepropanol, α,1-bis(4-fluorophenyl)-2-[4-[(methylsulfonyl)oxy]phenyl]-, (αS,2S,3S)- (CA INDEX NAME)

Absolute stereochemistry.



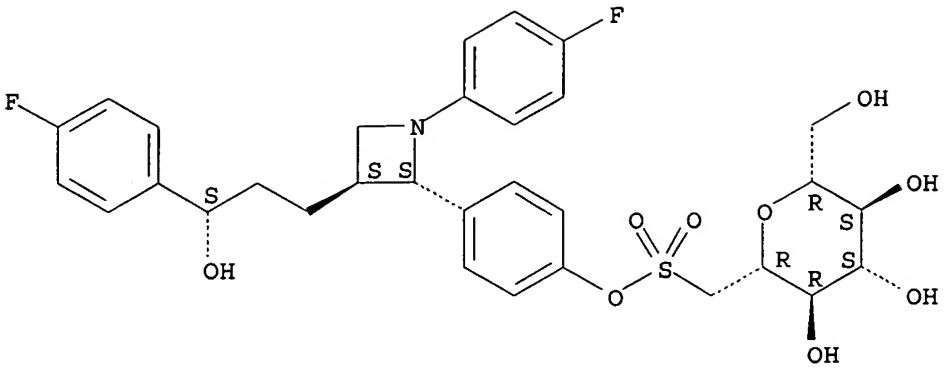
RN 849799-32-2 CAPLUS
CN α-D-Glucopyranoside, methyl 6-deoxy-6-[[4-[(2S,3S)-1-(4-fluorophenyl)-3-[(3S)-3-(4-fluorophenyl)-3-hydroxypropyl]-2-azetidinyl]phenoxy]sulfonyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 849799-38-8 CAPLUS
CN D-glycero-D-gulo-Heptitol, 2,6-anhydro-1-deoxy-1-[[4-[(2S,3R)-1-(4-fluorophenyl)-3-[(3S)-3-(4-fluorophenyl)-3-hydroxypropyl]-2-azetidinyl]phenoxy]sulfonyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

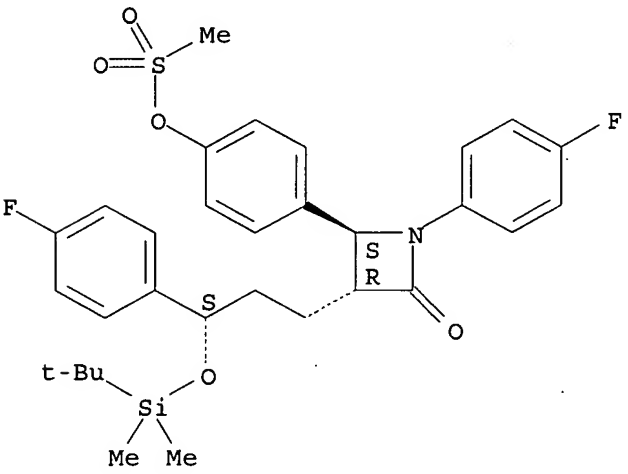


IT 849799-23-1P 849799-25-3P 849799-29-7P
849799-30-0P 849799-31-1P 849799-34-4P
849799-35-5P 849799-36-6P 849799-37-7P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of hypocholesterolemic glycosides for treatment and prevention of atherosclerosis and for redn of cholesterol levels)

RN 849799-23-1 CAPLUS

CN 2-Azetidinone, 3-[(3S)-3-[[(1,1-dimethylethyl)dimethylsilyl]oxy]-3-(4-fluorophenyl)propyl]-1-(4-fluorophenyl)-4-[4-[(methylsulfonyl)oxy]phenyl]-, (3R,4S)- (CA INDEX NAME)

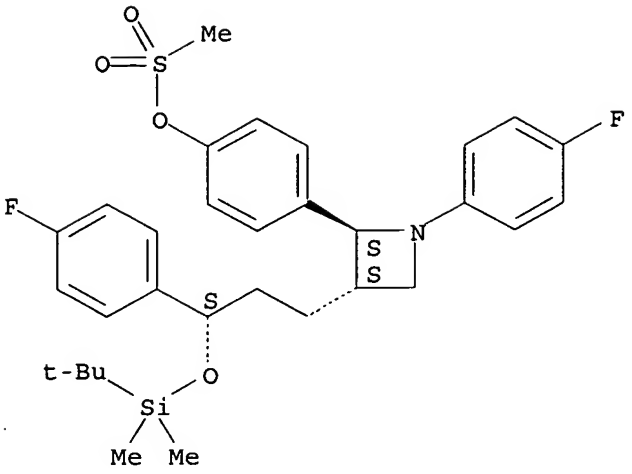
Absolute stereochemistry.



RN 849799-25-3 CAPLUS

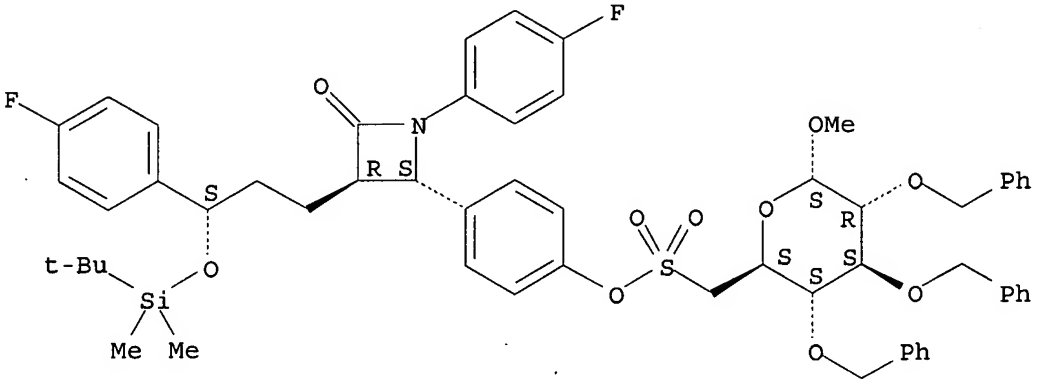
CN Phenol, 4-[(2S,3S)-3-[(3S)-3-[[(1,1-dimethylethyl)dimethylsilyl]oxy]-3-(4-fluorophenyl)propyl]-1-(4-fluorophenyl)-2-azetidinyl]-, methanesulfonate (ester) (9CI) (CA INDEX NAME)

Absolute stereochemistry.



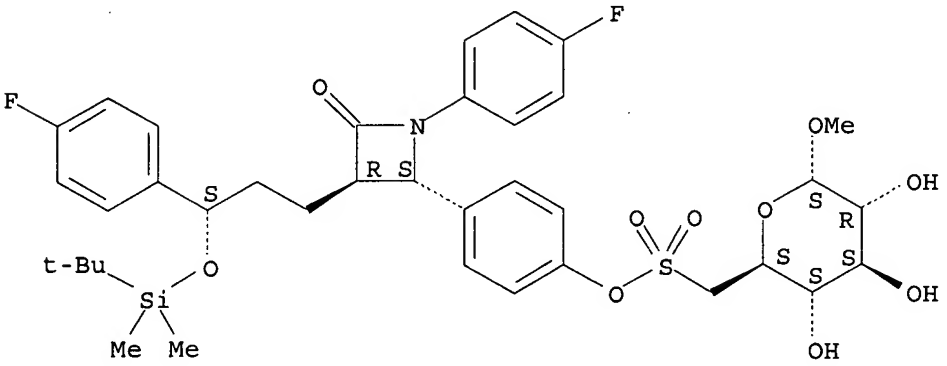
RN 849799-29-7 CAPLUS
CN α-D-Glucopyranoside, methyl 6-deoxy-6-[[4-[(2S,3R)-3-[(3S)-3-[[(1,1-dimethylethyl)dimethylsilyl]oxy]-3-(4-fluorophenyl)propyl]-1-(4-fluorophenyl)-4-oxo-2-azetidinyl]phenoxy]sulfonyl]-2,3,4-tris-O-(phenylmethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



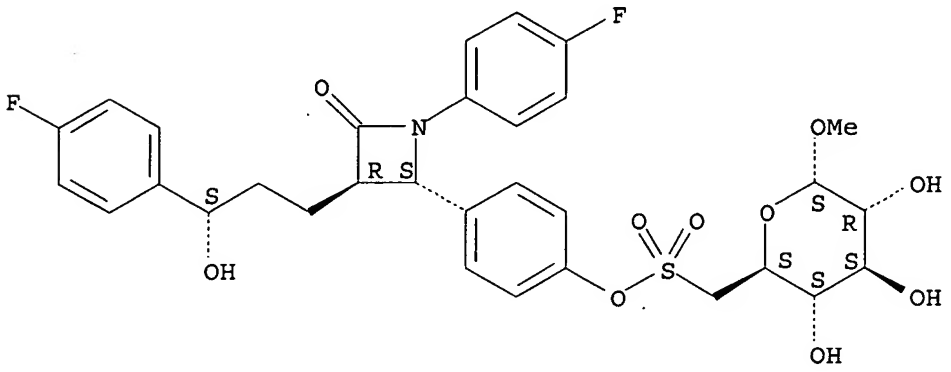
RN 849799-30-0 CAPLUS
CN α-D-Glucopyranoside, methyl 6-deoxy-6-[[4-[(2S,3R)-3-[(3S)-3-[[(1,1-dimethylethyl)dimethylsilyl]oxy]-3-(4-fluorophenyl)propyl]-1-(4-fluorophenyl)-4-oxo-2-azetidinyl]phenoxy]sulfonyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



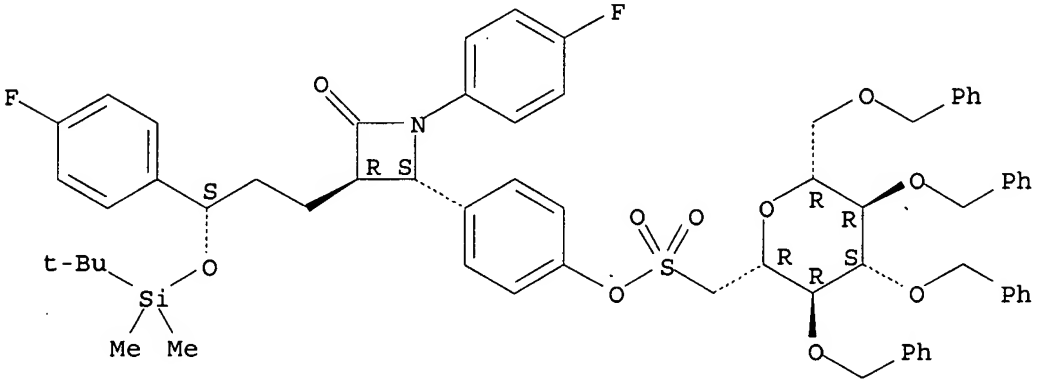
RN 849799-31-1 CAPLUS
CN α-D-Glucopyranoside, methyl 6-deoxy-6-[[4-[(2S,3R)-1-(4-fluorophenyl)-3-[(3S)-3-(4-fluorophenyl)-3-hydroxypropyl]-4-oxo-2-azetidinyl]phenoxy]sulfonyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



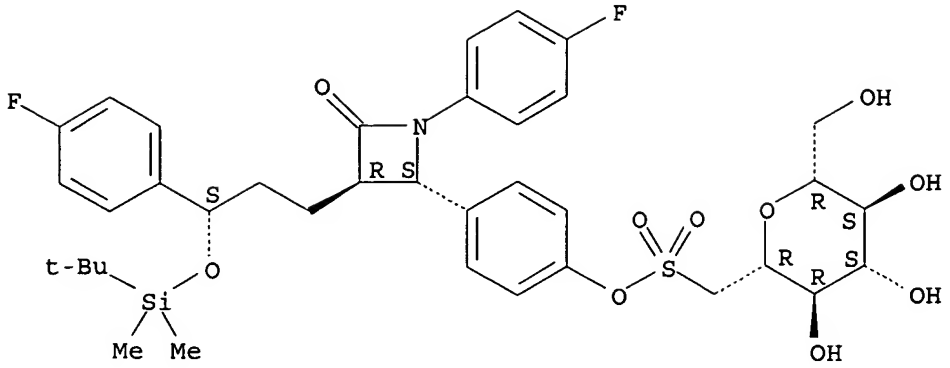
RN 849799-34-4 CAPLUS
CN D-glycero-D-gulo-Heptitol, 2,6-anhydro-1-deoxy-1-[[4-[(2S,3R)-3-[(3S)-3-[[(1,1-dimethylethyl)dimethylsilyl]oxy]-3-(4-fluorophenyl)propyl]-1-(4-fluorophenyl)-4-oxo-2-azetidinyl]phenoxy]sulfonyl]-3,4,5,7-tetrakis-O-(phenylmethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



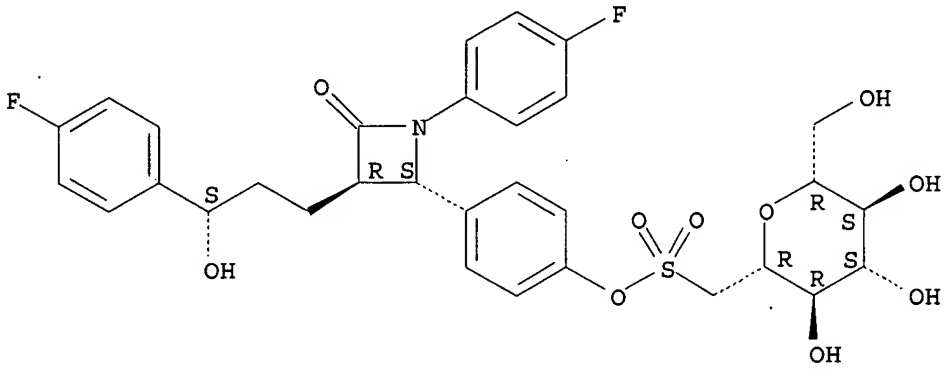
RN 849799-35-5 CAPLUS
CN D-glycero-D-gulo-Heptitol, 2,6-anhydro-1-deoxy-1-[[4-[(2S,3R)-3-[(3S)-3-[[(1,1-dimethylethyl)dimethylsilyl]oxy]-3-(4-fluorophenyl)propyl]-1-(4-fluorophenyl)-4-oxo-2-azetidinyl]phenoxy]sulfonyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



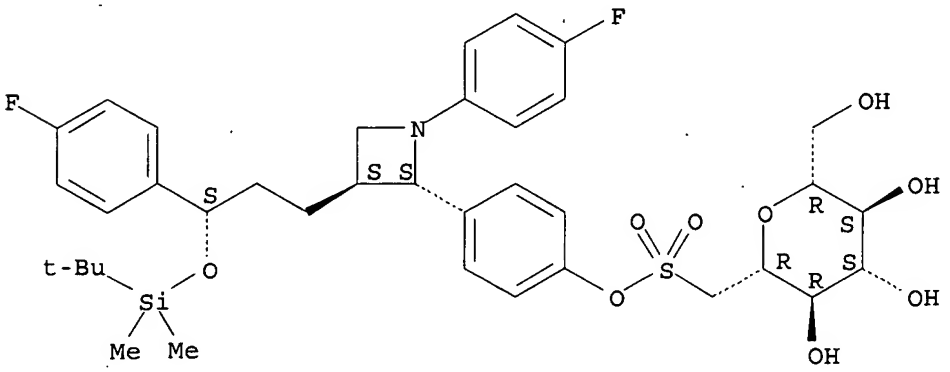
RN 849799-36-6 CAPLUS
CN D-glycero-D-gulo-Heptitol, 2,6-anhydro-1-deoxy-1-[[4-[(2S,3R)-1-(4-fluorophenyl)-3-[(3S)-3-(4-fluorophenyl)-3-hydroxypropyl]-4-oxo-2-azetidinyl]phenoxy]sulfonyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 849799-37-7 CAPLUS
CN D-glycero-D-gulo-Heptitol, 2,6-anhydro-1-deoxy-1-[[4-[(2S,3S)-3-[(3S)-3-[[(1,1-dimethylethyl)dimethylsilyl]oxy]-3-(4-fluorophenyl)propyl]-1-(4-fluorophenyl)-2-azetidinyl]phenoxy]sulfonyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 27 THERE ARE 27 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 9 OF 12 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2005:216794 CAPLUS

DOCUMENT NUMBER: 142:297976
TITLE: Preparation of bis- and tris(arylpropyl)(aryl)oxoazetidinylphenyl-substituted compounds as antihypercholesteremic and antihyperlipidemic agents
INVENTOR(S): Martinez, Eduardo J.; Talley, John Jeffrey
PATENT ASSIGNEE(S): Microbia, Inc., USA
SOURCE: PCT Int. Appl., 72 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
WO 2005021497	A2	20050310	WO 2004-US27813		20040827
WO 2005021497	A3	20050609			
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW					
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG					
EP 1660446	A2	20060531	EP 2004-782312		20040827
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK					
US 2007161577	A1	20070712	US 2006-569561		20061010
PRIORITY APPLN. INFO.:			US 2003-498476P	P	20030828
			WO 2004-US27813	W	20040827

OTHER SOURCE(S): CASREACT 142:297976; MARPAT 142:297976
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Bis- and tris(arylpropyl)(aryl)oxoazetidinylphenyl-substituted compds. I [m = 0-3; n = 0-1; R1, R2 = H, halo, HO, NC, alkyl, alkoxy, alkylthio, H2N, alkylamino, alkylsulfonyl, arylsulfonyl, acyl, a sugar, a glucuronide, or a sugar carbamate; R3 = H, HO, F, alkoxy; R4 = H, F; R3R4 = O; R5 = H, halo, HO, NC, H2N, alkyl, alkoxy, alkylthio, alkylamino, alkylsulfonyl, arylsulfonyl, acyl; W = XAY or XA(Y)Z; if W = XAY, m + n = 2, otherwise m + n = 3; X, Y, Z = bond, O, S, NH, CH2O, CH2NH, OCH2C(:O)NH, OCH2C(:O)O, C(:O), C(:O)NH, NHC(:O), OC(:O), C(:O)O, NHC(:O)NH, OC(:O)NH, NHC(:O)O] such as II (B = 4-FC6H4) are prepared as antihypercholesteremic and antihyperlipidemic agents for the treatment of hyperlipidemia, arteriosclerosis, or coronary heart disease, for decreasing blood plasma or serum concns. of LDL cholesterol, cholesteryl esters, C-reactive protein, apolipoprotein B, or triglycerides, and for increasing the blood plasma or serum concentration of HDL cholesterol. Nonracemic azetidinone III (R = H) is triflated with N,N-bis(trifluoromethylsulfonyl)aniline in the presence of DMAP to yield III (R = F3CSO2); palladium-catalyzed coupling of III (R = F3CSO2) with 1,4-benzenediboronic acid yields II (B = 4-FC6H4). No biol. data are provided for I.

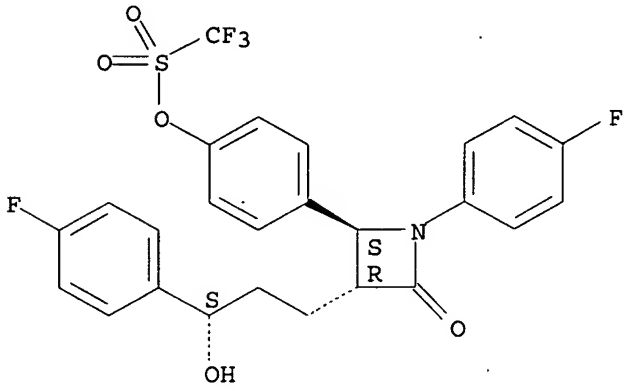
IT 847781-45-7P
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP

(Preparation); RACT (Reactant or reagent); USES (Uses)
(drug candidate; preparation of bis- and tris(arylpropyl)(aryl)oxoazetidinyl phenyl-substituted compds. as antihypercholesteremic and antihyperlipidemic agents)

RN 847781-45-7 CAPLUS

CN Methanesulfonic acid, trifluoro-, 4-[(2S,3R)-1-(4-fluorophenyl)-3-[(3S)-3-(4-fluorophenyl)-3-hydroxypropyl]-4-oxo-2-azetidinyl]phenyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L7 ANSWER 10 OF 12 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2005:175245 CAPLUS

DOCUMENT NUMBER: 142:392591

TITLE: Carbohydrate Sulfonyl Chlorides for Simple, Convenient Access to Glycoconjugates

AUTHOR(S): Kvrno, Lisbet; Werder, Moritz; Hauser, Helmut; Carreira, Erick M.

CORPORATE SOURCE: Laboratorium fuer Organische Chemie, ETH Hoenggerberg, Zurich, CH-8093, Switz.

SOURCE: Organic Letters (2005), 7(6), 1145-1148
CODEN: ORLEF7; ISSN: 1523-7060

PUBLISHER: American Chemical Society

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 142:392591

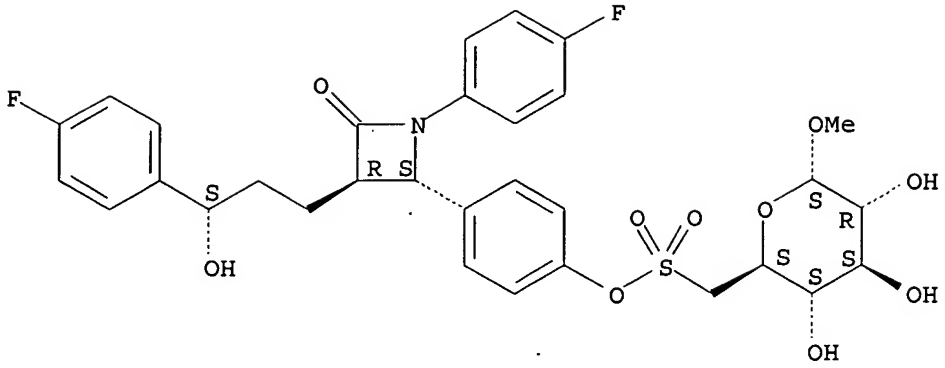
AB The use of carbohydrate sulfonyl chlorides is introduced as a new, facile glycoconjugation method which could find broad applications. We demonstrate the approach by synthesizing a number of glycosylated cholesterol absorption inhibitors which display high inhibitory efficacies in our recently established in vitro assay. Furthermore, we highlight an advantage of the electron-withdrawing nature of the sulfonyl linkage which allowed the synthesis of otherwise unstable azetidine conjugates.

IT 849799-31-1P
RL: BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent)
(preparation of glycosyl sulfonyl chlorides and their use as cholesterol absorption inhibitors)

RN 849799-31-1 CAPLUS

CN α-D-Glucopyranoside, methyl 6-deoxy-6-[[4-[(2S,3R)-1-(4-fluorophenyl)-3-[(3S)-3-(4-fluorophenyl)-3-hydroxypropyl]-4-oxo-2-azetidinyl]phenoxy]sulfonyl]- (9CI) (CA INDEX NAME)

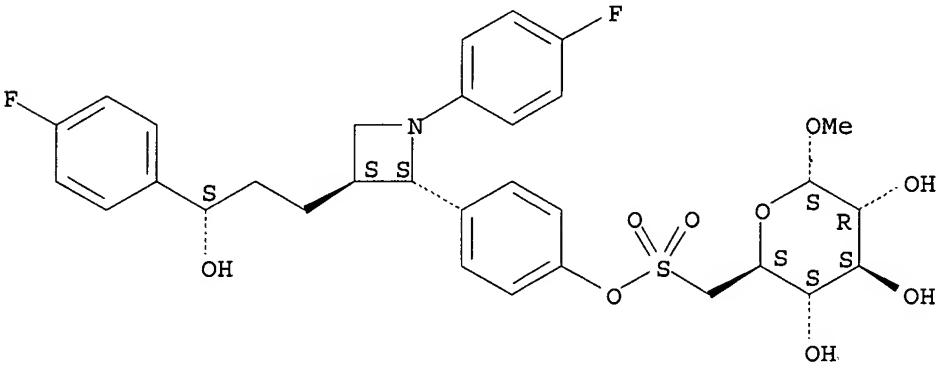
Absolute stereochemistry.



IT 849799-32-2P 849799-36-6P 849799-38-8P
850200-34-9P
RL: BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation of glycosyl sulfonyl chlorides and their use as cholesterol absorption inhibitors)

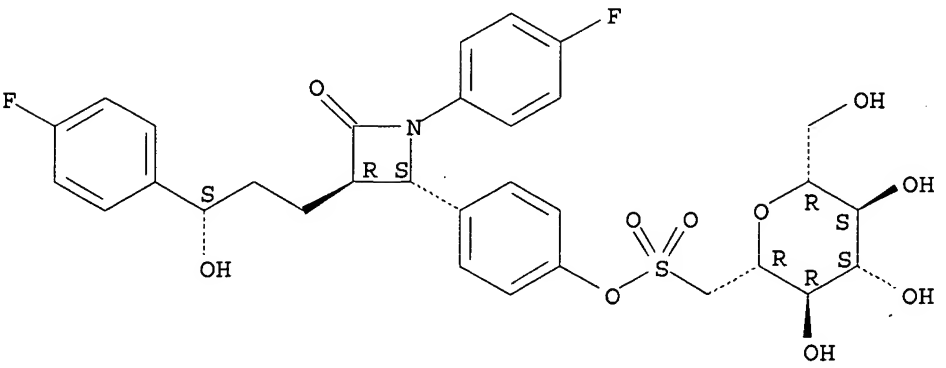
RN 849799-32-2 CAPLUS
CN α-D-Glucopyranoside, methyl 6-deoxy-6-[[4-[(2S,3S)-1-(4-fluorophenyl)-3-[(3S)-3-(4-fluorophenyl)-3-hydroxypropyl]-2-azetidinyl]phenoxy]sulfonyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



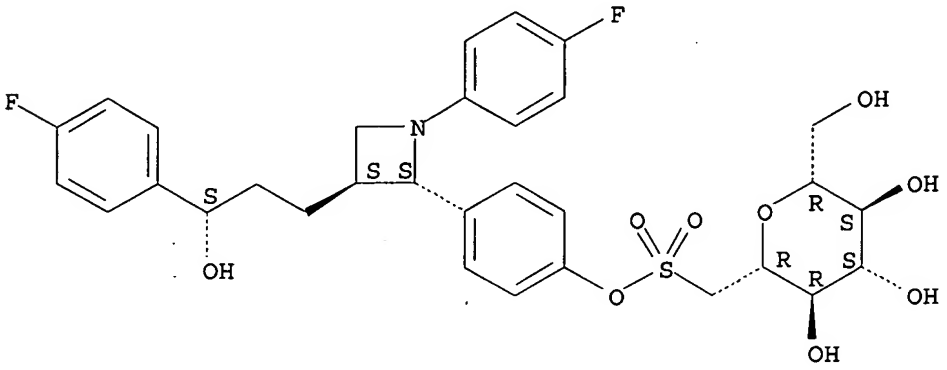
RN 849799-36-6 CAPLUS
CN D-glycero-D-gulo-Heptitol, 2,6-anhydro-1-deoxy-1-[[4-[(2S,3R)-1-(4-fluorophenyl)-3-[(3S)-3-(4-fluorophenyl)-3-hydroxypropyl]-4-oxo-2-azetidinyl]phenoxy]sulfonyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



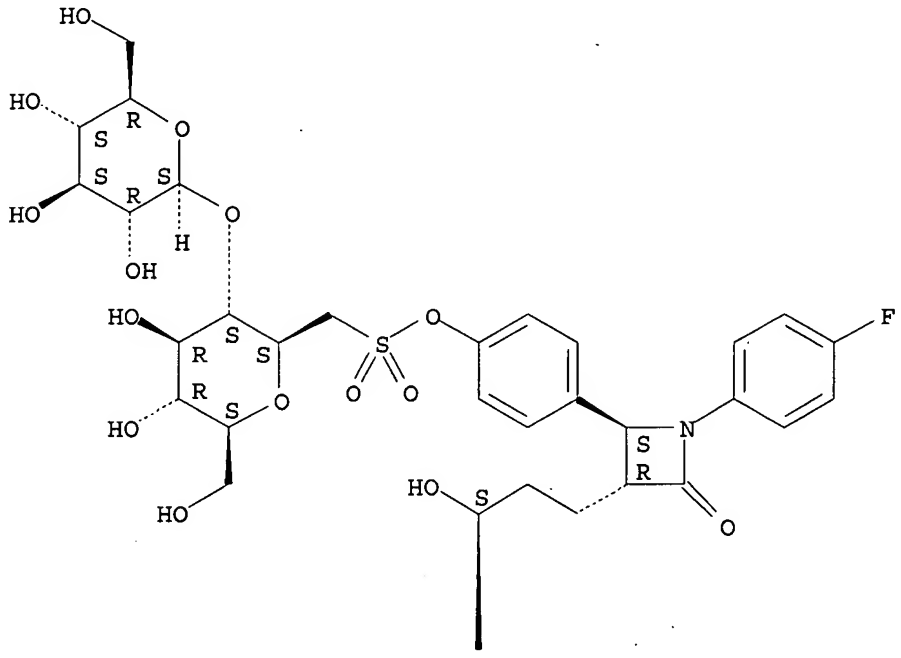
RN 849799-38-8 CAPLUS
CN D-glycero-D-gulo-Heptitol, 2,6-anhydro-1-deoxy-1-[[4-[(2S,3R)-1-(4-fluorophenyl)-3-[(3S)-3-(4-fluorophenyl)-3-hydroxypropyl]-2-azetidinyl]phenoxy]sulfonyl]- (9CI) (CA INDEX NAME)

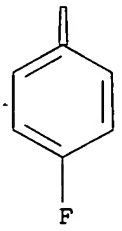
Absolute stereochemistry.



RN 850200-34-9 CAPLUS
CN D-glycero-D-gulo-Heptitol, 2,6-anhydro-7-deoxy-7-[[4-[(2S,3R)-1-(4-fluorophenyl)-3-[(3S)-3-(4-fluorophenyl)-3-hydroxypropyl]-4-oxo-2-azetidinyl]phenoxy]sulfonyl]-5-O-β-D-glucopyranosyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

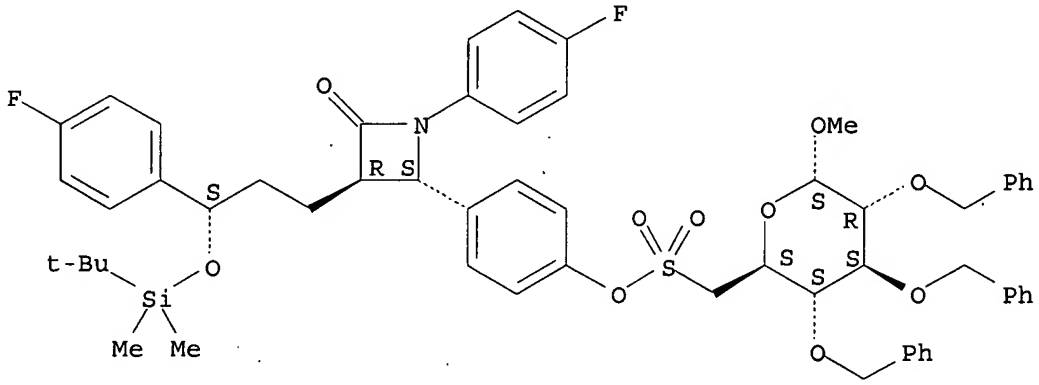




IT 849799-29-7P 849799-30-0P 849799-34-4P
849799-35-5P 849799-37-7P 866918-16-3P
866918-17-4P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of glycosyl sulfonyl chlorides and their use as cholesterol absorption inhibitors)

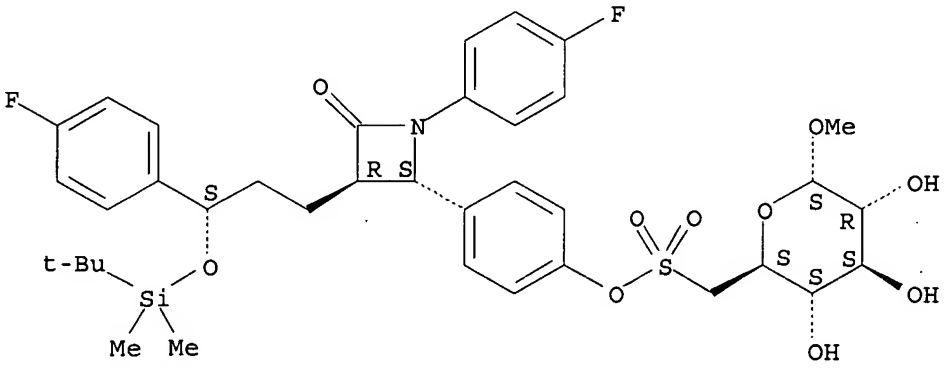
RN 849799-29-7 CAPLUS
CN α-D-Glucopyranoside, methyl 6-deoxy-6-[[4-[(2S,3R)-3-[(3S)-3-[[(1,1-dimethylethyl)dimethylsilyl]oxy]-3-(4-fluorophenyl)propyl]-1-(4-fluorophenyl)-4-oxo-2-azetidinyl]phenoxy]sulfonyl]-2,3,4-tris-O-(phenylmethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



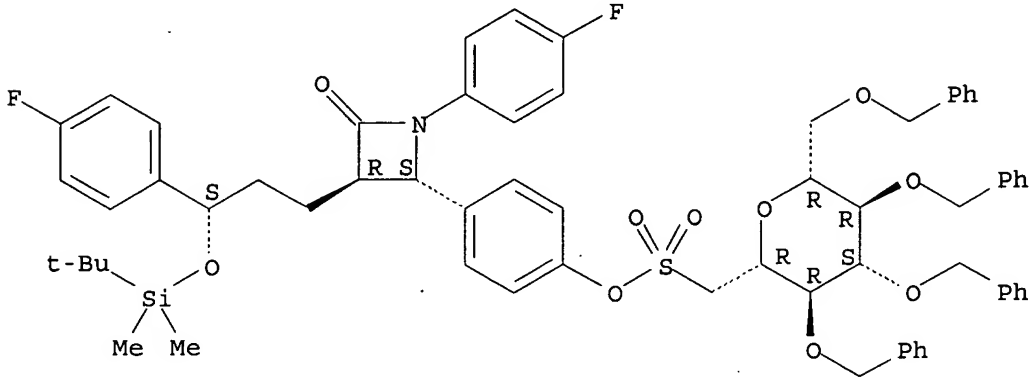
RN 849799-30-0 CAPLUS
CN α-D-Glucopyranoside, methyl 6-deoxy-6-[[4-[(2S,3R)-3-[(3S)-3-[[(1,1-dimethylethyl)dimethylsilyl]oxy]-3-(4-fluorophenyl)propyl]-1-(4-fluorophenyl)-4-oxo-2-azetidinyl]phenoxy]sulfonyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



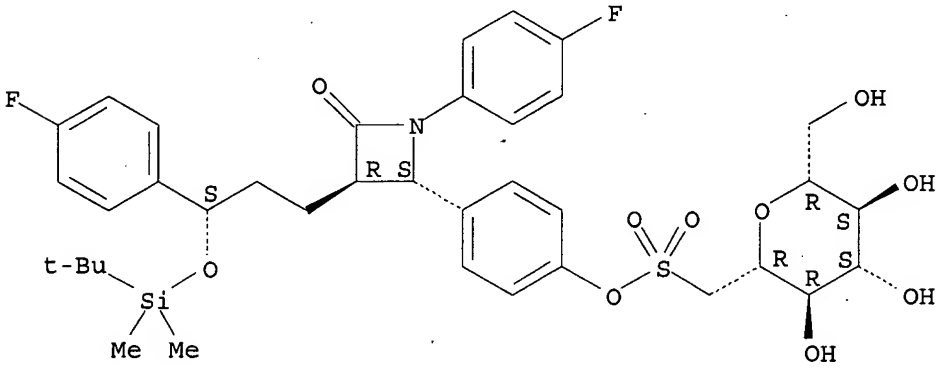
RN 849799-34-4 CAPLUS
CN D-glycero-D-gulo-Heptitol, 2,6-anhydro-1-deoxy-1-[[4-[(2S,3R)-3-[(3S)-3-[[(1,1-dimethylethyl)dimethylsilyl]oxy]-3-(4-fluorophenyl)propyl]-1-(4-fluorophenyl)-4-oxo-2-azetidinyl]phenoxy]sulfonyl]-3,4,5,7-tetrakis-O-(phenylmethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



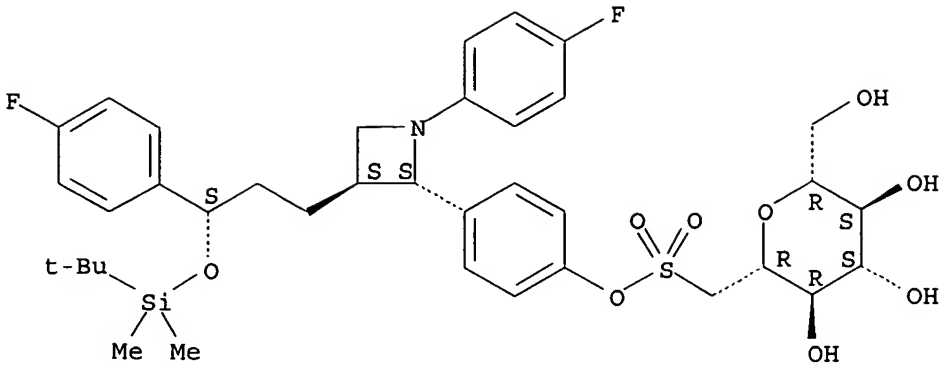
RN 849799-35-5 CAPLUS
CN D-glycero-D-gulo-Heptitol, 2,6-anhydro-1-deoxy-1-[[4-[(2S,3R)-3-[(3S)-3-[[(1,1-dimethylethyl)dimethylsilyl]oxy]-3-(4-fluorophenyl)propyl]-1-(4-fluorophenyl)-4-oxo-2-azetidinyl]phenoxy]sulfonyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 849799-37-7 CAPLUS
CN D-glycero-D-gulo-Heptitol, 2,6-anhydro-1-deoxy-1-[[4-[(2S,3S)-3-[(3S)-3-[[(1,1-dimethylethyl)dimethylsilyl]oxy]-3-(4-fluorophenyl)propyl]-1-(4-fluorophenyl)-2-azetidinyl]phenoxy]sulfonyl]- (9CI) (CA INDEX NAME)

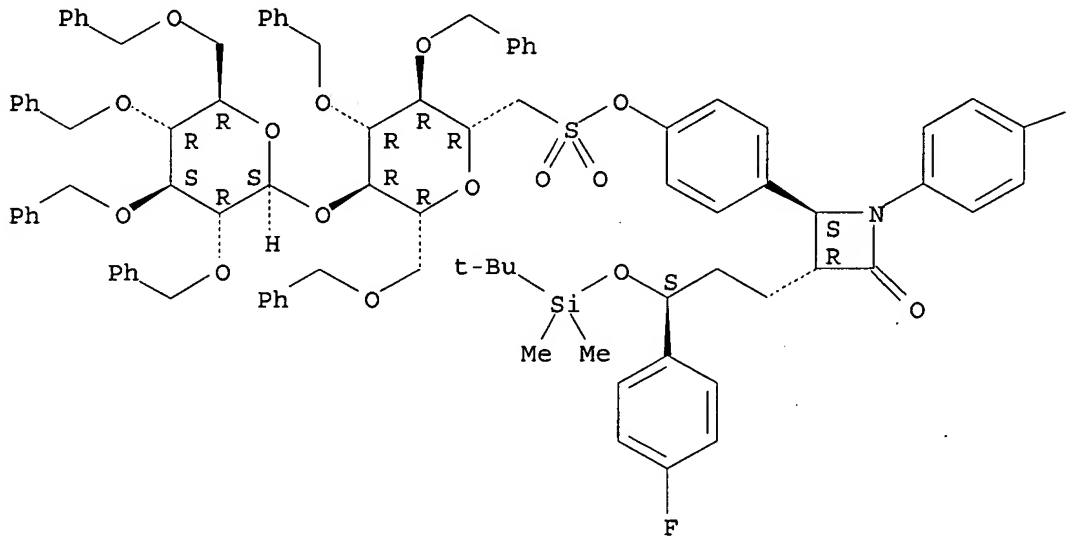
Absolute stereochemistry.



RN 866918-16-3 CAPLUS
CN D-gluco-D-gulo-Heptitol, 2,6-anhydro-1-deoxy-1-[[4-[(2S,3R)-3-[(3S)-3-[[(1,1-dimethylethyl)dimethylsilyl]oxy]-3-(4-fluorophenyl)propyl]-1-(4-fluorophenyl)-4-oxo-2-azetidinyl]phenoxy]sulfonyl]-3,4,7-tris-O-(phenylmethyl)-5-O-[2,3,4,6-tetrakis-O-(phenylmethyl)-β-D-glucopyranosyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

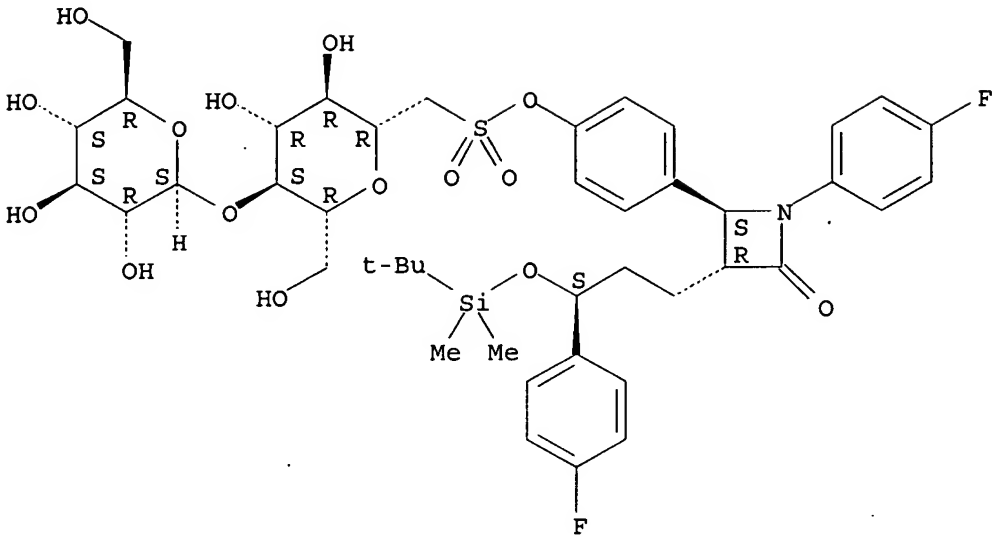
PAGE 1-A



PAGE 1-B

RN 866918-17-4 CAPLUS
CN D-gluco-D-gulo-Heptitol, 2,6-anhydro-1-deoxy-1-[[4-[(2S,3R)-3-[(3S)-3-[[(1,1-dimethylethyl)dimethylsilyl]oxy]-3-(4-fluorophenyl)propyl]-1-(4-fluorophenyl)-4-oxo-2-azetidinyl]phenoxy]sulfonyl]-5-O-β-D-glucopyranosyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 48 THERE ARE 48 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 11 OF 12 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2002:487523 CAPLUS
DOCUMENT NUMBER: 137:63113
TITLE: Method for producing novel 1,2-diphenylazetidinones, medicaments containing them, and their use for treating disorders of lipid metabolism
INVENTOR(S): Glombik, Heiner; Kramer, Werner; Flohr, Stefanie; Frick, Wendelin; Heuer, Hubert; Jaehne, Gerhard; Lindenschmidt, Andreas; Schaefer, Hans-Ludwig
PATENT ASSIGNEE(S): Aventis Pharma Deutschland GmbH, Germany
SOURCE: PCT Int. Appl., 77 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002050027	A1	20020627	WO 2001-EP14531	20011211
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
DE 10064398	A1	20020627	DE 2000-10064398	20001221
DE 10152981	A1	20030508	DE 2001-10152981	20011026
CA 2431983	A1	20020627	CA 2001-2431983	20011211
AU 200216097	A	20020701	AU 2002-16097	20011211
EE 200300236	A	20030815	EE 2003-236	20011211
EP 1345895	A1	20030924	EP 2001-271353	20011211
EP 1345895	B1	20061227		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				

BR 2001016325	A	20031014	BR 2001-16325		20011211
JP 2004516280	T	20040603	JP 2002-551524		20011211
HU 2004001081	A2	20040928	HU 2004-1081		20011211
NZ 526593	A	20050225	NZ 2001-526593		20011211
RU 2286985	C2	20061110	RU 2003-122218		20011211
AT 349425	T	20070115	AT 2001-271353		20011211
ES 2277890	T3	20070801	ES 2001-1271353		20011211
US 2002137689	A1	20020926	US 2001-21502		20011219
US 6992067	B2	20060131			
ZA 2003004093	A	20040423	ZA 2003-4093		20030527
MX 2003PA05155	A	20030910	MX 2003-PA5155		20030610
NO 2003002734	A	20030818	NO 2003-2734		20030616
IN 2003CN00956	A	20050422	IN 2003-CN956		20030617
HK 1060120	A1	20060310	HK 2004-102854		20040422
US 2005267038	A1	20051201	US 2005-155109		20050617
US 2007208179	A1	20070906	US 2007-797720		20070507
PRIORITY APPLN. INFO.:			DE 2000-10064398	A	20001221
			DE 2001-10152981	A	20011026
			WO 2001-EP14531	W	20011211
			US 2001-21502	A3	20011219
			US 2005-155109	A3	20050617

OTHER SOURCE(S): CASREACT 137:63113; MARPAT 137:63113
GI

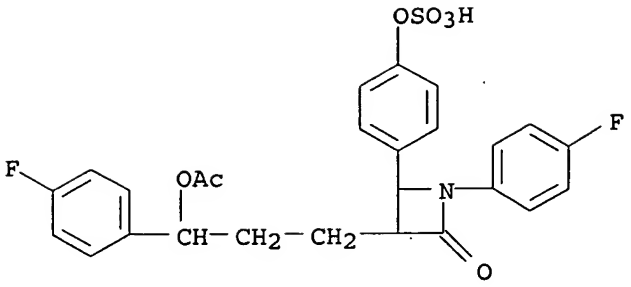
* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The invention relates to the compds. I [R1, R2, R3, R4, R5, R6 = C0-30-alkylene-LAG {optionally containing O, CO, CH:CH, C.tplbond.C, N(C1-6-alkyl), N(C1-6-alkylphenyl), NH}, H, F, Cl, Br, I, CF3, NO2, CN, CO2H, CO2(C1-6-alkyl), CONH, CONH(C1-6-alkyl), CON(C1-6-alkyl)2, C1-6-alkyl, C1-6-alkenyl, C1-6-alkynyl, O-(C1-6-alkyl), SO2NH2, SO2NH(C1-6-alkyl) SO2N(C1-6-alkyl)2, S-(C1-6-alkyl), SO(C1-6-alkyl), (un)substituted S(CH2)nPh, SO(CH2)nPh, SO2(C1-6-alkyl), SO2(CH2)nPh, NH2, NH(C1-6-alkyl), N(C1-6-alkyl)2, NH(C1-6-acyl), (un)substituted Ph, O(CH2)nPh; LAG = sugar residue, di-, tri-, tetrasaccharide, carbohydrate acid, amino sugar, amino acid, oligopeptide (2 - 9 residues), (trialkylammonium)alkyl, OSO3H] and to their physiol. acceptable salts, suitable, for example, as hypolipidemics. Thus, 1,2-diphenylazetidinone II [R10 = CO(CH2)11NHCO(CHOH)4CH2OH] was prepared from (methoxyphenyl)azetidinone II (R10 = H) via N-acylation with 12-[(2,3,4,5,6-pentahydroxyhexanoyl)amino]dodecanoic acid. Azetidinone II was tested for its cholesterol lowering ability [ED50 = 0.003 mg/mouse].

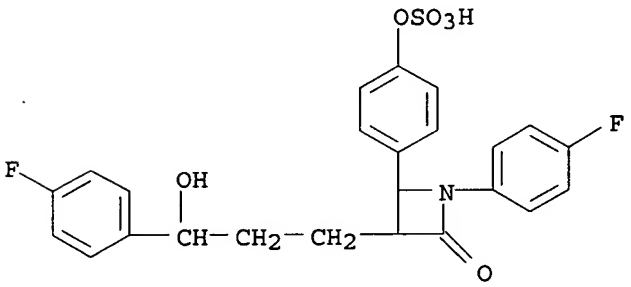
IT 439080-91-8P
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of novel 1,2-diphenylazetidinones as hypolipidemics)

RN 439080-91-8 CAPLUS

CN 2-Azetidinone, 3-[3-(acetyloxy)-3-(4-fluorophenyl)propyl]-1-(4-fluorophenyl)-4-[4-(sulfooxy)phenyl]- (9CI) (CA INDEX NAME)



IT 439080-92-9P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of novel 1,2-diphenylazetidinones as hypolipidemics)
RN 439080-92-9 CAPLUS
CN 2-Azetidinone, 1-(4-fluorophenyl)-3-[3-(4-fluorophenyl)-3-hydroxypropyl]-4-[4-(sulfooxy)phenyl]- (CA INDEX NAME)



REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

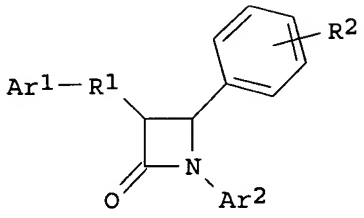
L7 ANSWER 12 OF 12 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1997:752738 CAPLUS
DOCUMENT NUMBER: 128:34672
TITLE: Substituted azetidinone compounds useful as hypocholesterolemic agents
INVENTOR(S): Vaccaro, Wayne D.
PATENT ASSIGNEE(S): Schering Corp., USA
SOURCE: U.S., 12 pp., Cont.-in-part of U.S. Ser. No. 261,785, abandoned.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 5
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5688785	A	19971118	US 1995-449973	19950525
CA 2114007	A1	19930204	CA 1992-2114007	19920721
CA 2114007	C	20051220		
AU 9223980	A	19930223	AU 1992-23980	19920721
AU 658441	B2	19950413		
ZA 9205487	A	19930331	ZA 1992-5487	19920721
EP 596015	A1	19940511	EP 1992-916790	19920721
EP 596015	B1	19971001		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, MC, NL, SE				
JP 06508637	T	19940929	JP 1992-502964	19920721

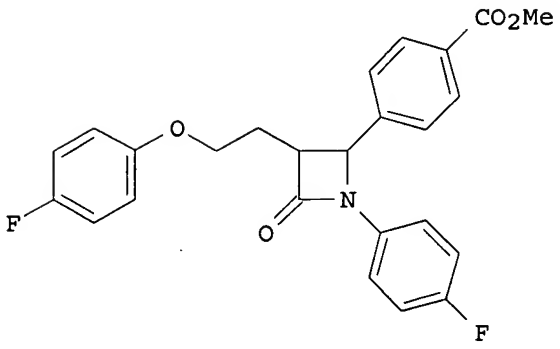
JP 2525125	B2	19960814			
LV 10429	B	19950820	LV 1992-550		19921229
LT 3369	B	19950825	LT 1992-261		19921229
NO 9400221	A	19940121	NO 1994-221		19940121
US 5688787	A	19971118	US 1996-588785		19960119
PRIORITY APPLN. INFO.:			US 1991-734426	B2	19910723
			US 1991-734652	B2	19910723
			US 1994-178312	B2	19940111
			US 1994-261785	B2	19940620
			WO 1992-US5972	W	19920721

OTHER SOURCE(S): MARPAT 128:34672

GI



I

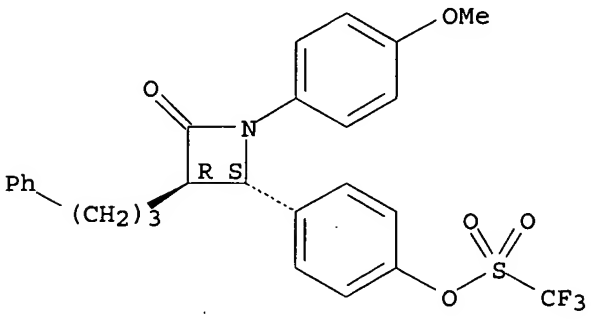


II

AB Substituted azetidinone hypocholesterolemic agents I and their pharmaceutically acceptable salts are disclosed [wherein: Ar1 = aryl or R3-substituted aryl; Ar2 = aryl or R4-substituted aryl; R1 = (CH2)2-6, (CH2)eZ(CH2)r (wherein Z = O, CO, C6H4, NR10, or S(O)0-2, e = 0-5, and r = 0-5, provided that (e + r) = 1-6), C2-6 alkenylene, and (CH2)fV(CH2)g (wherein V = C3-6 cycloalkylene, f = 1-5, and g = 0-5, provided that (f + g) = 1-6); R2 = alkylene-COR5 or CH:CHCOR5; R3, R4 = 1-3 substituents chosen from alkyl, OR6, OCOR6, OCOOR9, O(CH2)1-5OR6, OCONR6R7, NR6R7, NR6COR7, NR6CO2R9, NR6CONR7R8, NR6SO2R9, COOR6, CONR6R7, COR6, SO2NR6R7, S(O)0-2R9, O(CH2)1-10COOR6, O(CH2)1-10CONR6R7, alkylene-COOR6, CH:CHCO2R6, CF3, CN, NO2, and halo; R5 = OR or NRR12 (wherein R and R12 = H, alkyl, aryl, and aralkyl); R6, R7, R8 = H, lower alkyl, aryl, and aralkyl; R9 = alkyl, aryl, or aralkyl; R10 = H, alkyl, aralkyl, or COR6]. I are cholesterol absorption inhibitors, which may be used (no data) in combination with cholesterol biosynthesis inhibitors. For example, Me 4-formylbenzoate was condensed with 4-FC6H4NH2 in PhMe under Dean-Stark conditions, and the resulting imine was cyclized in situ with 4-FC6H4O(CH2)3COCl in the presence of Bu3N at reflux to give an 8:1 trans/cis mixture of azetidinone II. The mixture was separated into the pure isomers by HPLC. At 50 mg/kg orally in hamsters, trans-II gave 28% reduction of serum cholesterol, and 76% reduction of cholesterol esters.

IT 199526-00-6P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of substituted azetidinones as hypocholesterolemic agents)
RN 199526-00-6 CAPLUS
CN Methanesulfonic acid, trifluoro-, 4-[1-(4-methoxyphenyl)-4-oxo-3-(3-phenylpropyl)-2-azetidinyl]phenyl ester, (2S-trans)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L7 ANSWER 4 OF 12 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2006:815603 CAPLUS
DOCUMENT NUMBER: 145:249455
TITLE: Preparation of phenylazetidinone glycoside and oligosaccharide derivatives and methods of treatment of diseases
INVENTOR(S): Zimmer, Daniel P.; Talley, John J.; Lundrigan-Soucy, Regina; Roberts, Shannon; Martinez, Eduardo
PATENT ASSIGNEE(S): Microbia, Inc., USA
SOURCE: PCT Int. Appl., 396pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
WO 2006086562	A2	20060817	WO 2006-US4601		20060209
WO 2006086562	A3	20070322			
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW					
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM					
EP 1851197	A2	20071107	EP 2006-734662		20060209
PRIORITY APPLN. INFO.:			US 2005-651267P	P	20050209
			US 2005-676756P	P	20050502
			US 2005-678497P	P	20050506
			WO 2006-US4601	W	20060209

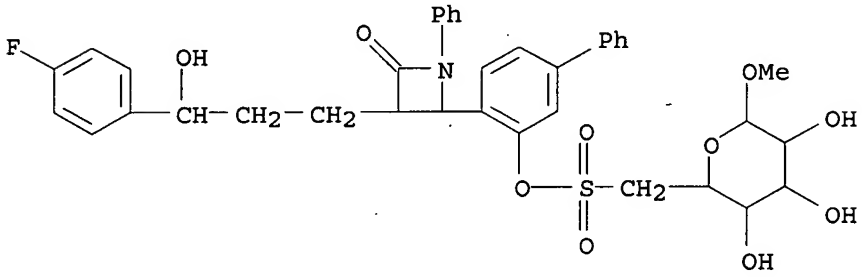
OTHER SOURCE(S): MARPAT 145:249455
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

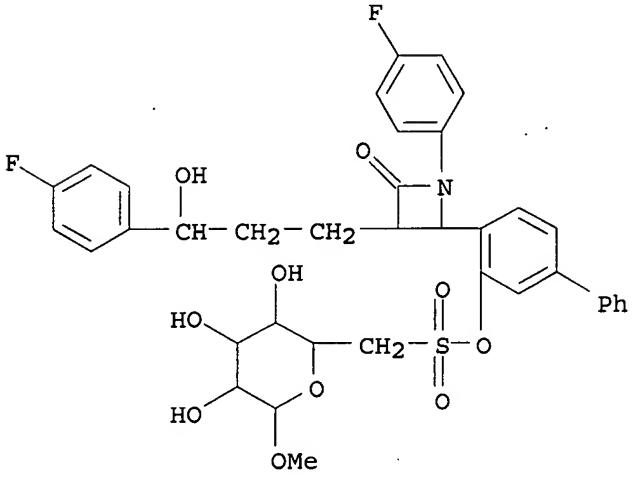
AB Azetidinone glycoside derivs. I, wherein R1-R6 are independently alkylene-LAG, halogen, CF2, NO2, N3, CN, COOH, COO-alkyl,CONH2, CONH2, CONH-alkyl, CO-N-alkyl, alkyl, alkenyl, alkynyl, alkoxy, SO2NH2, SO2NH-alkyl, SO2N-(alkyl)2, S-(CH2)n-Ph, SO-alkyl, SO-(CH2)n-Ph, SO2-(CH2)n-Ph, NH2NH-alkyl, N-(alkyl)2, NH-acyl, Ph, O-(CH2)n-Ph; n is 0-6; LAG is sugar residue, tri-sugar residue, tetra-sugar residue, sugar acid, amino sugar, amino acid, oligo-peptide, were claimed and pharmaceutical compns. containing these compds. and methods of treatment of diseases using these compds, are reported. Thus, phenylazetidinone glycoside II was claimed (no preparation data). Title compds. were claimed to be used in combination chemotherapy with at least one addnl. agent as dyslipidemic agents; antidiabetic agents; antihypertensive agents; anti-obesity agents; agents used to treat auto-immune diseases; agents used to treat demylenation and associated conditions; agents used to treat Alzheimer's disease; blood modifiers; hormone replacement agents and compns.; chemotherapeutic agents; peptides which mitigate one or more symptoms of atherosclerosis; and agents used to treat bone loss and associated disorders (no biol. data).

IT 905913-10-2P 905913-11-3P 905913-12-4P
905913-13-5P 905913-14-6P 905913-15-7P
905913-22-6P 905913-23-7P 905913-24-8P
905913-25-9P 905913-26-0P 905913-27-1P
905913-34-0P 905913-35-1P 905913-36-2P
905913-37-3P 905913-38-4P 905913-39-5P
905913-46-4P 905913-47-5P 905913-48-6P
905913-49-7P 905913-50-0P 905913-51-1P
905913-58-8P 905913-59-9P 905913-60-2P
905913-61-3P 905913-62-4P 905913-63-5P
905976-67-2P 905976-68-3P 905976-69-4P
905976-70-7P 905976-71-8P 905976-72-9P
RL: BSU (Biological study, unclassified); PNU (Preparation, unclassified); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of phenylazetidinone glycoside and oligosaccharide derivs. and methods of treatment of diseases)

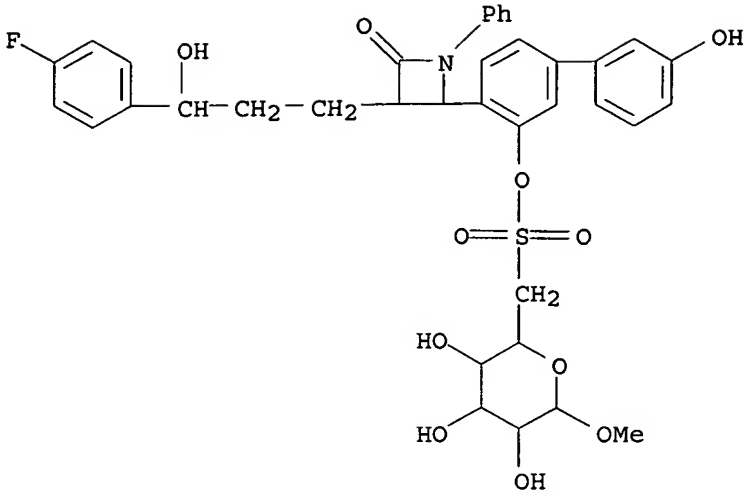
RN 905913-10-2 CAPLUS
CN Hexopyranoside, methyl 6-deoxy-6-[[[4-[3-[3-(4-fluorophenyl)-3-hydroxypropyl]-4-oxo-1-phenyl-2-azetidinyl][1,1'-biphenyl]-3-yl]oxy]sulfonyl]- (9CI) (CA INDEX NAME)



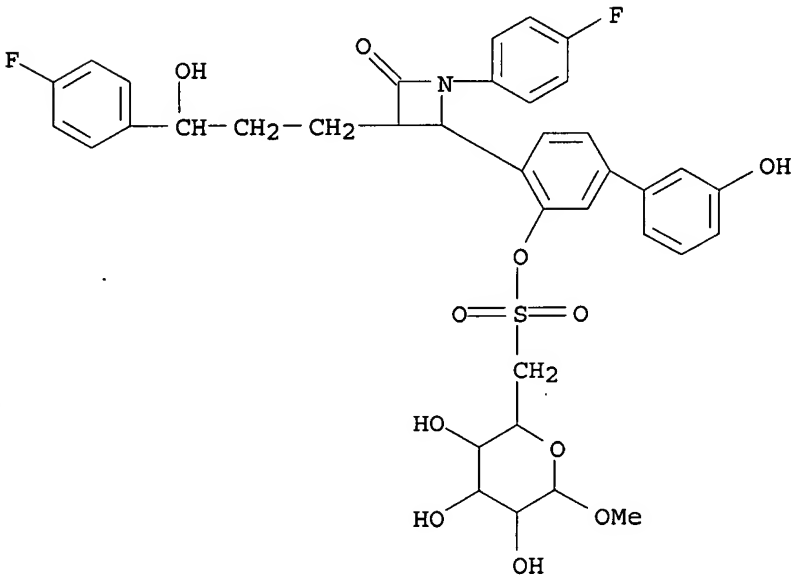
RN 905913-11-3 CAPLUS
CN Hexopyranoside, methyl 6-deoxy-6-[[[4-[1-(4-fluorophenyl)-3-[3-(4-fluorophenyl)-3-hydroxypropyl]-4-oxo-2-azetidinyl][1,1'-biphenyl]-3-yl]oxy]sulfonyl]- (9CI) (CA INDEX NAME)



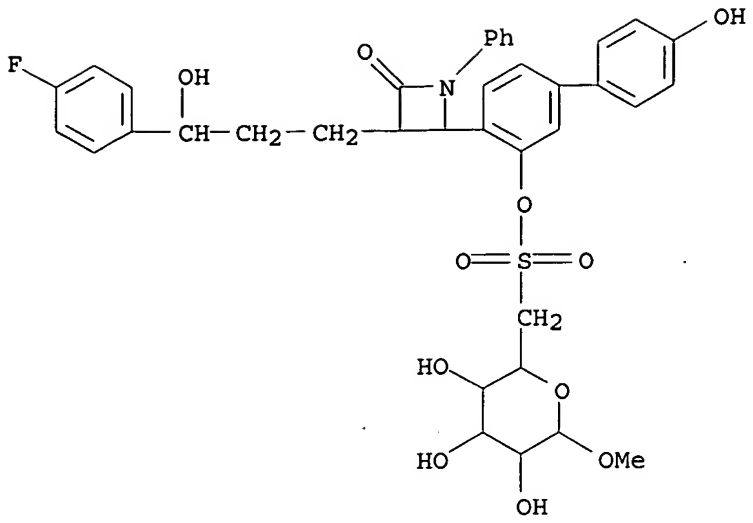
RN 905913-12-4 CAPLUS
CN Hexopyranoside, methyl 6-deoxy-6-[[[4-[3-[3-(4-fluorophenyl)-3-hydroxypropyl]-4-oxo-1-phenyl-2-azetidinyl]-3'-hydroxy[1,1'-biphenyl]-3-yl]oxy]sulfonyl]- (9CI) (CA INDEX NAME)



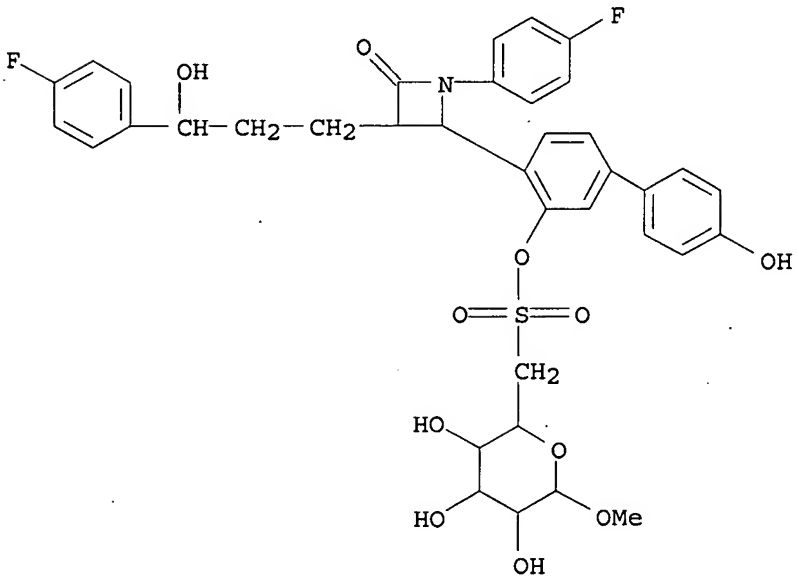
RN 905913-13-5 CAPLUS
CN Hexopyranoside, methyl 6-deoxy-6-[[[4-[1-(4-fluorophenyl)-3-[3-(4-fluorophenyl)-3-hydroxypropyl]-4-oxo-2-azetidinyl]-3'-hydroxy[1,1'-biphenyl]-3-yl]oxy]sulfonyl]- (9CI) (CA INDEX NAME)



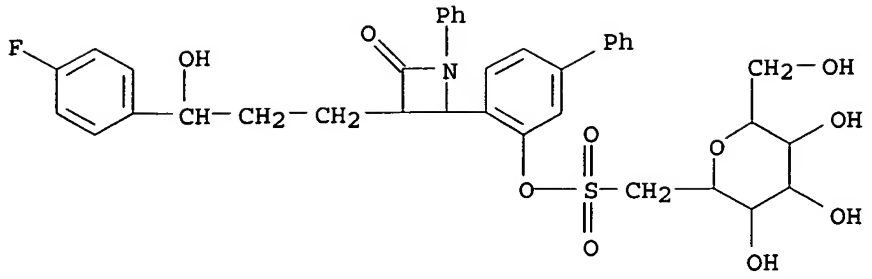
RN 905913-14-6 CAPLUS
CN Hexopyranoside, methyl 6-deoxy-6-[[[4-[3-[3-(4-fluorophenyl)-3-hydroxypropyl]-4-oxo-1-phenyl-2-azetidinyl]-4'-hydroxy[1,1'-biphenyl]-3-yl]oxy]sulfonyl]- (9CI) (CA INDEX NAME)



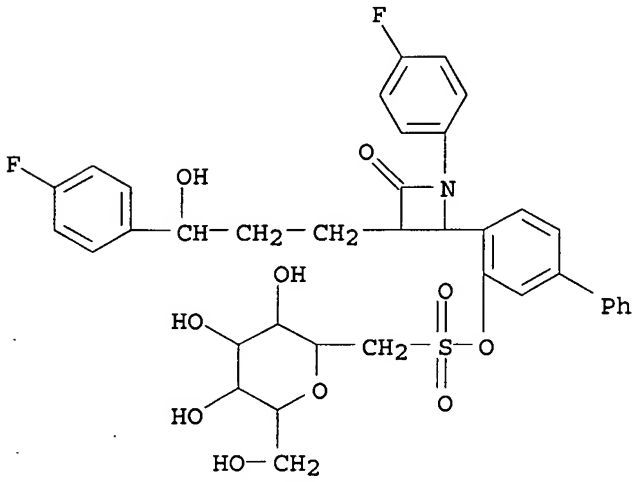
RN 905913-15-7 CAPLUS
CN Hexopyranoside, methyl 6-deoxy-6-[[[4-[1-(4-fluorophenyl)-3-[3-(4-fluorophenyl)-3-hydroxypropyl]-4-oxo-2-azetidinyl]-4'-hydroxy[1,1'-biphenyl]-3-yl]oxy]sulfonyl]- (9CI) (CA INDEX NAME)



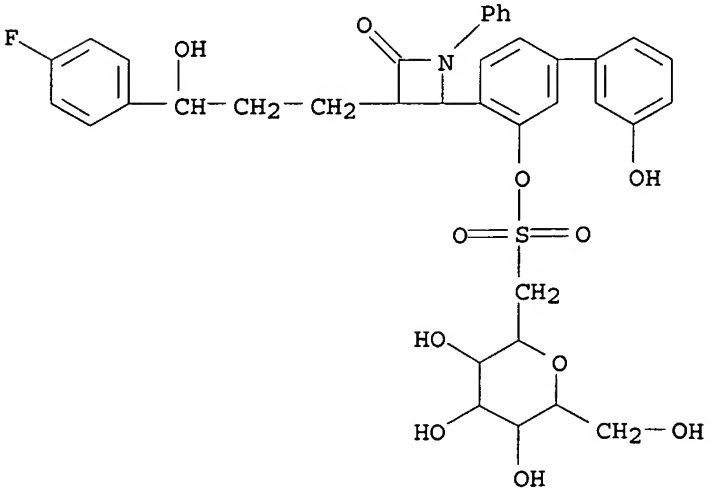
RN 905913-22-6 CAPLUS
CN Heptitol, 2,6-anhydro-1-deoxy-1-[[[4-[3-[3-(4-fluorophenyl)-3-hydroxypropyl]-4-oxo-1-phenyl-2-azetidinyl][1,1'-biphenyl]-3-yl]oxy]sulfonyl]- (9CI) (CA INDEX NAME)



RN 905913-23-7 CAPLUS
CN Heptitol, 2,6-anhydro-1-deoxy-1-[[[4-[1-(4-fluorophenyl)-3-[3-(4-fluorophenyl)-3-hydroxypropyl]-4-oxo-2-azetidinyl][1,1'-biphenyl]-3-yl]oxy]sulfonyl]- (9CI) (CA INDEX NAME)

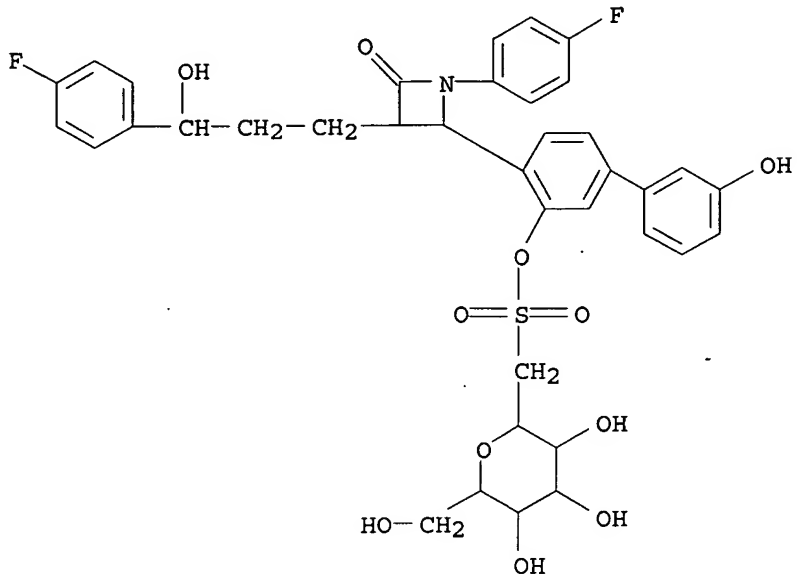


RN 905913-24-8 CAPLUS
CN Heptitol, 2,6-anhydro-1-deoxy-1-[[[4-[3-[3-(4-fluorophenyl)-3-hydroxypropyl]-4-oxo-1-phenyl-2-azetidinyl]-3'-hydroxy[1,1'-biphenyl]-3-yl]oxy]sulfonyl]- (9CI) (CA INDEX NAME)

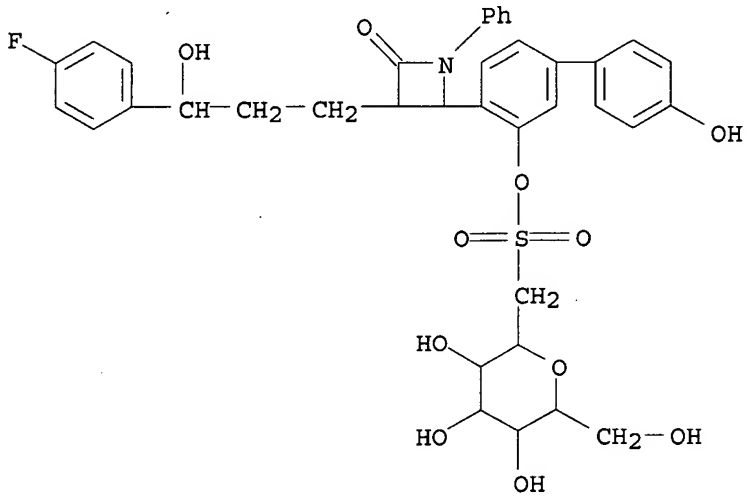


RN 905913-25-9 CAPLUS

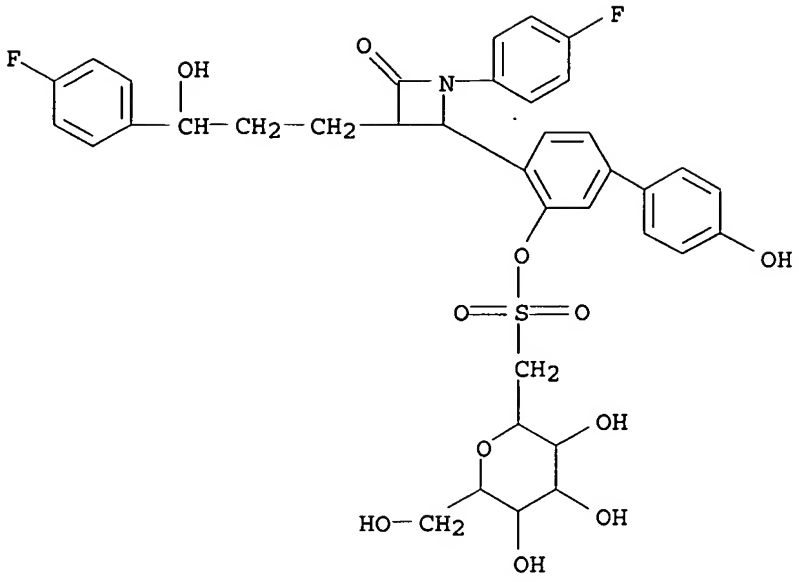
CN Heptitol, 2,6-anhydro-1-deoxy-1-[[[4-[1-(4-fluorophenyl)-3-[3-(4-fluorophenyl)-3-hydroxypropyl]-4-oxo-2-azetidinyl]-3'-hydroxy[1,1'-biphenyl]-3-yl]oxy]sulfonyl]- (9CI) (CA INDEX NAME)



RN 905913-26-0 CAPLUS
CN Heptitol, 2,6-anhydro-1-deoxy-1-[[[4-[3-[3-(4-fluorophenyl)-3-hydroxypropyl]-4-oxo-1-phenyl-2-azetidinyl]-4'-hydroxy[1,1'-biphenyl]-3-yl]oxy]sulfonyl]- (9CI) (CA INDEX NAME)

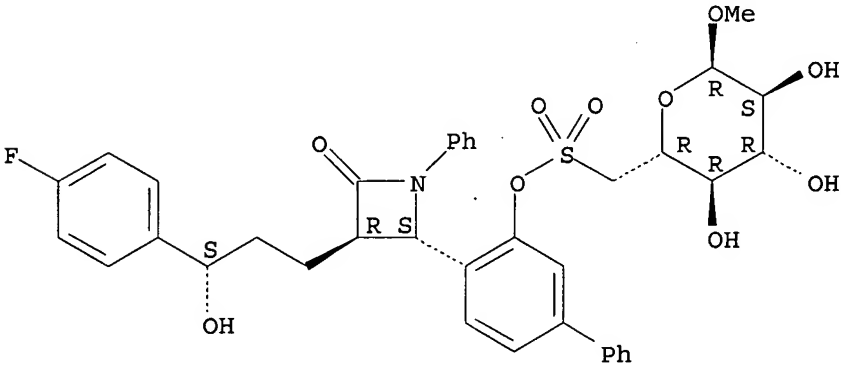


RN 905913-27-1 CAPLUS
CN Heptitol, 2,6-anhydro-1-deoxy-1-[[[4-[1-(4-fluorophenyl)-3-[3-(4-fluorophenyl)-3-hydroxypropyl]-4-oxo-2-azetidinyl]-4'-hydroxy[1,1'-biphenyl]-3-yl]oxy]sulfonyl]- (9CI) (CA INDEX NAME)



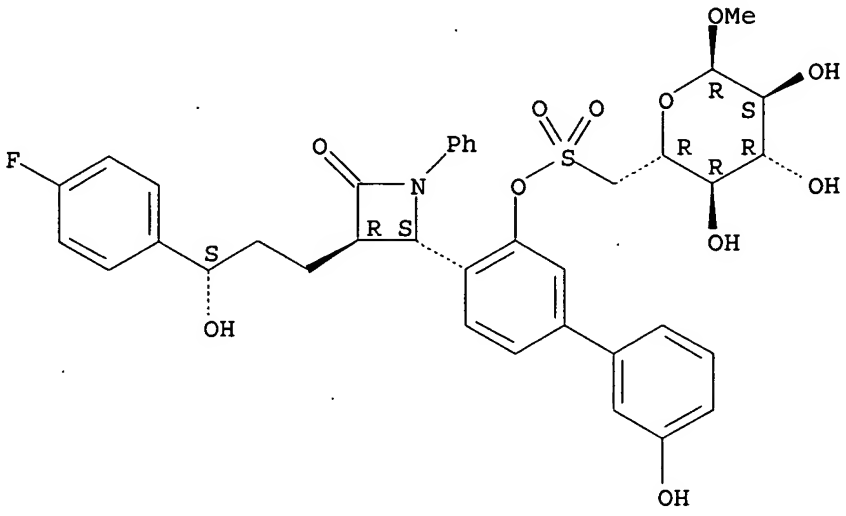
RN 905913-34-0 CAPLUS
CN α-D-Glucopyranoside, methyl 6-deoxy-6-[[[4-[(2R,3S)-3-[(3R)-3-(4-fluorophenyl)-3-hydroxypropyl]-4-oxo-1-phenyl-2-azetidinyl][1,1'-biphenyl]-3-yl]oxy]sulfonyl]-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



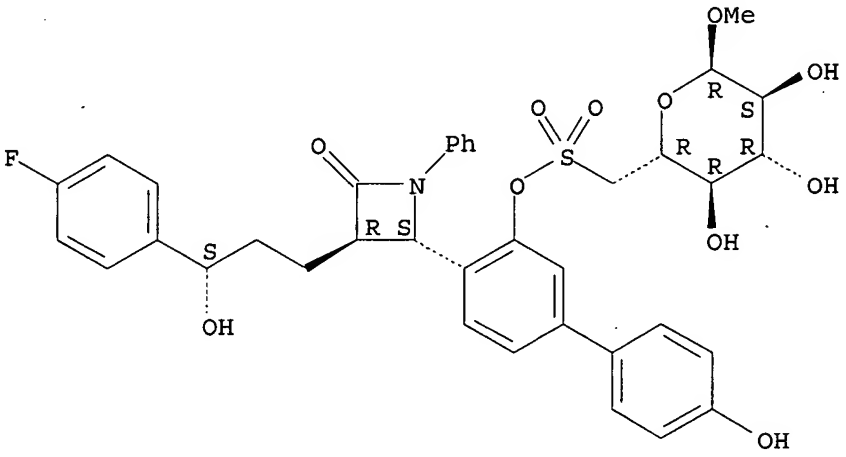
RN 905913-35-1 CAPLUS
CN α-D-Glucopyranoside, methyl 6-deoxy-6-[[[4-[(2R,3S)-3-[(3R)-3-(4-fluorophenyl)-3-hydroxypropyl]-4-oxo-1-phenyl-2-azetidinyl]-3'-hydroxy[1,1'-biphenyl]-3-yl]oxy]sulfonyl]-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



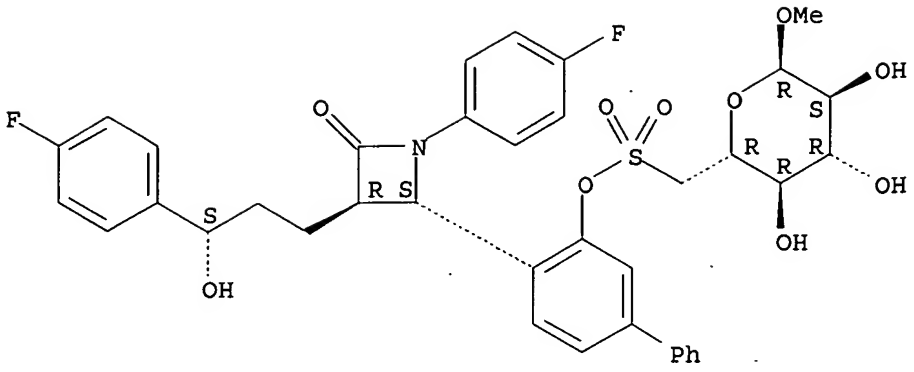
RN 905913-36-2 CAPLUS
CN α-D-Glucopyranoside, methyl 6-deoxy-6-[[[4-[(2R,3S)-3-[(3R)-3-(4-fluorophenyl)-3-hydroxypropyl]-4-oxo-1-phenyl-2-azetidinyl]-4'-hydroxy[1,1'-biphenyl]-3-yl]oxy]sulfonyl]-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



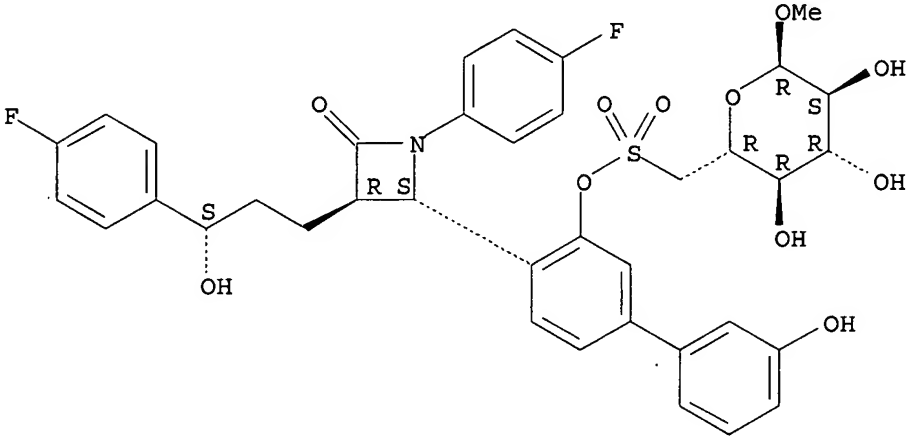
RN 905913-37-3 CAPLUS
CN α-D-Glucopyranoside, methyl 6-deoxy-6-[[[4-[(2R,3S)-1-(4-fluorophenyl)-3-[(3R)-3-(4-fluorophenyl)-3-hydroxypropyl]-4-oxo-2-azetidinyl][1,1'-biphenyl]-3-yl]oxy]sulfonyl]-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



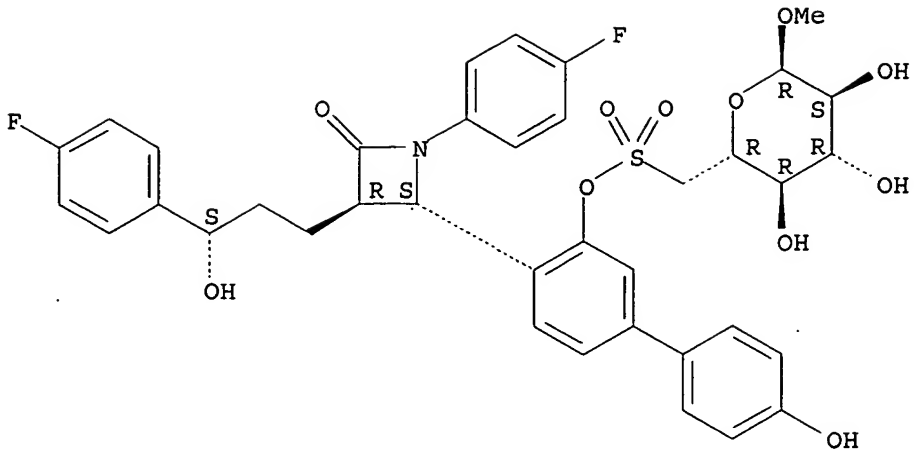
RN 905913-38-4 CAPLUS
CN α-D-Glucopyranoside, methyl 6-deoxy-6-[[[4-[(2R,3S)-1-(4-fluorophenyl)-3-[(3R)-3-(4-fluorophenyl)-3-hydroxypropyl]-4-oxo-2-azetidinyl]-3'-hydroxy[1,1'-biphenyl]-3-yl]oxy]sulfonyl]-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



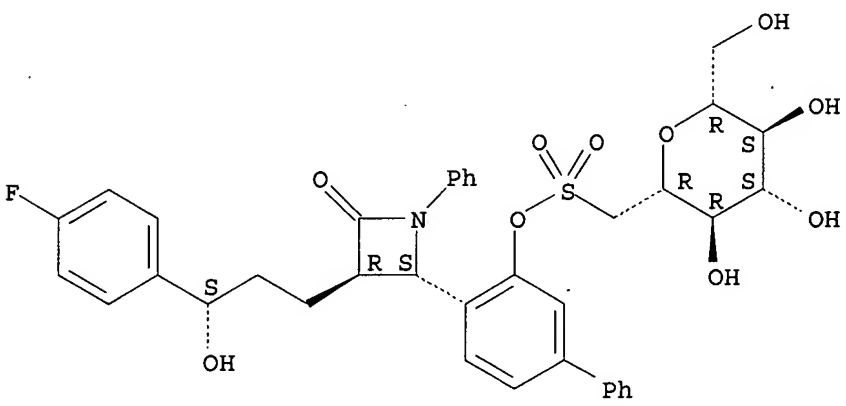
RN 905913-39-5 CAPLUS
CN α-D-Glucopyranoside, methyl 6-deoxy-6-[[[4-[(2R,3S)-1-(4-fluorophenyl)-3-[(3R)-3-(4-fluorophenyl)-3-hydroxypropyl]-4-oxo-2-azetidinyl]-4'-hydroxy[1,1'-biphenyl]-3-yl]oxy]sulfonyl]-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



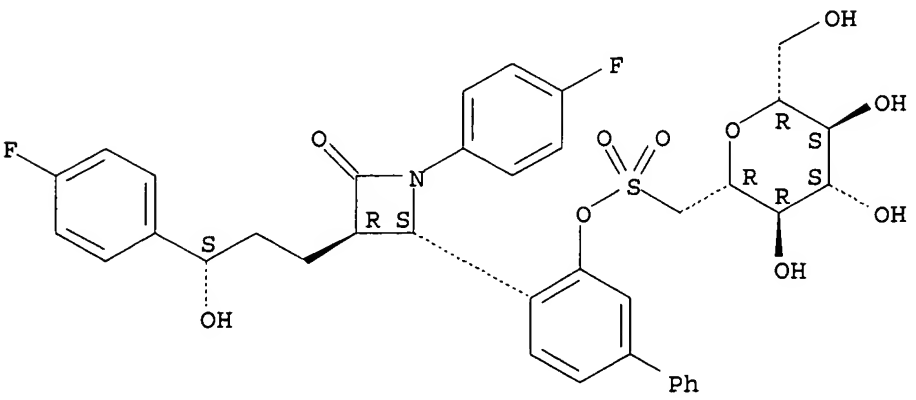
RN 905913-46-4 CAPLUS
CN D-glycero-D-gulo-Heptitol, 2,6-anhydro-1-deoxy-1-[[[4-[(2S,3R)-3-[(3S)-3-(4-fluorophenyl)-3-hydroxypropyl]-4-oxo-1-phenyl-2-azetidinyl][1,1'-biphenyl]-3-yl]oxy]sulfonyl]-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



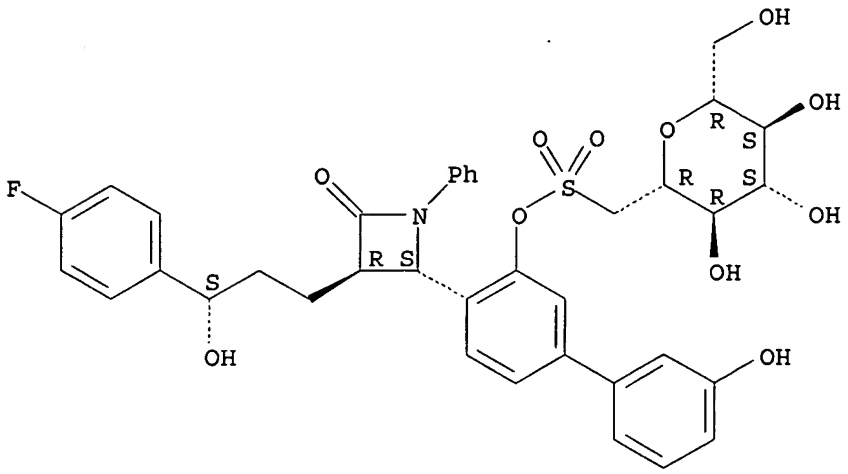
RN 905913-47-5 CAPLUS
CN D-glycero-D-gulo-Heptitol, 2,6-anhydro-1-deoxy-1-[[[4-[(2S,3R)-1-(4-fluorophenyl)-3-[(3S)-3-(4-fluorophenyl)-3-hydroxypropyl]-4-oxo-2-azetidinyl][1,1'-biphenyl]-3-yl]oxy]sulfonyl]-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



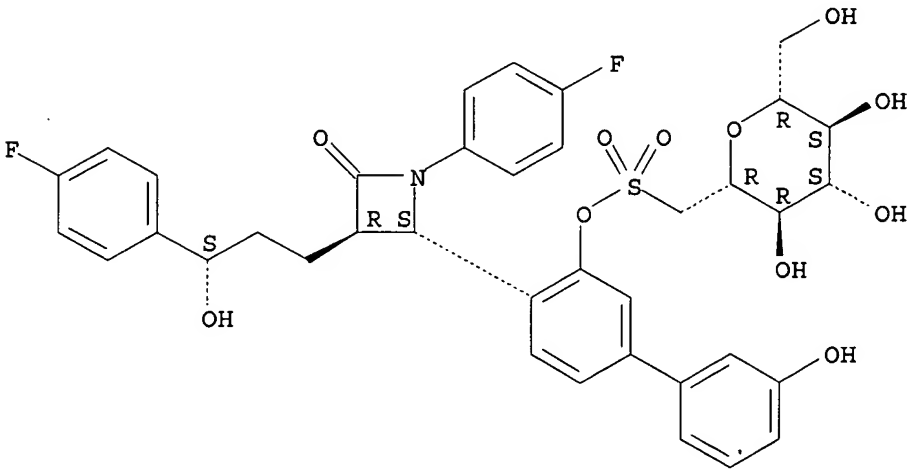
RN 905913-48-6 CAPLUS
CN D-glycero-D-gulo-Heptitol, 2,6-anhydro-1-deoxy-1-[[[4-[(2S,3R)-3-[(3S)-3-(4-fluorophenyl)-3-hydroxypropyl]-4-oxo-1-phenyl-2-azetidinyl]-3'-hydroxy[1,1'-biphenyl]-3-yl]oxy]sulfonyl]-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



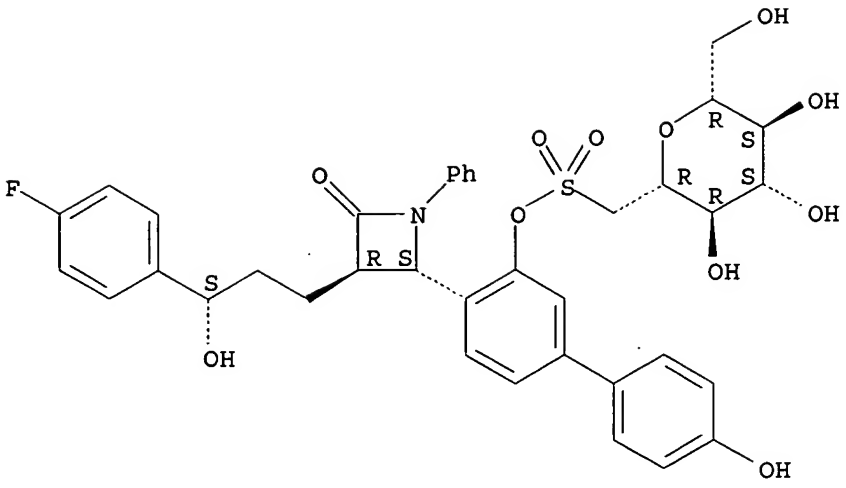
RN 905913-49-7 CAPLUS
CN D-glycero-D-gulo-Heptitol, 2,6-anhydro-1-deoxy-1-[[[4-[(2S,3R)-1-(4-fluorophenyl)-3-[(3S)-3-(4-fluorophenyl)-3-hydroxypropyl]-4-oxo-2-azetidinyl]-3'-hydroxy[1,1'-biphenyl]-3-yl]oxy]sulfonyl]-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



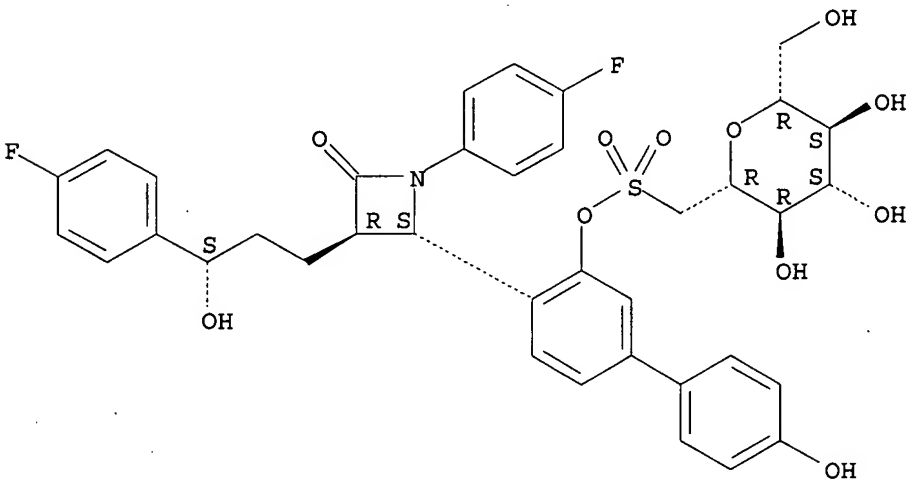
RN 905913-50-0 CAPLUS
CN D-glycero-D-gulo-Heptitol, 2,6-anhydro-1-deoxy-1-[[[4-[(2S,3R)-3-[(3S)-3-(4-fluorophenyl)-3-hydroxypropyl]-4-oxo-1-phenyl-2-azetidinyl]-4'-hydroxy[1,1'-biphenyl]-3-yl]oxy]sulfonyl]-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



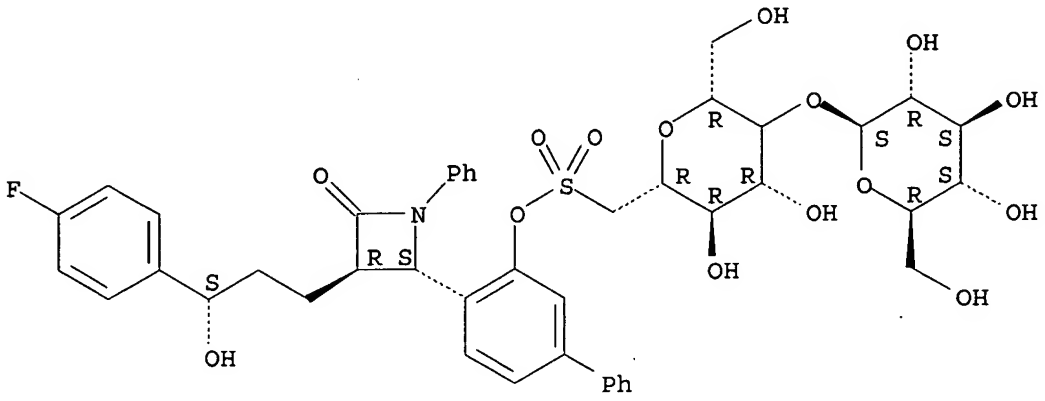
RN 905913-51-1 CAPLUS
CN D-glycero-D-gulo-Heptitol, 2,6-anhydro-1-deoxy-1-[[[4-[(2S,3R)-1-(4-fluorophenyl)-3-[(3S)-3-(4-fluorophenyl)-3-hydroxypropyl]-4-oxo-2-azetidinyl]-4'-hydroxy[1,1'-biphenyl]-3-yl]oxy]sulfonyl]-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



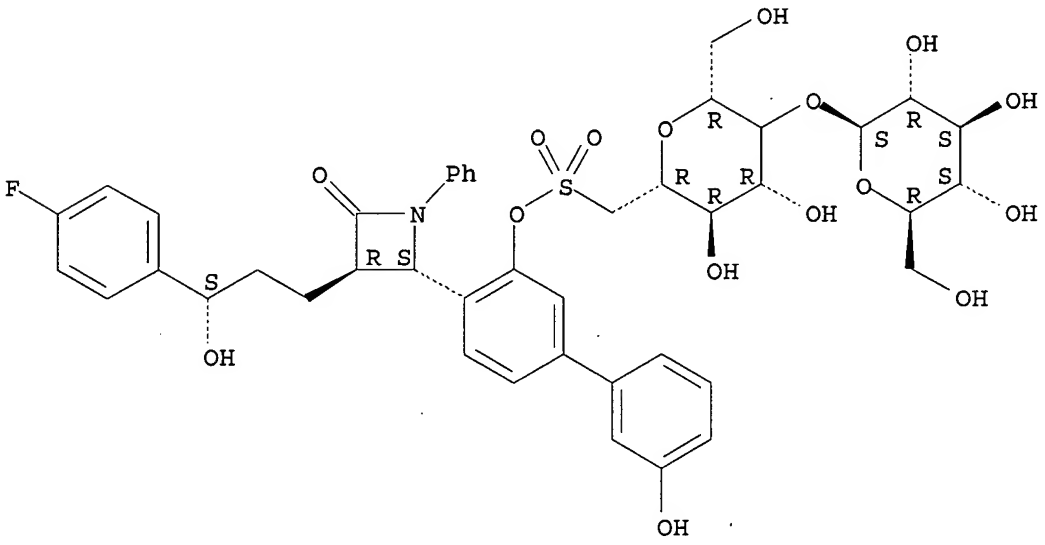
RN 905913-58-8 CAPLUS
CN L-gluco-Heptitol, 2,6-anhydro-7-deoxy-7-[[[4-[(2S,3R)-3-[(3S)-3-(4-fluorophenyl)-3-hydroxypropyl]-4-oxo-1-phenyl-2-azetidinyl][1,1'-biphenyl]-3-yl]oxy]sulfonyl]-3-O-β-D-glucopyranosyl-, (3ξ)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



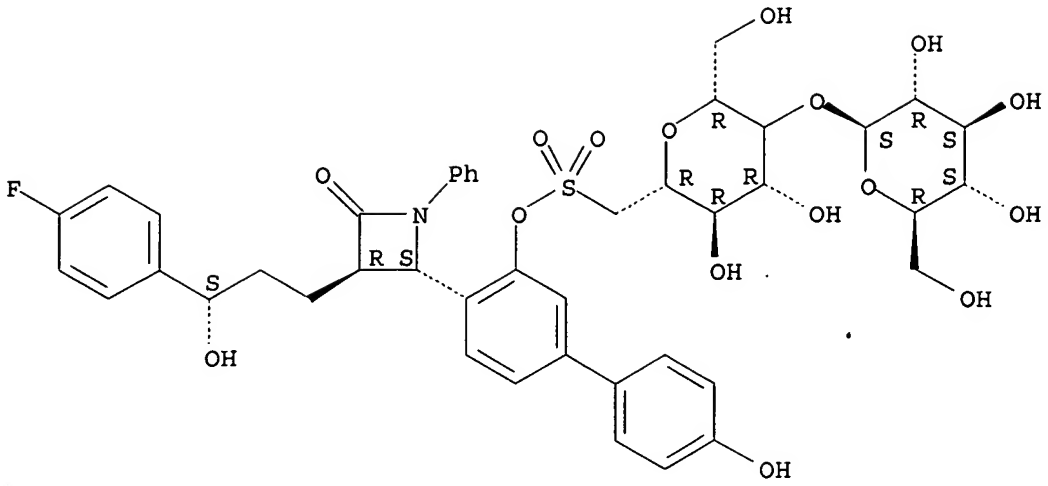
RN 905913-59-9 CAPLUS
CN L-gluco-Heptitol, 2,6-anhydro-7-deoxy-7-[[[4-[(2S,3R)-3-[(3S)-3-(4-fluorophenyl)-3-hydroxypropyl]-4-oxo-1-phenyl-2-azetidinyl]-3'-hydroxy[1,1'-biphenyl]-3-yl]oxy]sulfonyl]-3-O-β-D-glucopyranosyl-, (3ξ)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



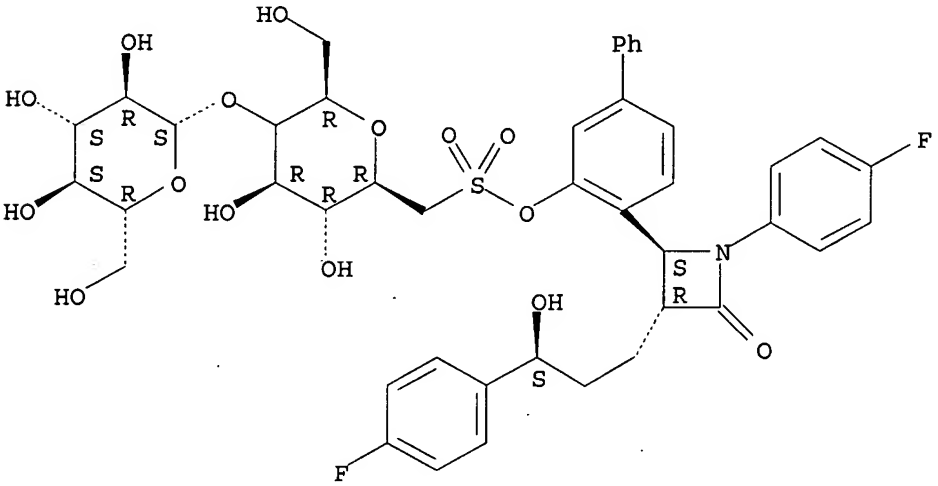
RN 905913-60-2 CAPLUS
CN L-gluco-Heptitol, 2,6-anhydro-7-deoxy-7-[[[4-[(2S,3R)-3-[(3S)-3-(4-fluorophenyl)-3-hydroxypropyl]-4-oxo-1-phenyl-2-azetidinyl]-4'-hydroxy[1,1'-biphenyl]-3-yl]oxy]sulfonyl]-3-O-β-D-glucopyranosyl-, (3ξ)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 905913-61-3 CAPLUS
CN L-gluco-Heptitol, 2,6-anhydro-7-deoxy-7-[[[4-[(2S,3R)-1-(4-fluorophenyl)-3-[(3S)-3-(4-fluorophenyl)-3-hydroxypropyl]-4-oxo-2-azetidinyl][1,1'-biphenyl]-3-yl]oxy]sulfonyl]-3-O-β-D-glucopyranosyl-, (3ξ)- (9CI) (CA INDEX NAME)

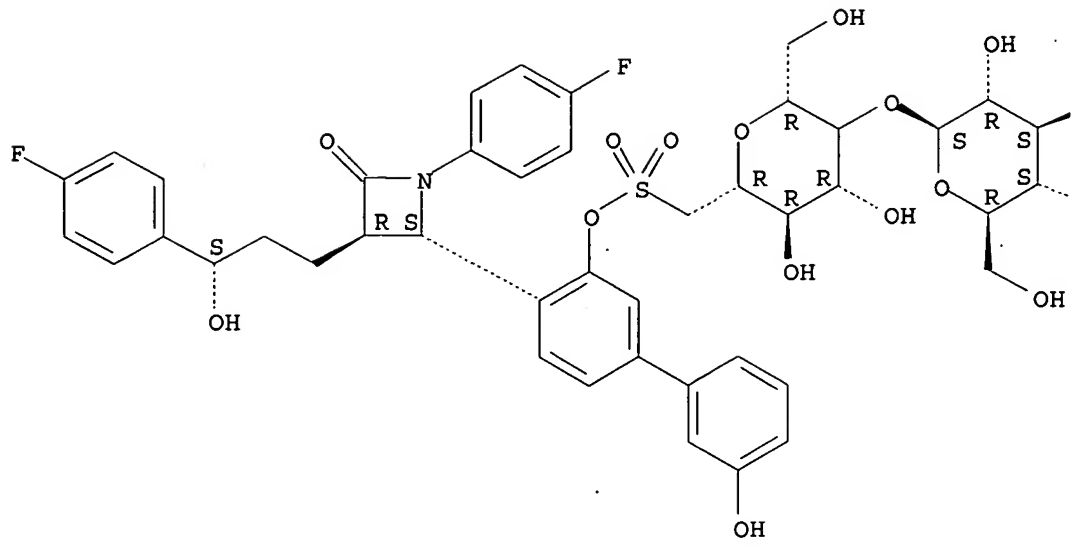
Absolute stereochemistry.



RN 905913-62-4 CAPLUS
CN L-gluco-Heptitol, 2,6-anhydro-7-deoxy-7-[[[4-[(2S,3R)-1-(4-fluorophenyl)-3-[(3S)-3-(4-fluorophenyl)-3-hydroxypropyl]-4-oxo-2-azetidinyl]-3'-hydroxy[1,1'-biphenyl]-3-yl]oxy]sulfonyl]-3-O-β-D-glucopyranosyl-, (3ξ)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A

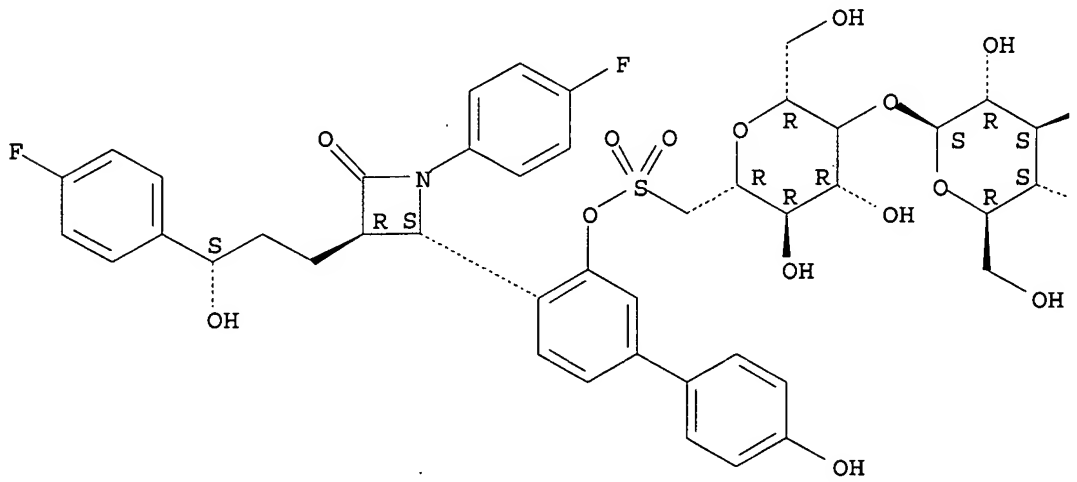


PAGE 1-B

RN 905913-63-5 CAPLUS
CN L-gluco-Heptitol, 2,6-anhydro-7-deoxy-7-[[[4-[(2S,3R)-1-(4-fluorophenyl)-3-[(3S)-3-(4-fluorophenyl)-3-hydroxypropyl]-4-oxo-2-azetidinyl]-4'-hydroxy[1,1'-biphenyl]-3-yl]oxy]sulfonyl]-3-O-β-D-glucopyranosyl-, (3ξ)- (9CI) (CA INDEX NAME)

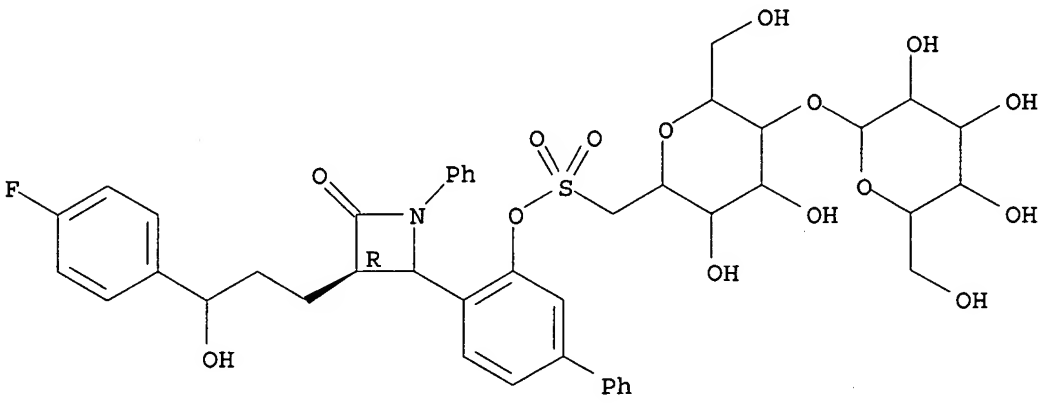
Absolute stereochemistry.

PAGE 1-A



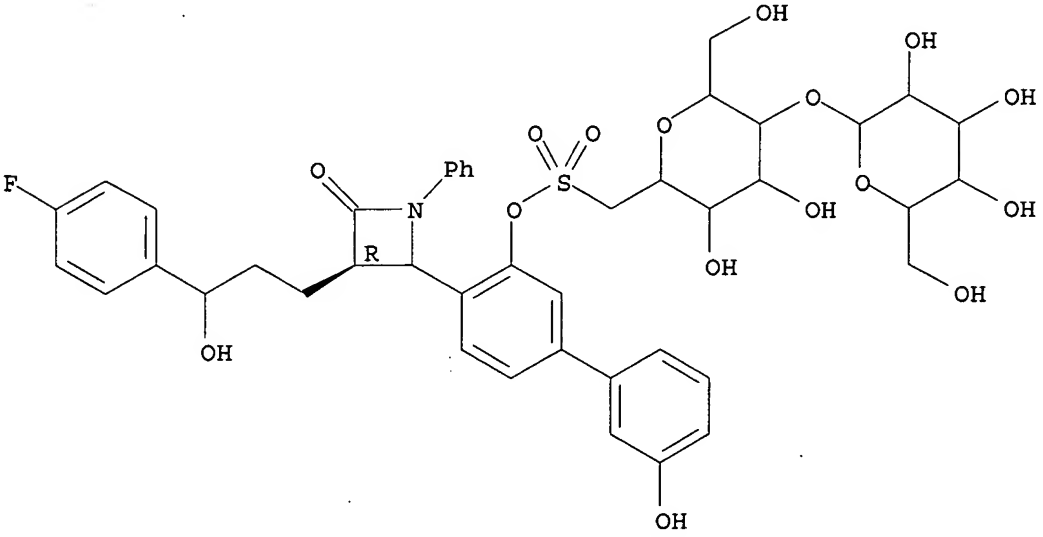
RN 905976-67-2 CAPLUS
CN Heptitol, 2,6-anhydro-1-deoxy-1-[[[4-[(3R)-3-[3-(4-fluorophenyl)-3-hydroxypropyl]-4-oxo-1-phenyl-2-azetidinyl][1,1'-biphenyl]-3-yl]oxy]sulfonyl]-5-O-hexopyranosyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



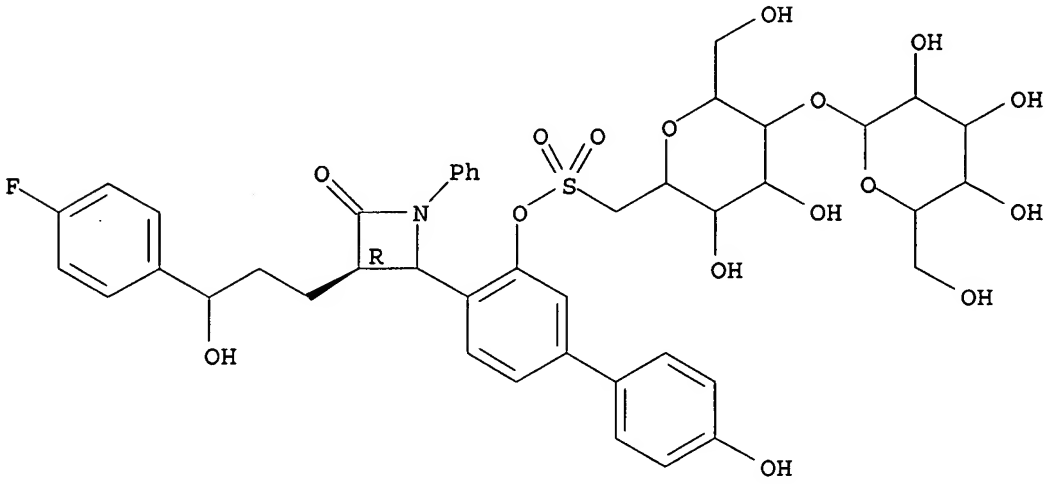
RN 905976-68-3 CAPLUS
CN Heptitol, 2,6-anhydro-1-deoxy-1-[[[4-[(3R)-3-[3-(4-fluorophenyl)-3-hydroxypropyl]-4-oxo-1-phenyl-2-azetidinyl]-3'-hydroxy[1,1'-biphenyl]-3-yl]oxy]sulfonyl]-5-O-hexopyranosyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



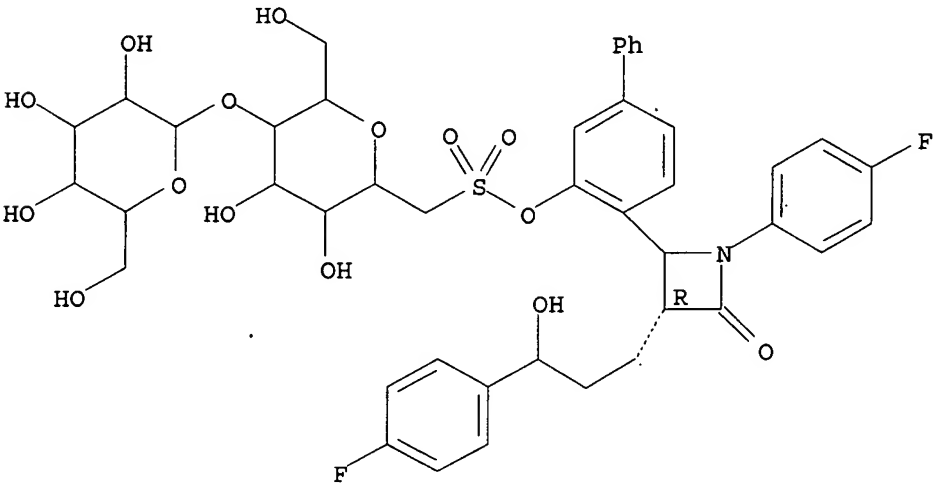
RN 905976-69-4 CAPLUS
CN Heptitol, 2,6-anhydro-1-deoxy-1-[[[4-[(3R)-3-[3-(4-fluorophenyl)-3-hydroxypropyl]-4-oxo-1-phenyl-2-azetidinyl]-4'-hydroxy[1,1'-biphenyl]-3-yl]oxy]sulfonyl]-5-O-hexopyranosyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 905976-70-7 CAPLUS
CN Heptitol, 2,6-anhydro-1-deoxy-1-[[[4-[(3R)-1-(4-fluorophenyl)-3-[3-(4-fluorophenyl)-3-hydroxypropyl]-4-oxo-2-azetidinyl][1,1'-biphenyl]-3-yl]oxy]sulfonyl]-5-O-hexopyranosyl- (9CI) (CA INDEX NAME)

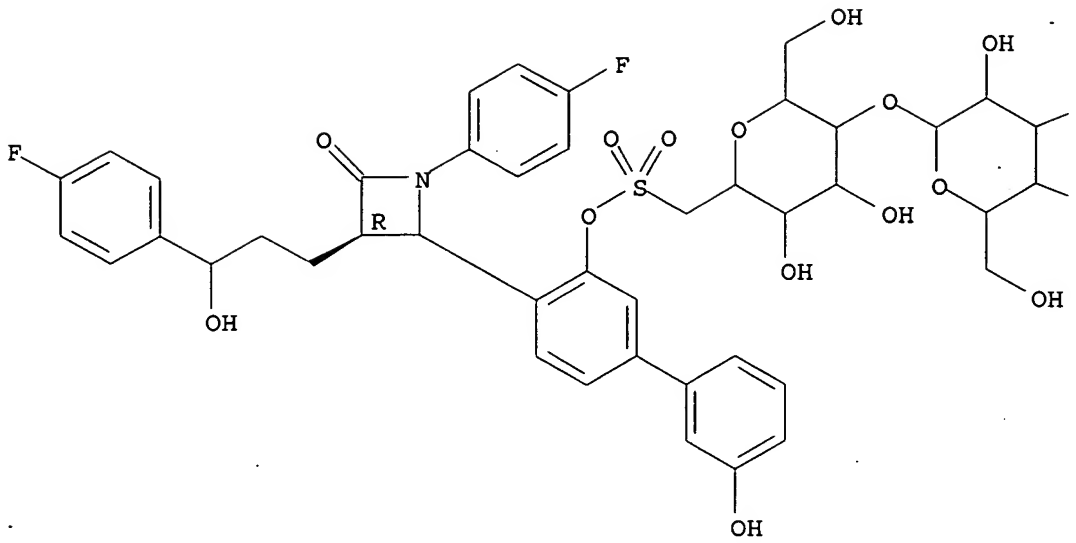
Absolute stereochemistry.



RN 905976-71-8 CAPLUS
CN Heptitol, 2,6-anhydro-1-deoxy-1-[[[4-[(3R)-1-(4-fluorophenyl)-3-[3-(4-fluorophenyl)-3-hydroxypropyl]-4-oxo-2-azetidinyl]-3'-hydroxy[1,1'-biphenyl]-3-yl]oxy]sulfonyl]-5-O-hexopyranosyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B

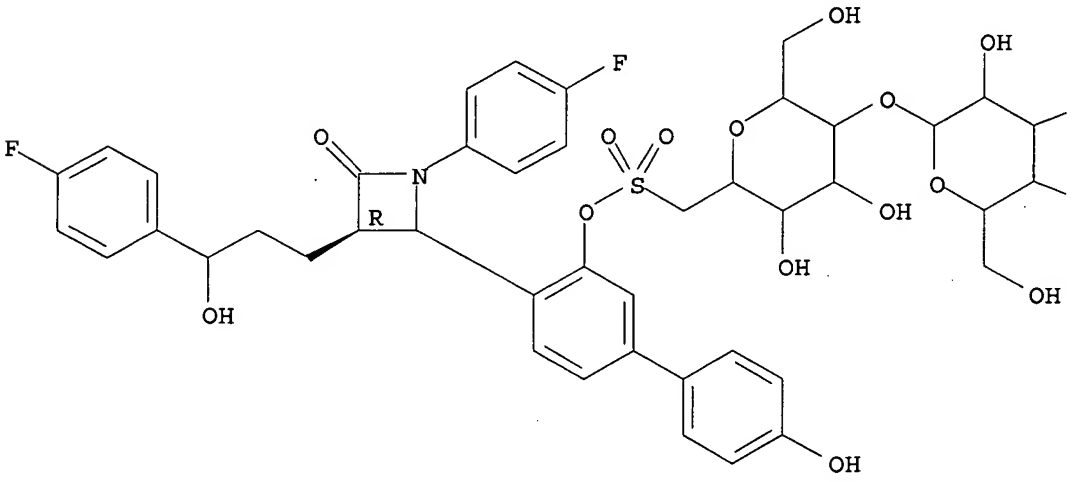
OH

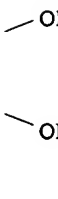
OH

RN 905976-72-9 CAPLUS
CN Heptitol, 2,6-anhydro-1-deoxy-1-[[[4-[(3R)-1-(4-fluorophenyl)-3-[3-(4-fluorophenyl)-3-hydroxypropyl]-4-oxo-2-azetidinyl]-4'-hydroxy[1,1'-biphenyl]-3-yl]oxy]sulfonyl]-5-O-hexopyranosyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A





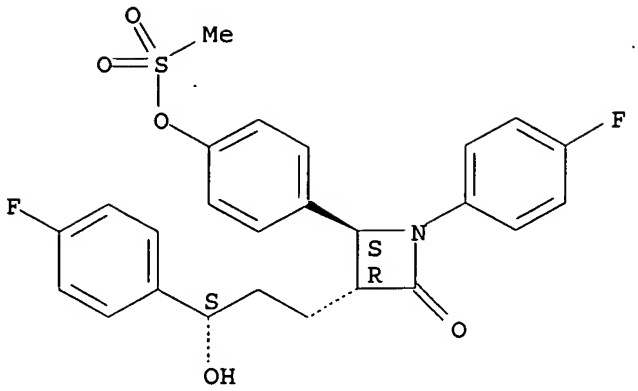
L7 ANSWER 5 OF 12 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2005:921222 CAPLUS
DOCUMENT NUMBER: 143:387264
TITLE: Synthesis and in Vitro Evaluation of Inhibitors of Intestinal Cholesterol Absorption
AUTHOR(S): Kvrno, Lisbet; Werder, Moritz; Hauser, Helmut; Carreira, Erick M.
CORPORATE SOURCE: Laboratorium fuer Organische Chemie, ETH-Zuerich, Zurich, CH-8093, Switz.
SOURCE: Journal of Medicinal Chemistry (2005), 48(19), 6035-6053
CODEN: JMCMAR; ISSN: 0022-2623
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 143:387264

AB We have utilized our recently developed in vitro assay to address two key questions in the design of small-mol. cholesterol absorption inhibitors using ezetimibe, the only drug yet approved for the inhibition of cholesterol absorption in the small intestine, as a starting point: (1) the role of glycosylation and (2) the importance of the β-lactam scaffold of ezetimibe for inhibitory activity. A wide range of non-hydrolyzable phenolic glycosides of ezetimibe were synthesized and demonstrated to be active inhibitors of cholesterol absorption using the brush border membrane vesicle assay. The analogous azetidines provided access to a variety of inhibitors in vitro, suggesting that the β-lactam of ezetimibe merely serves as a ring scaffold to appropriately position the required substituents. Our findings highlight several promising strategies for the design of alternative small-mol. cholesterol absorption inhibitors that could ultimately be useful in preventing cardiovascular disease by lowering blood cholesterol levels.

IT 849799-24-2P 849799-26-4P 849799-32-2P
849799-36-6P 849799-38-8P 866918-03-8P
866918-04-9P 866918-11-8P 866918-15-2P
RL: BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(synthesis and in vitro evaluation of oligosaccharide lactams inhibitors of intestinal cholesterol absorption)

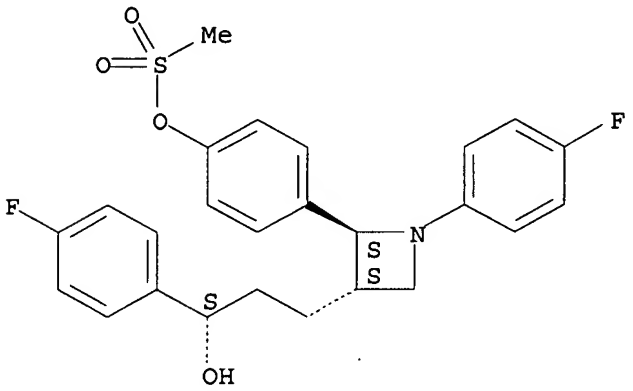
RN 849799-24-2 CAPLUS
CN 2-Azetidinone, 1-(4-fluorophenyl)-3-[(3S)-3-(4-fluorophenyl)-3-hydroxypropyl]-4-[4-[(methylsulfonyl)oxy]phenyl]-, (3R,4S)- (CA INDEX NAME)

Absolute stereochemistry.



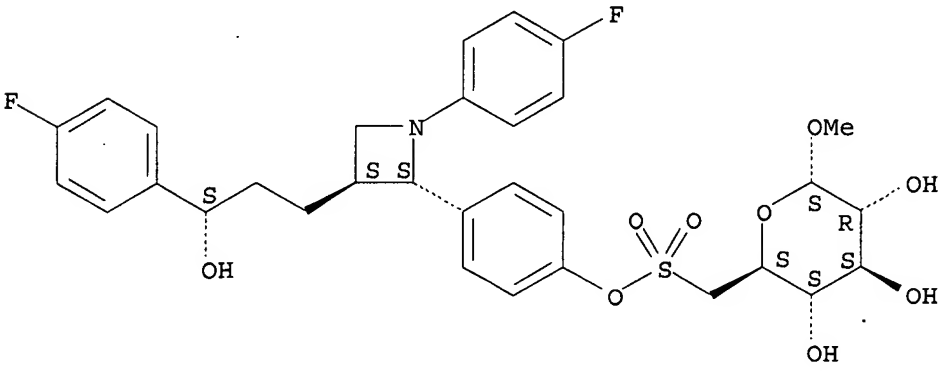
RN 849799-26-4 CAPLUS
CN 3-Azetidinepropanol, α,1-bis(4-fluorophenyl)-2-[4-[(methylsulfonyl)oxy]phenyl]-, (αS,2S,3S)- (CA INDEX NAME)

Absolute stereochemistry.



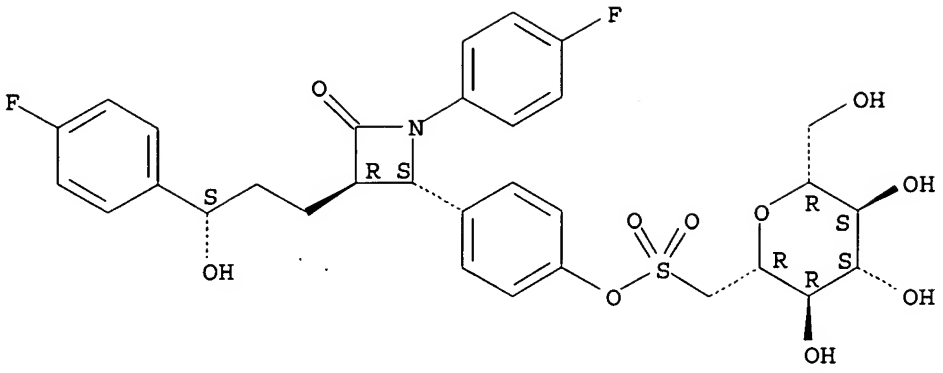
RN 849799-32-2 CAPLUS
CN α-D-Glucopyranoside, methyl 6-deoxy-6-[[4-[(2S,3S)-1-(4-fluorophenyl)-3-[(3S)-3-(4-fluorophenyl)-3-hydroxypropyl]-2-azetidinyl]phenoxy]sulfonyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



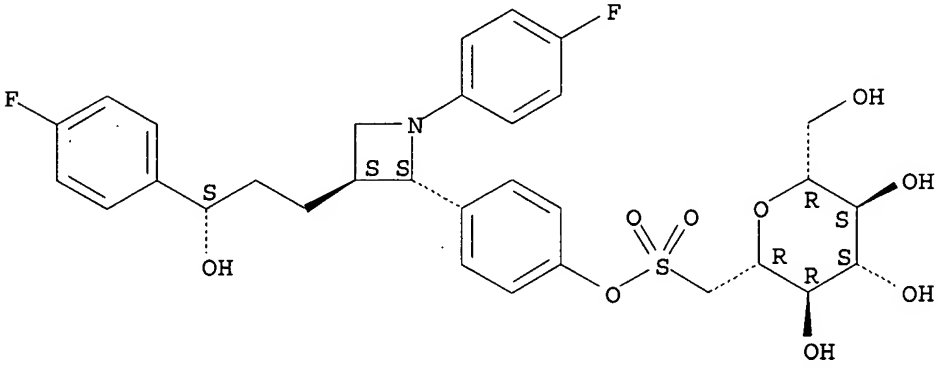
RN 849799-36-6 CAPLUS
CN D-glycero-D-gulo-Heptitol, 2,6-anhydro-1-deoxy-1-[[4-[(2S,3R)-1-(4-fluorophenyl)-3-[(3S)-3-(4-fluorophenyl)-3-hydroxypropyl]-4-oxo-2-azetidinyl]phenoxy]sulfonyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



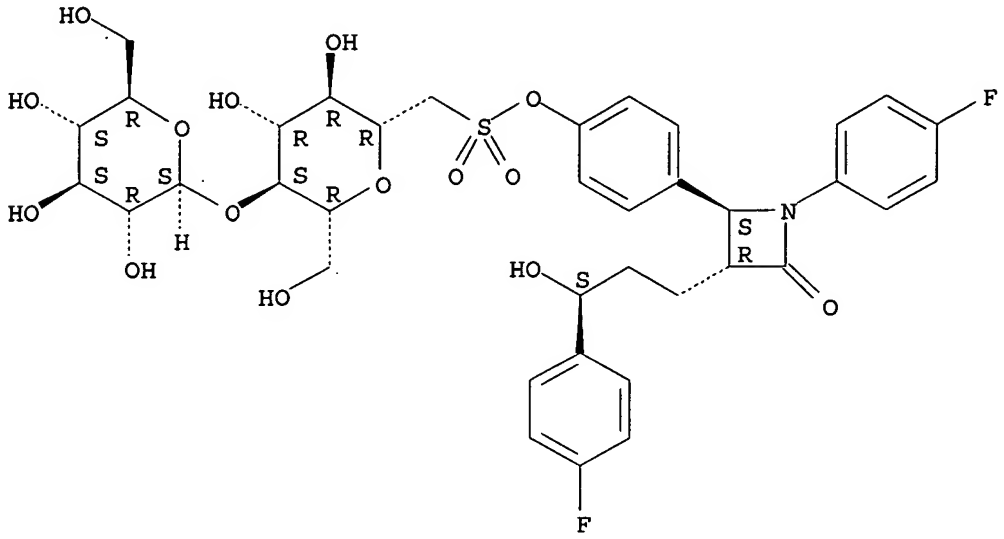
RN 849799-38-8 CAPLUS
CN D-glycero-D-gulo-Heptitol, 2,6-anhydro-1-deoxy-1-[[4-[(2S,3R)-1-(4-fluorophenyl)-3-[(3S)-3-(4-fluorophenyl)-3-hydroxypropyl]-2-azetidinyl]phenoxy]sulfonyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



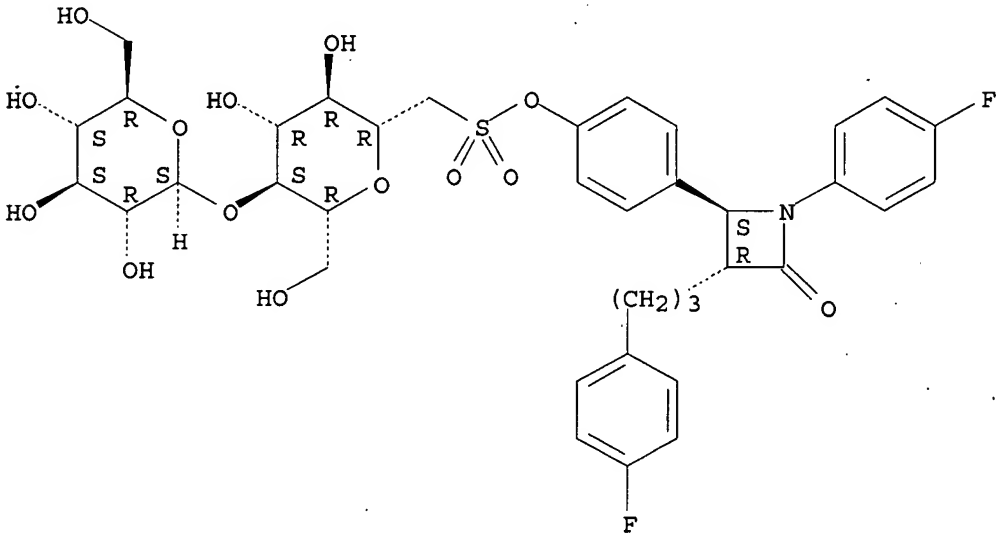
RN 866918-03-8 CAPLUS
CN D-gluco-D-gulo-Heptitol, 2,6-anhydro-1-deoxy-1-[[4-[(2S,3R)-1-(4-fluorophenyl)-3-[(3S)-3-(4-fluorophenyl)-3-hydroxypropyl]-4-oxo-2-azetidinyl]phenoxy]sulfonyl]-5-O-β-D-glucopyranosyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



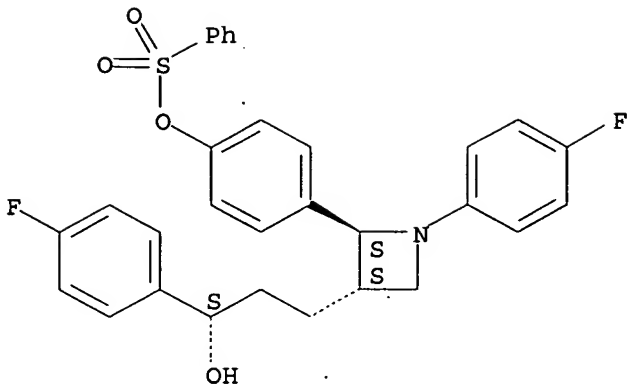
RN 866918-04-9 CAPLUS
CN D-gluco-D-gulo-Heptitol, 2,6-anhydro-1-deoxy-1-[[4-[(2S,3R)-1-(4-fluorophenyl)-3-[3-(4-fluorophenyl)propyl]-4-oxo-2-azetidinyl]phenoxy]sulfonyl]-5-O-β-D-glucopyranosyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



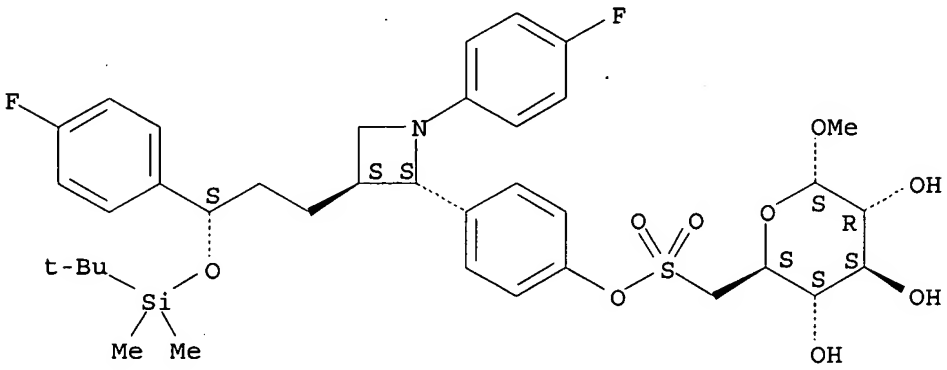
RN 866918-11-8 CAPLUS
CN 3-Azetidinepropanol, α,1-bis(4-fluorophenyl)-2-[4-[(phenylsulfonyl)oxy]phenyl]-, (αS,2S,3S)- (CA INDEX NAME)

Absolute stereochemistry.



RN 866918-15-2 CAPLUS
CN α-D-Glucopyranoside, methyl 6-deoxy-6-[[4-[(2S,3S)-3-[(3S)-3-[[(1,1-dimethylethyl)dimethylsilyl]oxy]-3-(4-fluorophenyl)propyl]-1-(4-fluorophenyl)-2-azetidinyl]phenoxy]sulfonyl]- (9CI) (CA INDEX NAME)

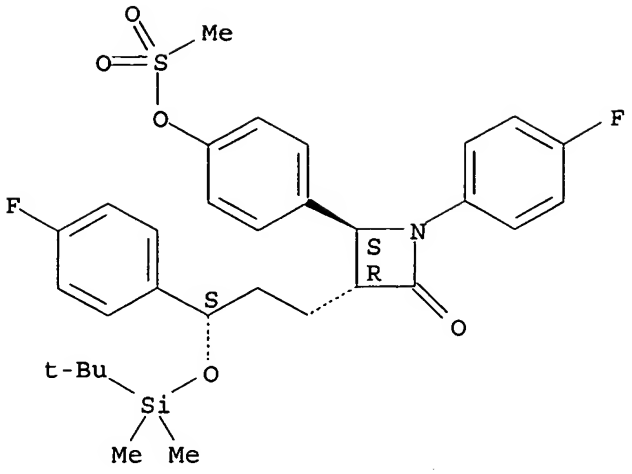
Absolute stereochemistry.



IT 849799-23-1P 849799-25-3P 849799-29-7P
849799-30-0P 849799-31-1P 849799-34-4P
849799-35-5P 849799-37-7P 866918-10-7P
866918-13-0P 866918-16-3P 866918-17-4P
866918-19-6P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(synthesis and in vitro evaluation of oligosaccharide lactams inhibitors of intestinal cholesterol absorption)

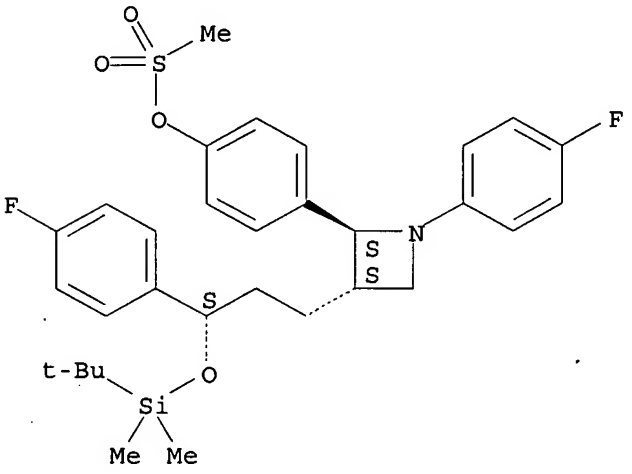
RN 849799-23-1 CAPLUS
CN 2-Azetidinone, 3-[(3S)-3-[[(1,1-dimethylethyl)dimethylsilyl]oxy]-3-(4-fluorophenyl)propyl]-1-(4-fluorophenyl)-4-[4-[(methylsulfonyl)oxy]phenyl]-, (3R,4S)- (CA INDEX NAME)

Absolute stereochemistry.



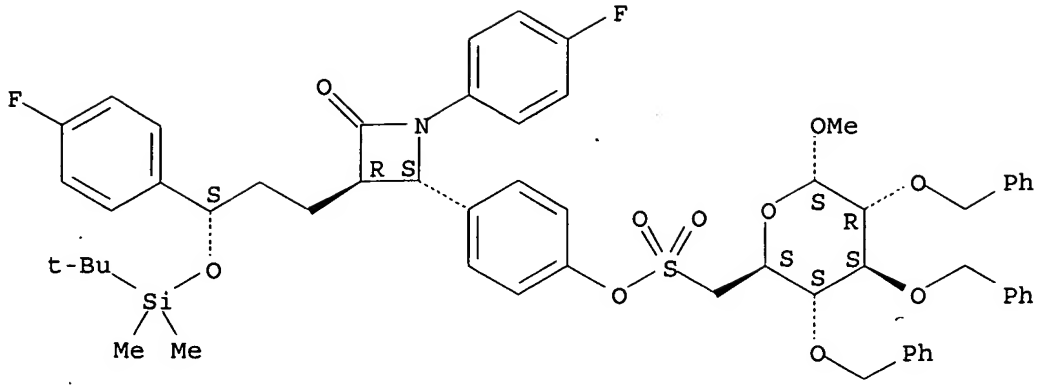
RN 849799-25-3 CAPLUS
CN Phenol, 4-[(2S,3S)-3-[(3S)-3-[[(1,1-dimethylethyl)dimethylsilyl]oxy]-3-(4-fluorophenyl)propyl]-1-(4-fluorophenyl)-2-azetidinyl]-, methanesulfonate (ester) (9CI) (CA INDEX NAME)

Absolute stereochemistry.



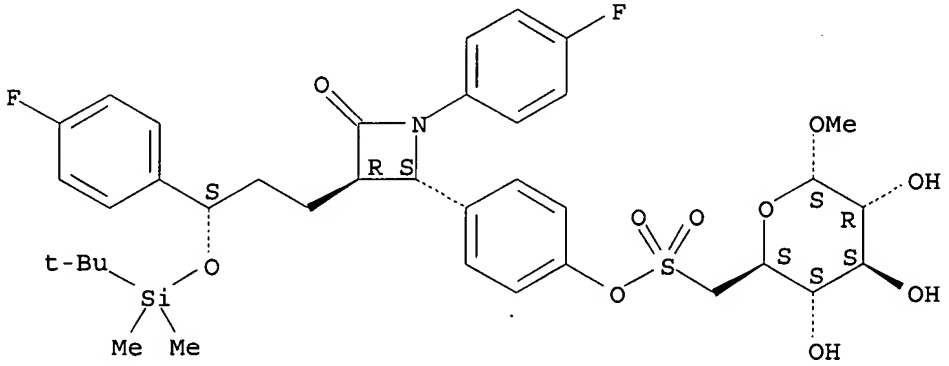
RN 849799-29-7 CAPLUS
CN α-D-Glucopyranoside, methyl 6-deoxy-6-[[4-[(2S,3R)-3-[(3S)-3-[[(1,1-dimethylethyl)dimethylsilyl]oxy]-3-(4-fluorophenyl)propyl]-1-(4-fluorophenyl)-4-oxo-2-azetidinyl]phenoxy]sulfonyl]-2,3,4-tris-O-(phenylmethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



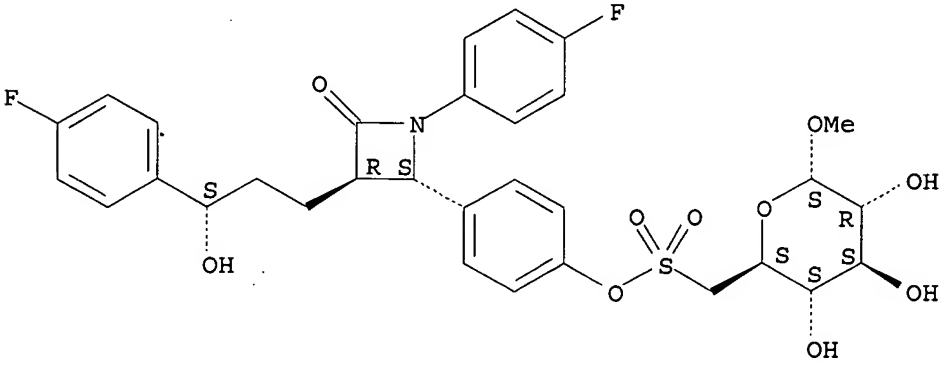
RN 849799-30-0 CAPLUS
CN α-D-Glucopyranoside, methyl 6-deoxy-6-[[4-[(2S,3R)-3-[(3S)-3-[[(1,1-dimethylethyl)dimethylsilyl]oxy]-3-(4-fluorophenyl)propyl]-1-(4-fluorophenyl)-4-oxo-2-azetidinyl]phenoxy]sulfonyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 849799-31-1 CAPLUS
CN α-D-Glucopyranoside, methyl 6-deoxy-6-[[4-[(2S,3R)-1-(4-fluorophenyl)-3-[(3S)-3-(4-fluorophenyl)-3-hydroxypropyl]-4-oxo-2-azetidinyl]phenoxy]sulfonyl]- (9CI) (CA INDEX NAME)

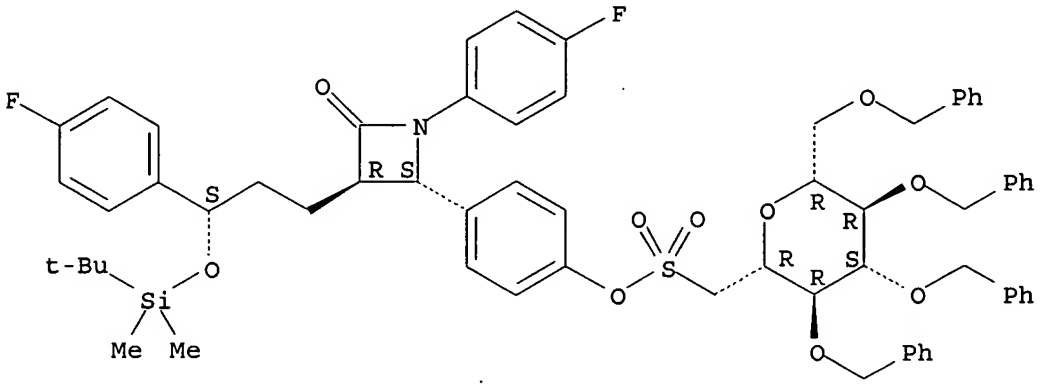
Absolute stereochemistry.



RN 849799-34-4 CAPLUS
CN D-glycero-D-gulo-Heptitol, 2,6-anhydro-1-deoxy-1-[[4-[(2S,3R)-3-[(3S)-3-[[(1,1-dimethylethyl)dimethylsilyl]oxy]-3-(4-fluorophenyl)propyl]-1-(4-fluorophenyl)-4-oxo-2-azetidinyl]phenoxy]sulfonyl]-3,4,5,7-tetrakis-O-

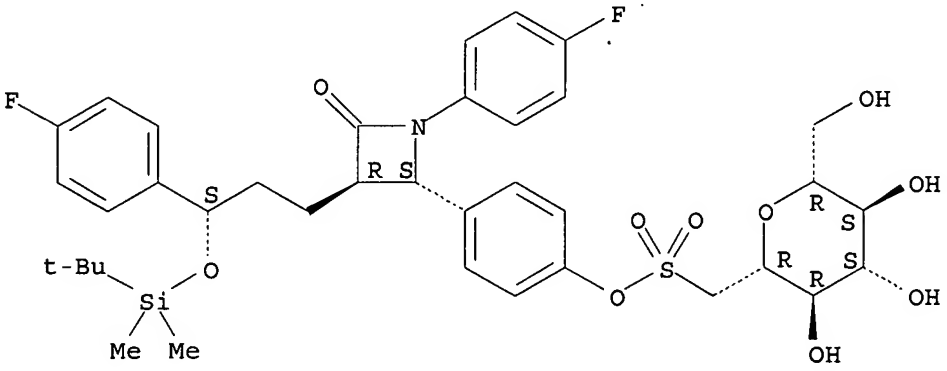
(phenylmethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



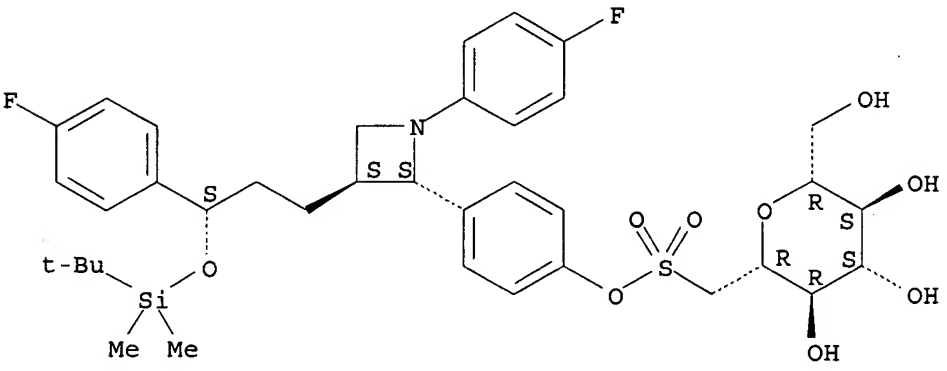
RN 849799-35-5 CAPLUS
CN D-glycero-D-gulo-Heptitol, 2,6-anhydro-1-deoxy-1-[[4-[(2S,3R)-3-[(3S)-3-[[(1,1-dimethylethyl)dimethylsilyl]oxy]-3-(4-fluorophenyl)propyl]-1-(4-fluorophenyl)-4-oxo-2-azetidinyl]phenoxy]sulfonyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



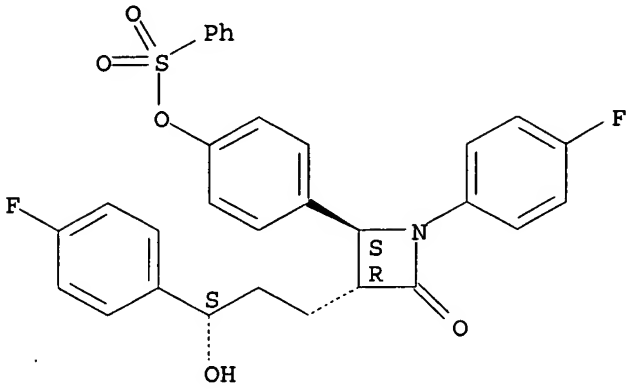
RN 849799-37-7 CAPLUS
CN D-glycero-D-gulo-Heptitol, 2,6-anhydro-1-deoxy-1-[[4-[(2S,3S)-3-[(3S)-3-[[(1,1-dimethylethyl)dimethylsilyl]oxy]-3-(4-fluorophenyl)propyl]-1-(4-fluorophenyl)-2-azetidinyl]phenoxy]sulfonyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



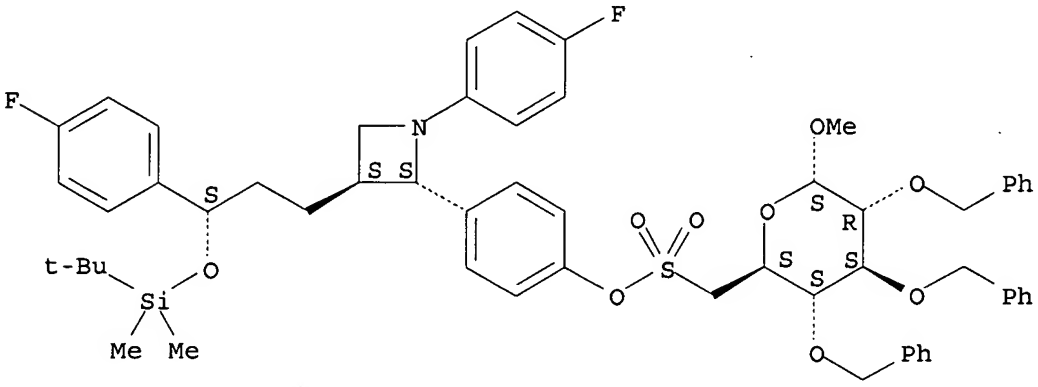
RN 866918-10-7 CAPLUS
CN 2-Azetidinone, 1-(4-fluorophenyl)-3-[(3S)-3-(4-fluorophenyl)-3-hydroxypropyl]-4-[4-[(phenylsulfonyl)oxy]phenyl]-, (3R,4S)- (CA INDEX NAME)

Absolute stereochemistry.



RN 866918-13-0 CAPLUS
CN α-D-Glucopyranoside, methyl 6-deoxy-6-[[4-[(2S,3S)-3-[(3S)-3-[[(1,1-dimethylethyl)dimethylsilyl]oxy]-3-(4-fluorophenyl)propyl]-1-(4-fluorophenyl)-2-azetidinyl]phenoxy]sulfonyl]-2,3,4-tris-O-(phenylmethyl)-(9CI) (CA INDEX NAME)

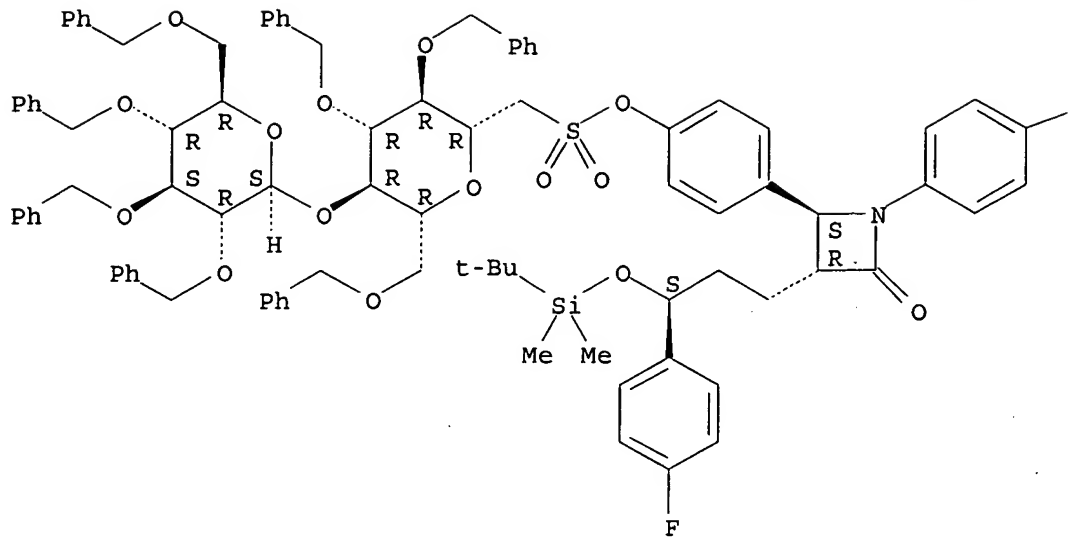
Absolute stereochemistry.



RN 866918-16-3 CAPLUS
CN D-gluco-D-gulo-Heptitol, 2,6-anhydro-1-deoxy-1-[[4-[(2S,3R)-3-[(3S)-3-[[(1,1-dimethylethyl)dimethylsilyl]oxy]-3-(4-fluorophenyl)propyl]-1-(4-fluorophenyl)-4-oxo-2-azetidinyl]phenoxy]sulfonyl]-3,4,7-tris-O-(phenylmethyl)-5-O-[2,3,4,6-tetrakis-O-(phenylmethyl)-β-D-glucopyranosyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

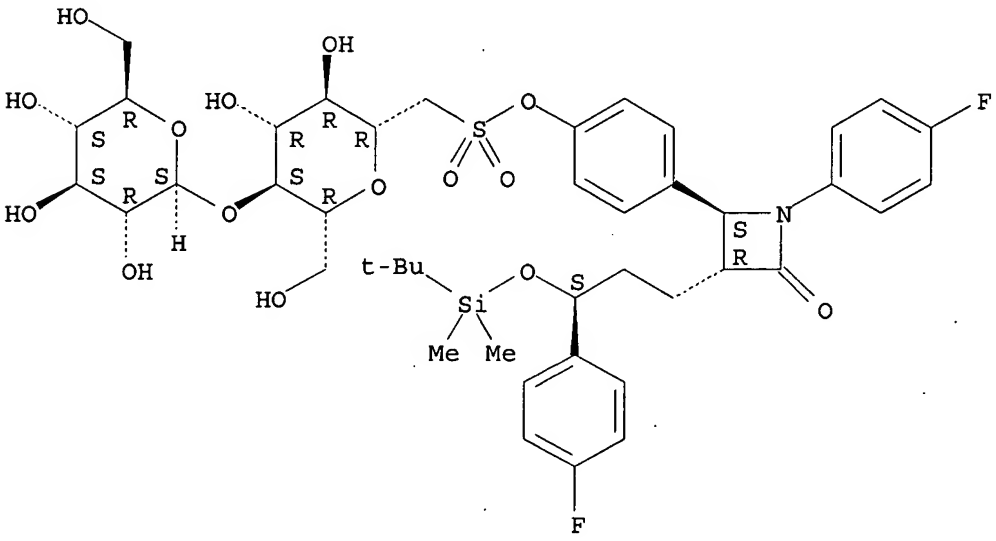
PAGE 1-A



PAGE 1-B

RN 866918-17-4 CAPLUS
CN D-gluco-D-gulo-Heptitol, 2,6-anhydro-1-deoxy-1-[[4-[(2S,3R)-3-[(3S)-3-[[(1,1-dimethylethyl)dimethylsilyl]oxy]-3-(4-fluorophenyl)propyl]-1-(4-fluorophenyl)-4-oxo-2-azetidinyl]phenoxy]sulfonyl]-5-O-β-D-glucopyranosyl- (9CI) (CA INDEX NAME)

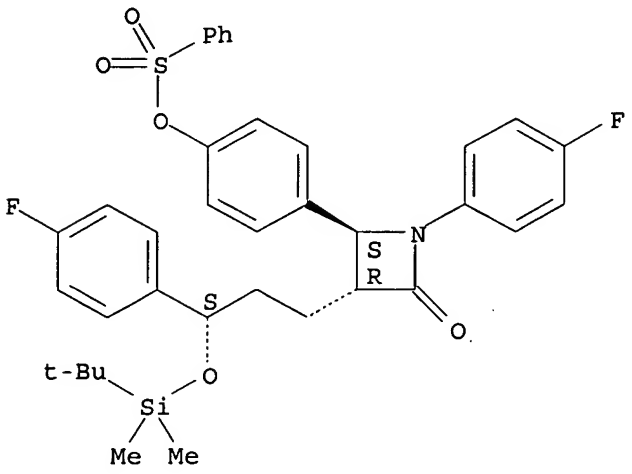
Absolute stereochemistry.



RN 866918-19-6 CAPLUS

CN 2-Azetidinone, 3-[(3S)-3-[[(1,1-dimethylethyl)dimethylsilyl]oxy]-3-(4-fluorophenyl)propyl]-1-(4-fluorophenyl)-4-[4-[(phenylsulfonyl)oxy]phenyl]-, (3R,4S)- (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 86 THERE ARE 86 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 6 OF 12 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2005:451353 CAPLUS
DOCUMENT NUMBER: 143:7939
TITLE: Preparation of 4-biarylyl-1-phenylazetidin-2-one glycosides useful for the treatment of hypercholesterolemia
INVENTOR(S): Martinez, Eduardo; Talley, John J.; Antonelli, Stephen; Barden, Timothy C.; Lundrigan-Soucy, Regina; Schairer, Wayne C.; Yang, Jing-Jing; Zimmer, Daniel P.
PATENT ASSIGNEE(S): Microbia, Inc., USA
SOURCE: PCT Int. Appl., 247 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005047248	A1	20050526	WO 2004-US37715	20041110
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2004288822	A1	20050526	AU 2004-288822	20041110
CA 2545058	A1	20050526	CA 2004-2545058	20041110
US 2005209165	A1	20050922	US 2004-986570	20041110
EP 1682499	A1	20060726	EP 2004-810780	20041110
EP 1682499	B1	20070808		

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK, IS

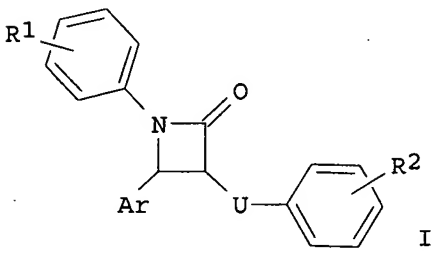
CN 1902169	A	20070124	CN 2004-80039695		20041110
BR 2004016361	A	20070508	BR 2004-16361		20041110
JP 2007520453	T	20070726	JP 2006-539874		20041110
AT 369335	T	20070815	AT 2004-810780		20041110
EP 1832576	A1	20070912	EP 2007-75461		20041110

R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL, LT, LV, MK

IN 2006KN01155	A	20070427	IN 2006-KN1155		20060503
MX 2006PA05106	A	20070125	MX 2006-PA5106		20060504
NO 2006002665	A	20060809	NO 2006-2665		20060609
KR 2007050861	A	20070516	KR 2006-711344		20060609
PRIORITY APPLN. INFO.:			US 2003-518698P	P	20031110
			US 2004-549577P	P	20040303
			US 2004-592529P	P	20040730
			US 2004-614005P	P	20040928
			EP 2004-810780	A3	20041110
			WO 2004-US37715	W	20041110

OTHER SOURCE(S): MARPAT 143:7939

GI



I

AB 4-Biarylyl-1-phenylazetidin-2-ones I, wherein Ar is substituted aryl, R1 and R2 are independently H, halogen, OH, alkyl, OCF2H, OCF3, CF2H, CHF2, alkoxy, methylenedioxy, ethylenedioxy, hydroxy-alkyl, CN, CF3, nitro, SH, thioalkyl, amino, alkylamino, dialkylamino, amino-sulfonyl, alkylamino-sulfonyl, dialkylamino-sulfonyl, alkyl-sulfonyl, arylsulfonyl, acyl, carboxy, alkoxycarbonyl, carboxy-alkyl, carboxamido, alkyl sulfoxide, acylamino, amidino, Ph, benzyl, phenoxy, benzyloxy, PO3H2, SO3H, B(OH)2, sugar, polyol, glucuronide, sugar carbamate; R2 is U is alkylene in which one or more CH2 may be replaced by a radical chosen from S, S(O), SO2, O, C(O), CHOH, NH, CHF, CF2, CH(O-lower-alkyl), CH(O-lower-acyl), CH(OSO3H), CH(OPO3H2), CH(OB(OH)2), or NOH; were prepared and used for the treatment of hypercholesterolemia. Thus, (1R)-1,5-anhydro-1-[4'-[(2S,3R)-1-(4-fluorophenyl)-3-[(3S)-3-(4-fluorophenyl)-3-hydroxypropyl]-4-oxoazetidin-2-yl]biphenyl-4-yl]-L-glucitol, was prepared and tested for the treatment of hypercholesterolemia. A method of prevention or treatment of a cholesterol-associated tumor benign prostatic hypertrophy, benign breast tumor, benign endometrial tumor, benign prostatic hypertrophy, and benign colon tumor, is claimed. Pharmacokinetics study of title compds. and bioavailability studies are carried out in rats. Compds. of the invention were tested in the rat cholesterol absorption (inhibition range 7-76 %)..

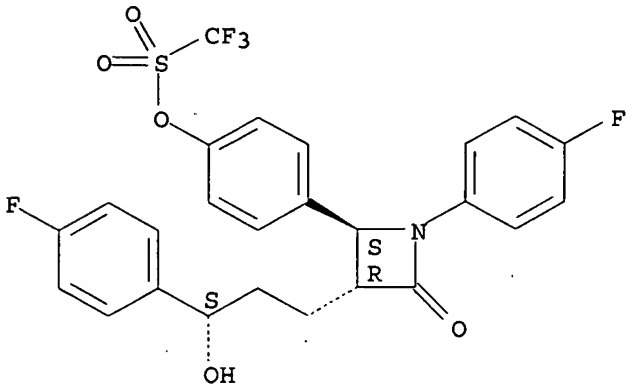
IT 847781-45-7P

RL: IMF (Industrial manufacture); PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of 4-biarylyl-1-phenylazetidin-2-one glycosides useful for the treatment of hypercholesterolemia)

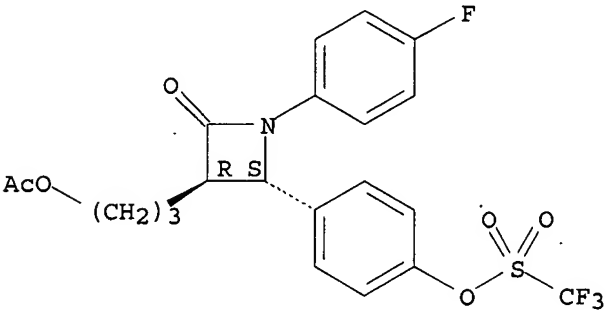
RN 847781-45-7 CAPLUS
CN Methanesulfonic acid, trifluoro-, 4-[(2S,3R)-1-(4-fluorophenyl)-3-[(3S)-3-(4-fluorophenyl)-3-hydroxypropyl]-4-oxo-2-azetidinyl]phenyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT 852204-11-6P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of 4-biarylyl-1-phenylazetidin-2-one glycosides useful for the treatment of hypercholesterolemia)
RN 852204-11-6 CAPLUS
CN Methanesulfonic acid, trifluoro-, 4-[(2S,3R)-3-[3-(acetyloxy)propyl]-1-(4-fluorophenyl)-4-oxo-2-azetidinyl]phenyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



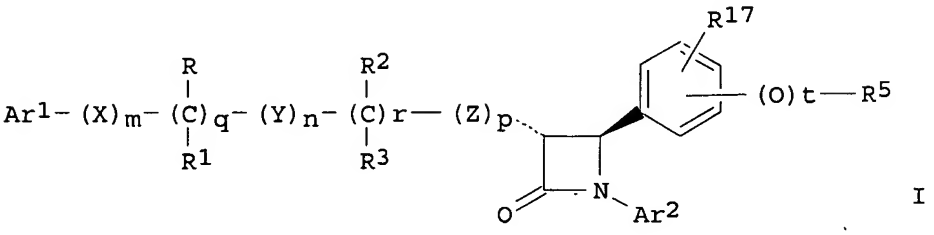
REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 7 OF 12 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2005:423742 CAPLUS
DOCUMENT NUMBER: 142:481875
TITLE: Derivatives of 2-azetidinone as antihypercholesterolemic agents
INVENTOR(S): Sings, Heather I.; Ujjainwalla, Feroze; Maccoss, Malcolm; Myers, Robert W.
PATENT ASSIGNEE(S): Merck & Co., Inc., USA
SOURCE: PCT Int. Appl., 58 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
WO 2005044256	A1	20050519	WO 2004-US35845		20041027
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW					
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG					
AU 2004286838	A1	20050519	AU 2004-286838		20041027
CA 2543943	A1	20050519	CA 2004-2543943		20041027
EP 1682117	A1	20060726	EP 2004-796665		20041027
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK					
CN 1870988	A	20061129	CN 2004-80031555		20041027
JP 2007509963	T	20070419	JP 2006-538258		20041027
IN 2006DN01898	A	20070615	IN 2006-DN1898		20060407
US 2007135357	A1	20070614	US 2006-577204		20060426
PRIORITY APPLN. INFO.:			US 2003-515842P	P	20031030
			WO 2004-US35845	W	20041027

OTHER SOURCE(S): MARPAT 142:481875

GI



I

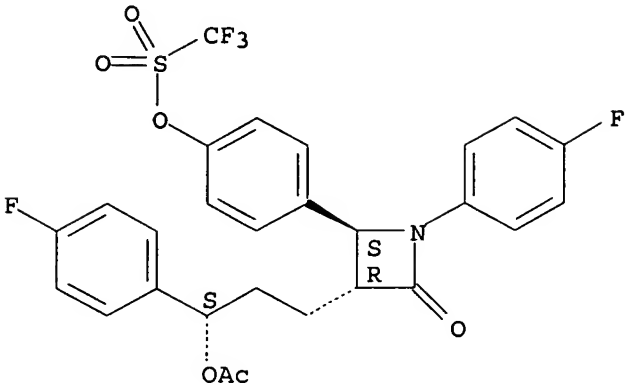
AB The present invention provides 2-azetidinone derivs., such as I [Ar1, Ar2 = aryl, R4-substituted aryl; X, Y, Z = CH2, CH(C1-6alklyl), C(C1-6alklyl)2; R = OR6, OCOR6, OCO2R6, OCONR6R7, sugar residue; R1 = H, alkyl, aryl; RR1 = oxo; R2 = OR6, OCOR6, OCO2R6, OCONR6R7; R3 = H, alkyl, aryl; R2R3 = oxo; q, r, t = 0 - 1; m, n, p = 0 - 4; R4 = OR6, OCOR6,OCO2R9, OCONR6R7, COR6, CONR6R7, SO2NR6R7, F; R5 = R10-R11, R12-R13, OCF3, NR6R7, F ; R6, R7 = alkyl, aryl, aryl-substituted aryl; R10, R12 = S, SO, SO2, etc.; R11 = sugar, di-sugar, tri-sugar, tetra-sugar residue; R13 = thiasugar, fluoro-sugar; R17 = H, OH, halo, alkyl, O-alkyl, CF3, CN, NR6R7]; and the pharmaceutically acceptable salts and esters thereof, for their use as antihypercholesterolemic agents. The 2-azetidinone derivs. I are useful for lowering plasma cholesterol levels, particularly LDL cholesterol, and for treating and preventing atherosclerosis and atherosclerotic disease events.

IT 851860-30-5P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(derivs. of 2-azetidinone as antihypercholesterolemic agents)

RN 851860-30-5 CAPLUS

CN Methanesulfonic acid, trifluoro-, 4-[(2S,3R)-3-[(3S)-3-(acetyloxy)-3-(4-fluorophenyl)propyl]-1-(4-fluorophenyl)-4-oxo-2-azetidinyl]phenyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



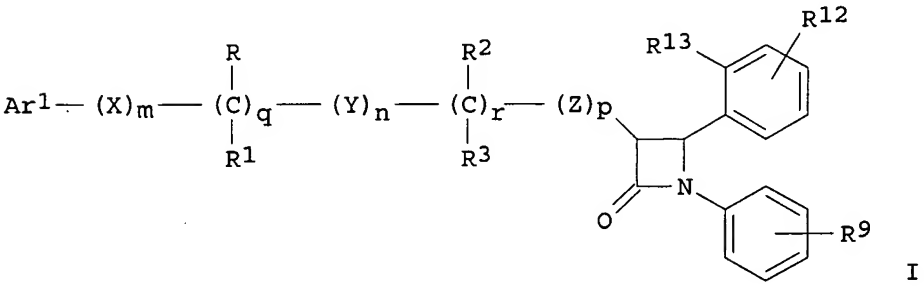
REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 1 OF 12 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2007:384832 CAPLUS
DOCUMENT NUMBER: 146:401809
TITLE: Preparation of phenyl oxoazetidine compounds as anti-hypercholesterolemic compounds
INVENTOR(S): Devita, Robert J.; Morriello, Gregori J.; Lin, Peter
PATENT ASSIGNEE(S): USA
SOURCE: U.S. Pat. Appl. Publ., 24pp.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

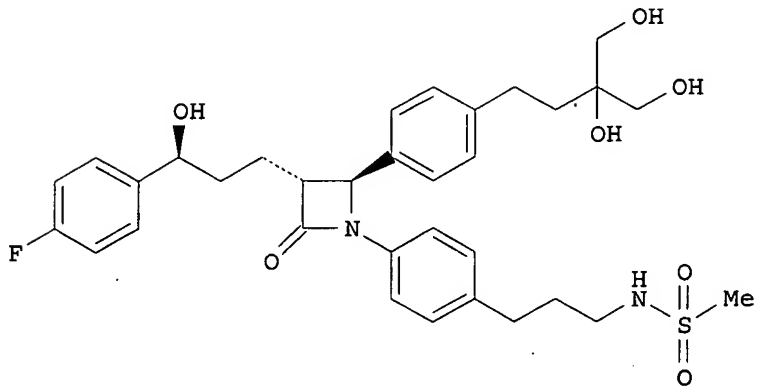
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2007078098	A1	20070405	US 2006-542966	20061004
WO 2007044318	A2	20070419	WO 2006-US38551	20060929
WO 2007044318	A3	20070712		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA

PRIORITY APPLN. INFO.: US 2005-723781P P 20051005
OTHER SOURCE(S): MARPAT 146:401809
GI



I



II

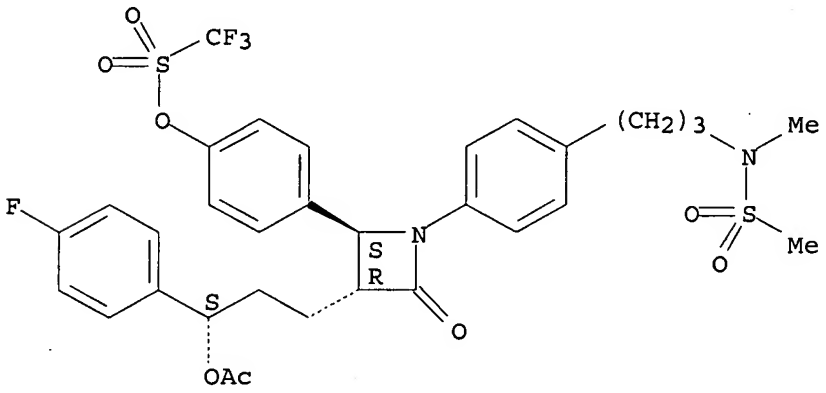
AB This invention provides cholesterol absorption inhibitors of Formula I (wherein Ar1 is (un)substituted aryl; X, Y and Z are -CH2-, -CH(C1-6alkyl)- and -C(C1-6alkyl)2-; R is OH, alkoxy, a sugar residue, etc.; R1 is H, C1-6alkyl and aryl, or R and R1 together are oxo; R2 is OH, alkoxy, etc.; R3 is H, C1-6alkyl and aryl, or R2 and R3 together are oxo; q and r are 0-1; m, n and p are 0-4; t is 0-2; R9 is -C.tplbond.C-(CH2)y-NR10R11, etc.; y is 1-6; R10 is H and C1-3alkyl; R11 is H, C1-3alkyl, etc.; R12 is C1-5alkyl mono- or polysubstituted with OH, etc.; and R13 is H and OH) and the pharmaceutically acceptable salts and esters thereof. The compds. are useful for lowering plasma cholesterol levels, particularly LDL cholesterol, and for treating and preventing atherosclerosis and atherosclerotic disease events. Example compound II was prepared in 7 steps from an initial reaction between 4-[(2S,3R)-3-[(3S)-3-(acetyloxy)-3-(4-fluorophenyl)propyl]-1-(4-iodophenyl)-4-oxoazetidin-2-yl]phenyl acetate (preparation given) and N-prop-2-yn-1-ylmethanesulfonamide (preparation given). No biol. data is given in the patent.

IT 917565-51-6P 917565-59-4P 932724-79-3P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of Ph oxoazetidine compds. as anti-hypercholesterolemic compds.)

RN 917565-51-6 CAPLUS

CN Methanesulfonic acid, 1,1,1-trifluoro-, 4-[(2S,3R)-3-[(3S)-3-(acetyloxy)-3-(4-fluorophenyl)propyl]-1-[4-[3-[methyl(methylsulfonyl)amino]propyl]phenyl]-4-oxo-2-azetidinyl]phenyl ester (CA INDEX NAME)

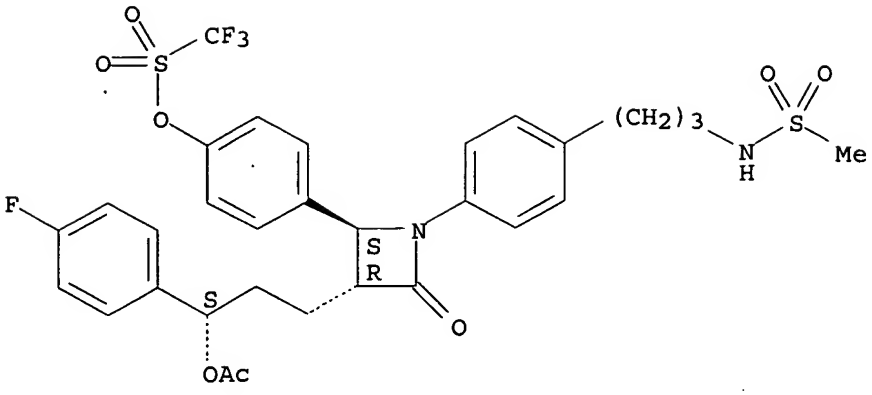
Absolute stereochemistry.



RN 917565-59-4 CAPLUS

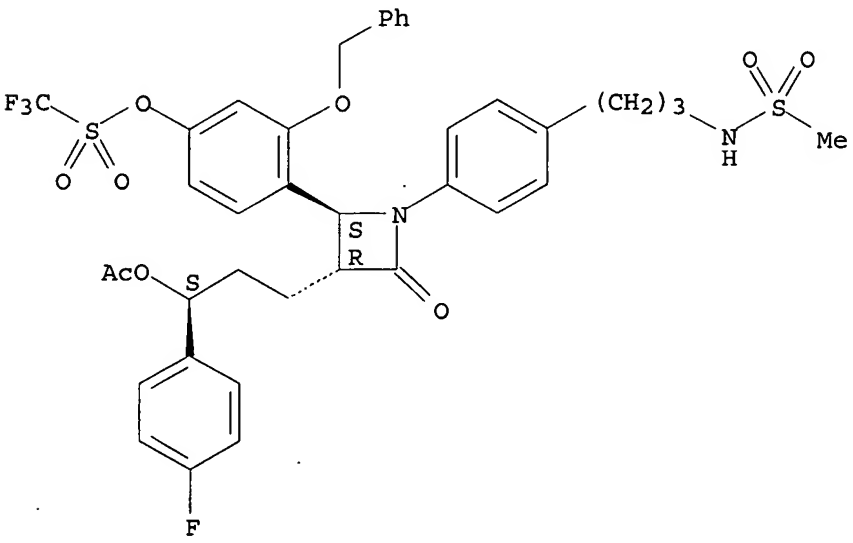
CN Methanesulfonic acid, 1,1,1-trifluoro-, 4-[(2S,3R)-3-[(3S)-3-(acetyloxy)-3-(4-fluorophenyl)propyl]-1-[4-[3-[(methylsulfonyl)amino]propyl]phenyl]-4-oxo-2-azetidinyl]phenyl ester (CA INDEX NAME)

Absolute stereochemistry.



RN 932724-79-3 CAPLUS
CN Methanesulfonic acid, 1,1,1-trifluoro-, 4-[(2S,3R)-3-[(3S)-3-(acetyloxy)-3-(4-fluorophenyl)propyl]-1-[4-[3-[(methylsulfonyl)amino]propyl]phenyl]-4-oxo-2-azetidinyl]-3-(phenylmethoxy)phenyl ester (CA INDEX NAME)

Absolute stereochemistry.



L7 ANSWER 2 OF 12 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2006:1354178 CAPLUS
DOCUMENT NUMBER: 146:100976
TITLE: Preparation of azetidine-containing uronic acids as anti-hypercholesterolemic compounds
INVENTOR(S): Devita, Robert J.; Morriello, Greg J.; Ogawa, Anthony K.; Ujjainwalla, Feroze
PATENT ASSIGNEE(S): Merck & Co., Inc., USA
SOURCE: PCT Int. Appl., 47pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

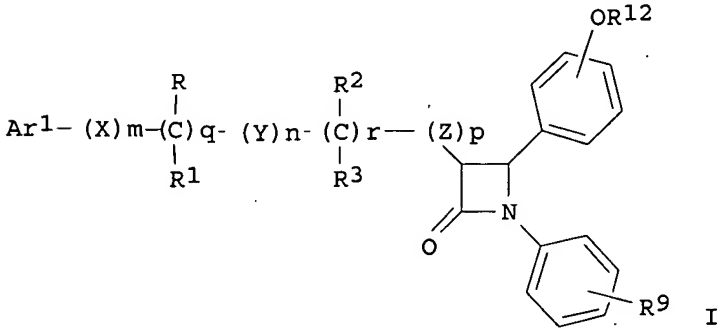
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006138163	A2	20061228	WO 2006-US22470	20060609
WO 2006138163	A3	20070405		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW

RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA

PRIORITY APPLN. INFO.: US 2005-690856P P 20050615
OTHER SOURCE(S): MARPAT 146:100976

GI



I

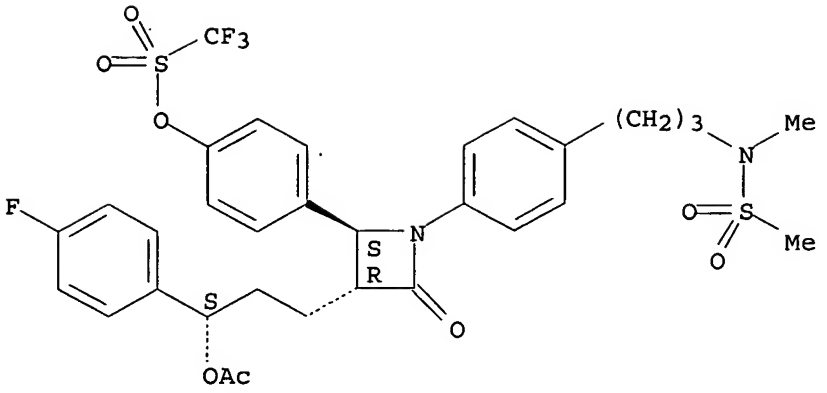
AB This invention provides azetidine-containing uronic acids as cholesterol absorption inhibitors of formula I, wherein Ar1 is aryl, substituted aryl; X, Y, and Z are independently CH2, CH(alkyl), C(alkyl)2; q and r are independently 0-1; m, n, and p are independently 0-4; R is OR6, O(CO)R6, O(CO)OR9, O(CO)NR6R7, sugar, di-sugar, tri-sugar, tetra-sugar; R1 is H, alkyl, aryl; RR1 together are O; R2 is OR6, O(CO)R6, O(CO)OR9, O(CO)NR6R7; R3 is H, alkyl, aryl; R2R3 together are O; R6 and R7 are independently H, alkyl, aryl; R9 is substituted alkynyl; R12 is uronic acid, were prepared as anti-hypercholesterolemic compds. The compds. are useful for lowering plasma cholesterol levels, particularly LDL cholesterol, and for treating and preventing atherosclerosis and atherosclerotic disease events. Thus, N-[3-(4-{(2S,3R)-2-(4-{[(2S,5S,3R,4R,6R)-3,4,5-trihydroxy-6-(hydroxymethyl)perhydro-2H-pyran-2-yl]ethyl-2-yl}phenyl)-3-[(3S)-3-(4-fluorophenyl)-3-hydroxypropyl]-4-oxoazetidin-1-yl}phenyl)propyl]-N-methylmethanesulfonamide was prepared and tested as anti-hypercholesterolemic compds. The oral dosage amount of the title compds., is from about 0.1 to about 30 mg/kg of body weight per day, preferably about 0.1 to about 15 mg/kg of body weight per day. The compds. of this invention inhibit cholesterol absorption in mice.

IT 917565-51-6P 917565-59-4P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of azetidine-containing uronic acids as antihypercholesterolemic compds.)

RN 917565-51-6 CAPLUS

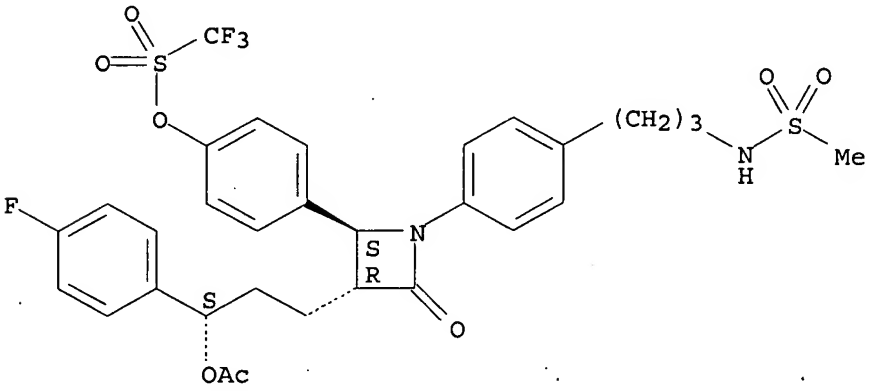
CN Methanesulfonic acid, 1,1,1-trifluoro-, 4-[(2S,3R)-3-[(3S)-3-(acetyloxy)-3-(4-fluorophenyl)propyl]-1-[4-[3-[methyl(methylsulfonyl)amino]propyl]phenyl]-4-oxo-2-azetidinyl]phenyl ester (CA INDEX NAME)

Absolute stereochemistry.



RN 917565-59-4 CAPLUS
CN Methanesulfonic acid, 1,1,1-trifluoro-, 4-[(2S,3R)-3-[(3S)-3-(acetyloxy)-3-(4-fluorophenyl)propyl]-1-[4-[3-[(methylsulfonyl)amino]propyl]phenyl]-4-oxo-2-azetidinyl]phenyl ester (CA INDEX NAME)

Absolute stereochemistry.



L7 ANSWER 3 OF 12 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2006:1226928 CAPLUS
DOCUMENT NUMBER: 145:505259
TITLE: Preparation of 4-biarylyl-1-phenylazetidin-2-ones for the treatment of hypercholesterolemia
INVENTOR(S): Antonelli, Stephen; Barden, Timothy C.; Cali, Brian; Currie, Mark G.; Lundrigan-Soucy, Regina; Yang, Jing-Jing; Yorgey, Peter S.; Zimmer, Daniel P.; Martinez, Eduardo; Schairer, Wayne C.; Talley, John J.
PATENT ASSIGNEE(S): Microbia, Inc., USA
SOURCE: PCT Int. Appl., 449pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006124713	A2	20061123	WO 2006-US18616	20060515
WO 2006124713	A3	20070118		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR,

KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX,
MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE,
SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC,
VN, YU, ZA, ZM, ZW
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE,
IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ,
CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH,
GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,
KG, KZ, MD, RU, TJ, TM

PRIORITY APPLN. INFO.: US 2005-681232P P 20050513
US 2005-695988P P 20050701

OTHER SOURCE(S): MARPAT 145:505259

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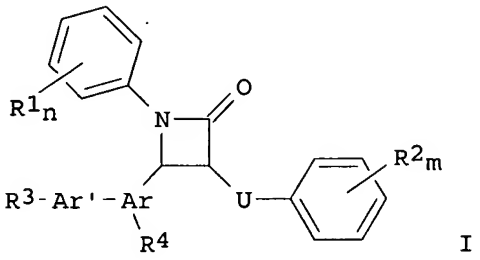
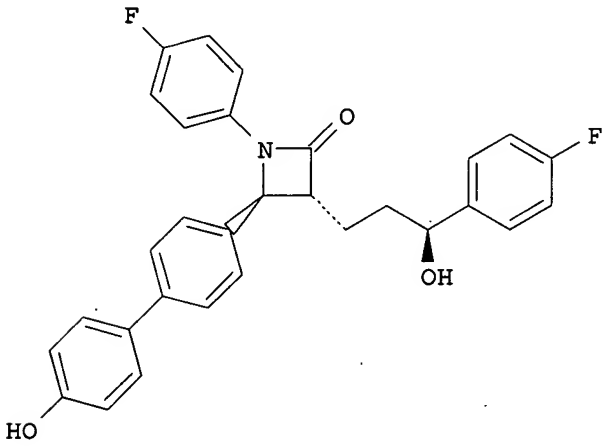


I



II

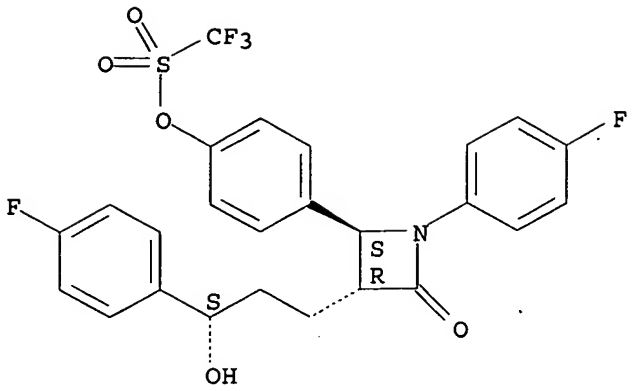
AB 4-Biaryl-1-phenylazetidin-2-ones of formula I [R1-R4 = H, halo OH, alkyl, alkoxy, CN, etc.; n, m = 1-5; U = alkylene, etc.; Ar = aryl, heteroaryl; Ar' = aryl] are prepared which are useful for the treatment of hypercholesterolemia. Thus, II was prepared, and had ED50 value of 0.002 mg/kg in rat cholesterol absorption model.

IT 847781-45-7P 851860-30-5P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of biarylphenylazetidinones for treatment of hypercholesterolemia)

RN 847781-45-7 CAPLUS

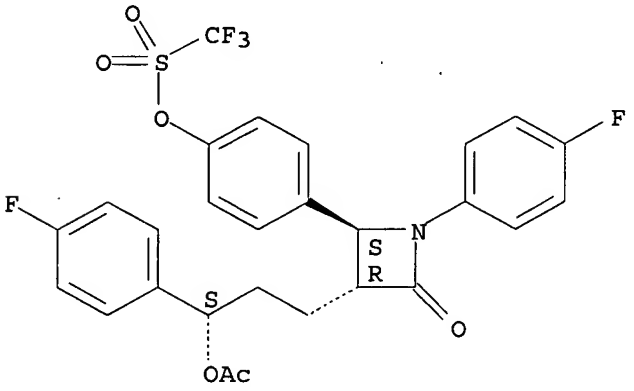
CN Methanesulfonic acid, trifluoro-, 4-[(2S,3R)-1-(4-fluorophenyl)-3-[(3S)-3-(4-fluorophenyl)-3-hydroxypropyl]-4-oxo-2-azetidinyl]phenyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 851860-30-5 CAPLUS
CN Methanesulfonic acid, trifluoro-, 4-[(2S,3R)-3-[(3S)-3-(acetyloxy)-3-(4-fluorophenyl)propyl]-1-(4-fluorophenyl)-4-oxo-2-azetidinyl]phenyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



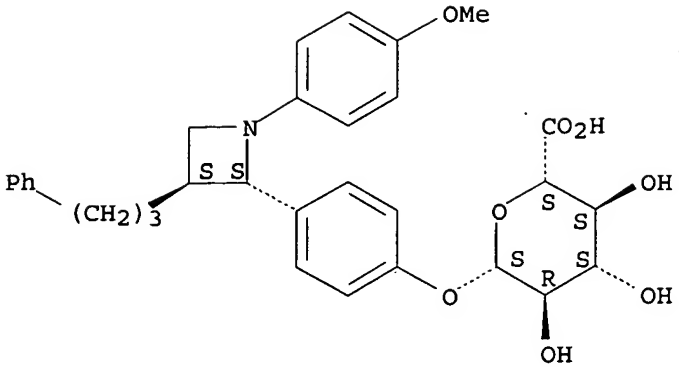
L19 ANSWER 30 OF 31 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1997:674656 CAPLUS
DOCUMENT NUMBER: 127:355207
TITLE: In vivo metabolism-based discovery of a potent cholesterol absorption inhibitor, SCH58235, in the rat and rhesus monkey through the identification of the active metabolites of SCH48461
AUTHOR(S): Van Heek, Margaret; France, Constance F.; Compton, Douglas S.; McLeod, Robbie L.; Yumibe, Nathan P.; Alton, Kevin B.; Sybertz, Edmund J.; Davis, Harry R., Jr.
CORPORATE SOURCE: Department of CNS and Cardiovascular Research, Schering-Plough Research Institute, Kenilworth, NJ, USA
SOURCE: Journal of Pharmacology and Experimental Therapeutics (1997), 283(1), 157-163
CODEN: JPETAB; ISSN: 0022-3565
PUBLISHER: Williams & Wilkins
DOCUMENT TYPE: Journal
LANGUAGE: English

AB SCH48461 is a selective and highly potent inhibitor of cholesterol absorption. In rats, SCH48461 is rapidly and completely metabolized in the first pass through the body. To compare the activity of the metabolites of SCH48461 with SCH48461 itself, an intestinally cannulated, bile duct-cannulated rat model for cholesterol absorption was developed. SCH48461 inhibited the absorption of cholesterol by 70%, whereas bile containing the metabolites of SCH48461 (henceforth, "metabolite bile") inhibited the absorption by greater than 95%. Very little of the recovered radioactive dose of SCH48461 was located in the intestinal lumen (7%) or wall (4%), whereas 85% appeared in bile. However, in rats treated with metabolite bile, 62% of the dose remained in the lumen, 13% was associated with the wall and only 24% reappeared in bile, which suggests that the activity of the metabolite bile may be related to its higher retention in the intestinal wall. Rats treated with metabolite bile had 64% and 84% less drug-related radioactivity in their plasma and livers, resp., compared with animals treated with SCH48461, which indicates that the metabolites are systemically less available than SCH48461. The metabolites in bile were separated by high-performance liquid chromatog.; the most active fraction in the bile duct-cannulated rat model was identified by mass spectrometry as the glucuronide of the C4-phenol of SCH48461. The other fractions had moderate or no activity. Through the identification of the most active biliary metabolites of SCH48461 in the rat, we have discovered SCH58235, a novel cholesterol absorption inhibitor which is 400 times more potent than SCH48461 in the cholesterol-fed rhesus monkey.

IT 198561-85-2
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); MFM (Metabolic formation); BIOL (Biological study); FORM (Formation, nonpreparative)
(in vivo metabolism-based discovery of cholesterol absorption inhibitor, SCH58235, through identification of active metabolites of SCH48461)

RN 198561-85-2 CAPLUS
CN β-D-Glucopyranosiduronic acid, 4-[(2S,3S)-1-(4-methoxyphenyl)-3-(3-phenylpropyl)-2-azetidinyl]phenyl (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 21 THERE ARE 21 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

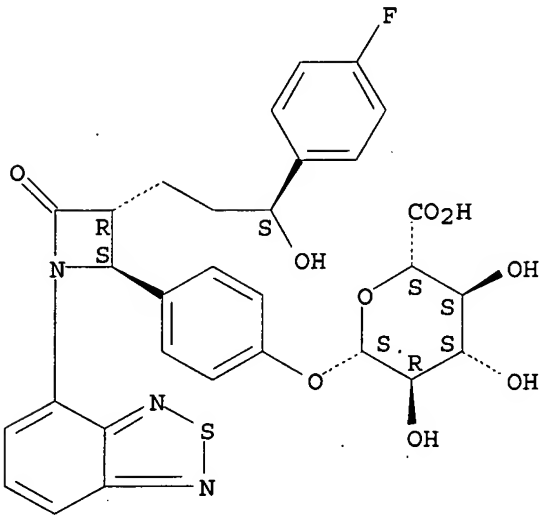
L19 ANSWER 25 OF 31 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1999:651917 CAPLUS
DOCUMENT NUMBER: 132:77632
TITLE: An enzymatic synthesis of glucuronides of azetidinone-based cholesterol absorption inhibitors
AUTHOR(S): Reiss, P.; Burnett, D. A.; Zaks, A.
CORPORATE SOURCE: Schering-Plough Research Institute, Kenilworth, NJ, USA
SOURCE: Bioorganic & Medicinal Chemistry (1999), 7(10), 2199-2202
CODEN: BMECEP; ISSN: 0968-0896
PUBLISHER: Elsevier Science Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 132:77632

AB Two derivs. (I and III) of a novel cholesterol absorption inhibitor, Sch 58235, were glucuronidated (to II and IV, resp.) with the help of glucuronyl transferases derived from bovine and dog liver microsomes. An efficient procedure for the iodination of IV was developed on an anal. scale to be used for the preparation of a 125I-labeled radioactive glucuronide V.

IT 253436-47-4P, Sch 60672 glucuronide 253436-48-5P, Sch 60664 glucuronide 253436-49-6P
RL: BPN (Biosynthetic preparation); PUR (Purification or recovery); BIOL (Biological study); PREP (Preparation)
(enzymic synthesis of glucuronides of azetidinone-based cholesterol absorption inhibitors)

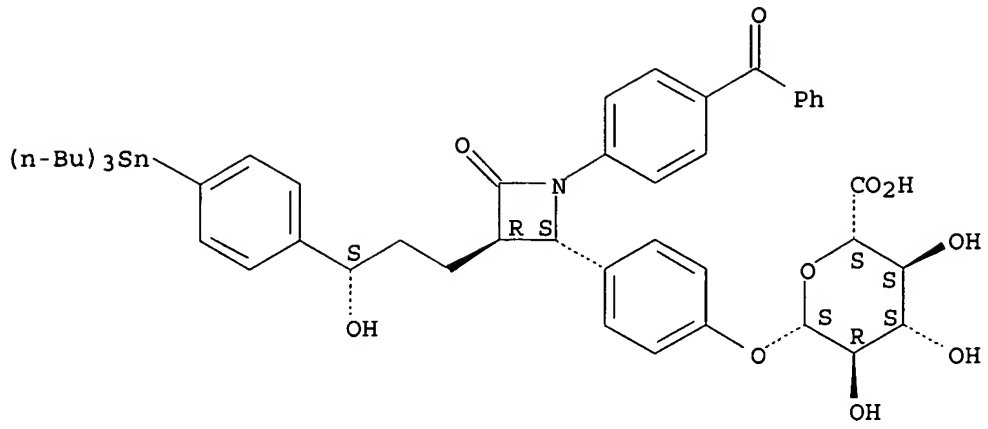
RN 253436-47-4 CAPLUS
CN β-D-Glucopyranosiduronic acid, 4-[(2S,3R)-1-(2,1,3-benzothiadiazol-4-yl)-3-[(3S)-3-(4-fluorophenyl)-3-hydroxypropyl]-4-oxo-2-azetidinyl]phenyl (CA INDEX NAME)

Absolute stereochemistry.



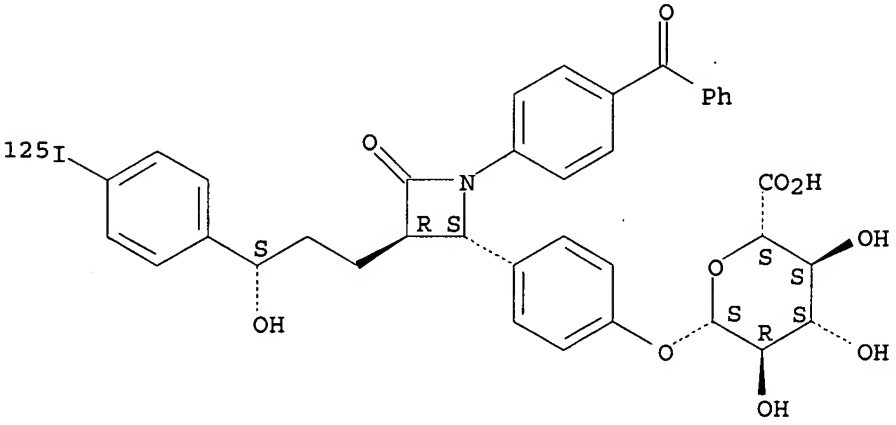
RN 253436-48-5 CAPLUS
CN β-D-Glucopyranosiduronic acid, 4-[(2S,3R)-1-(4-benzoylphenyl)-3-[(3S)-3-hydroxy-3-[4-(tributylstannyl)phenyl]propyl]-4-oxo-2-azetidinyl]phenyl (CA INDEX NAME)

Absolute stereochemistry.



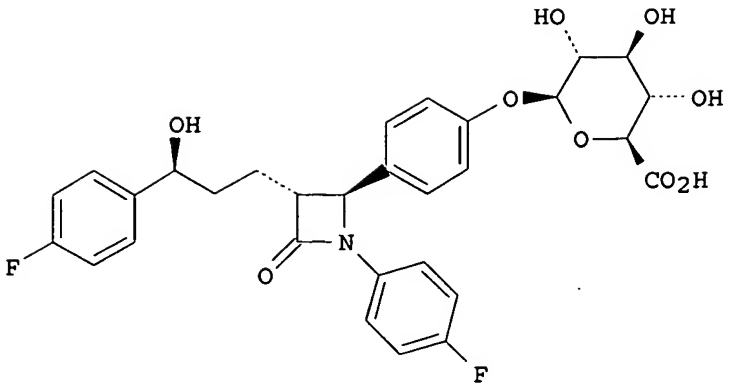
RN 253436-49-6 CAPLUS
CN β-D-Glucopyranosiduronic acid, 4-[(2S,3R)-1-(4-benzoylphenyl)-3-[(3S)-3-hydroxy-3-[4-(iodo-125I)phenyl]propyl]-4-oxo-2-azetidinyl]phenyl (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 19 THERE ARE 19 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L19 ANSWER 26 OF 31 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1998:665214 CAPLUS
DOCUMENT NUMBER: 130:3092
TITLE: Enzymic glucuronidation of a novel cholesterol absorption inhibitor, SCH 58235
AUTHOR(S): Zaks, Aleksey; Dodds, David R.
CORPORATE SOURCE: Schering-Plough Research Institute, Kenilworth, NJ, 07033, USA
SOURCE: Applied Biochemistry and Biotechnology (1998), 73(2-3), 205-214
CODEN: ABIBDL; ISSN: 0273-2289
PUBLISHER: Humana Press Inc.
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 130:3092
GI



I

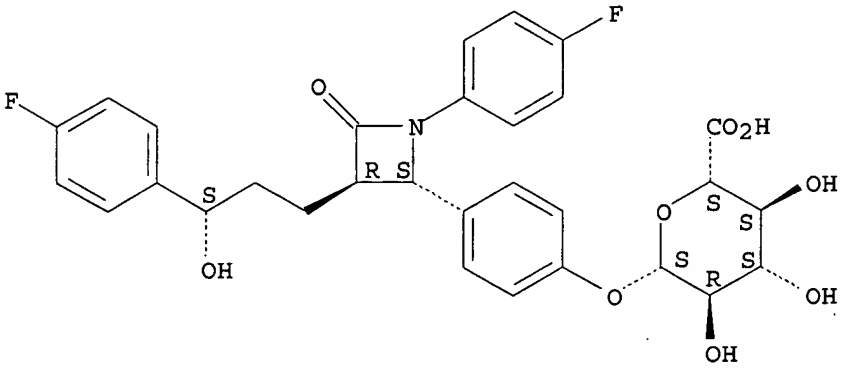
AB A glucuronide (I) of a novel cholesterol absorption inhibitor was synthesized on a 200-mg scale in 1 step via bovine liver glucuronyltransferase-catalyzed coupling of the glucuronyl moiety of UDP-glucuronic acid with the phenolic hydroxyl of Sch 58235. I yield is limited by the hydrolysis of UDP-glucuronic acid by impurities present in the com. microsomal preparation of the transferase. This detrimental effect of UDPGluA hydrolysis could be diminished by the presence of high concentration of glucuronyltransferase. Optimization of reaction conditions and purification procedure resulted in a process that proceeded with 95% conversion and 88% isolated product yield. The 13C6-glucuronide of Sch 58235 was prepared with the help of a cascade of 8 enzymes operating concurrently in 1 pot.

IT 190448-57-8P, SCH 58235 glucuronide
RL: BMF (Bioindustrial manufacture); BPN (Biosynthetic preparation); BIOL (Biological study); PREP (Preparation)
(enzymic glucuronidation of a novel cholesterol absorption inhibitor, SCH 58235)

RN 190448-57-8 CAPLUS

CN β-D-Glucopyranosiduronic acid, 4-[(2S,3R)-1-(4-fluorophenyl)-3-[(3S)-3-(4-fluorophenyl)-3-hydroxypropyl]-4-oxo-2-azetidinyl]phenyl (CA INDEX NAME)

Absolute stereochemistry.

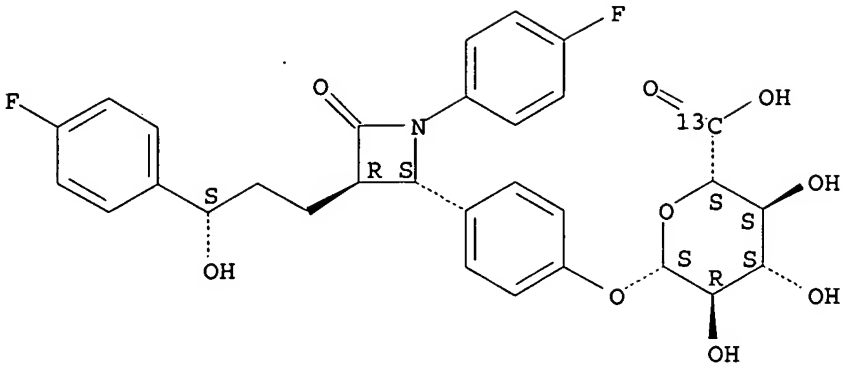


IT 215667-49-5P
RL: BPN (Biosynthetic preparation); BIOL (Biological study); PREP (Preparation)
(enzymic glucuronidation of a novel cholesterol absorption inhibitor, SCH 58235)

RN 215667-49-5 CAPLUS

CN β-D-Glucopyranosiduronic-6-13C acid, 4-[(2S,3R)-1-(4-fluorophenyl)-3-[(3S)-3-(4-fluorophenyl)-3-hydroxypropyl]-4-oxo-2-azetidinyl]phenyl (9CI) (CA INDEX NAME)

Absolute stereochemistry.

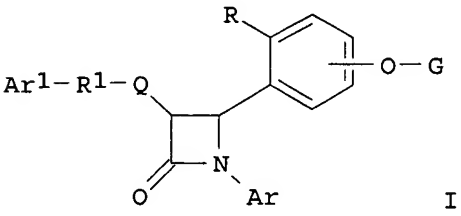


REFERENCE COUNT: 21 THERE ARE 21 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L19 ANSWER 27 OF 31 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1998:352625 CAPLUS
DOCUMENT NUMBER: 129:41376
TITLE: Preparation of sugar-substituted 2-azetidinones useful as hypocholesterolemic agents
INVENTOR(S): Yumibe, Nathan P.; Alton, Kevin B.; Van Heek, Margaret; Davis, Harry R.; Vaccaro, Wayne D.
PATENT ASSIGNEE(S): Schering Corp., USA
SOURCE: U.S., 18 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5756470	A	19980526	US 1996-741179	19961029
CN 1205707	A	19990120	CN 1996-199226	19961029
CN 1103780	B	20030326		

PRIORITY APPLN. INFO.: US 1996-741179 A 19961029
OTHER SOURCE(S): MARPAT 129:41376
GI



I

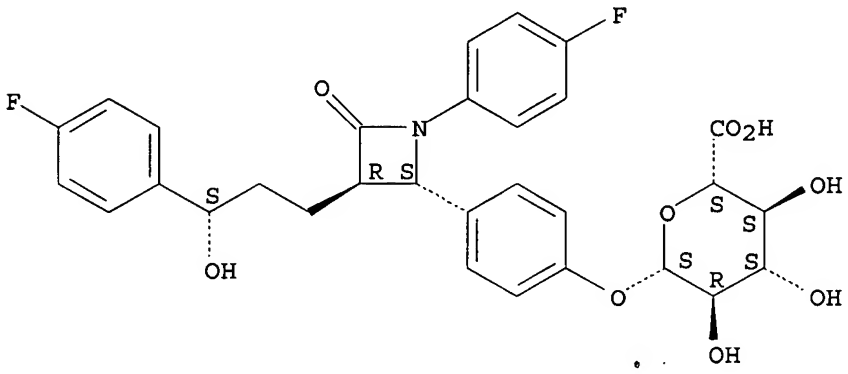
AB Hypocholesterolemic sugar-substituted 2-azetidinones I (R = H, OH, sugar; R1 = alkylene, cycloalkylene, phenylene, alkenylene; G = sugar residue; Q = bond, spiro group; Ar, Ar1 = aryl), are disclosed, as well as a method of lowering cholesterol by administering said compds., pharmaceutical compns. containing them, and the combination of a sugar-substituted 2-azetidinone cholesterol-lowering agent and a cholesterol biosynthesis inhibitor for the treatment and prevention of atherosclerosis. Thus,

1-O-[4-[trans-(3R,4S)-1-(4-fluorophenyl)-2-oxo-3-[3-[(S)-hydroxy-4-fluorophenylpropyl]]-4-azetidinyl]phenyl]-β-D-glucuronic acid was prepared as anticholesteremic agent 58 % reduction in plasma cholesterol with 3 mg/kg dose in hamsters.

IT 190448-57-8P 190448-58-9P 190448-60-3P
190448-63-6P 190448-64-7P 190448-66-9P
190448-68-1P 190448-72-7P 190448-76-1P
190448-78-3P 190448-79-4P 208259-77-2P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of sugar substituted azetidinones useful as hypocholesterolemic agents)

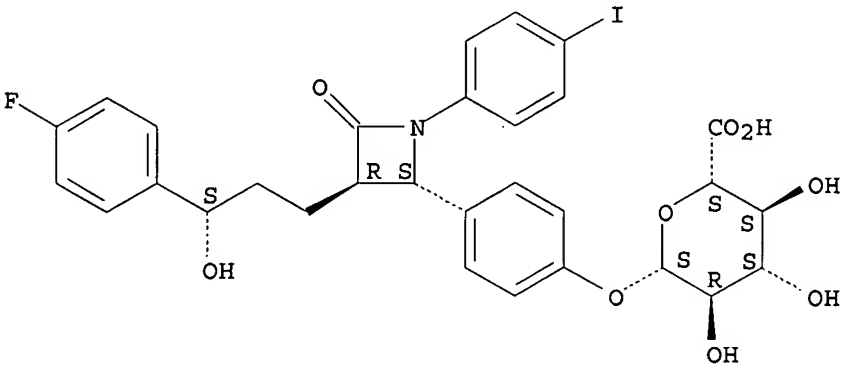
RN 190448-57-8 CAPLUS
CN β-D-Glucopyranosiduronic acid, 4-[(2S,3R)-1-(4-fluorophenyl)-3-[(3S)-3-(4-fluorophenyl)-3-hydroxypropyl]-4-oxo-2-azetidinyl]phenyl (CA INDEX NAME)

Absolute stereochemistry.



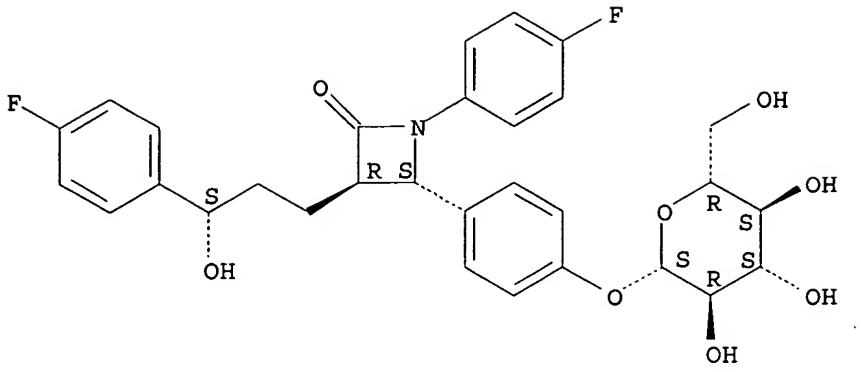
RN 190448-58-9 CAPLUS
CN β-D-Glucopyranosiduronic acid, 4-[(2S,3R)-3-[(3S)-3-(4-fluorophenyl)-3-hydroxypropyl]-1-(4-iodophenyl)-4-oxo-2-azetidinyl]phenyl (CA INDEX NAME)

Absolute stereochemistry.



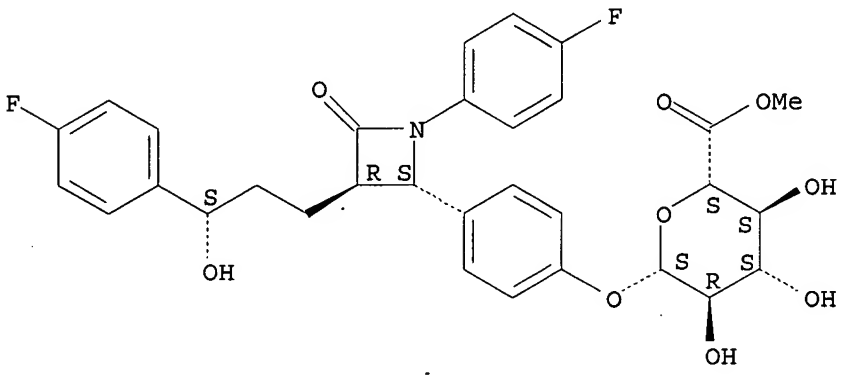
RN 190448-60-3 CAPLUS
CN 2-Azetidinone, 1-(4-fluorophenyl)-3-[(3S)-3-(4-fluorophenyl)-3-hydroxypropyl]-4-[4-(β-D-glucopyranosyloxy)phenyl]-, (3R,4S)- (CA INDEX NAME)

Absolute stereochemistry.



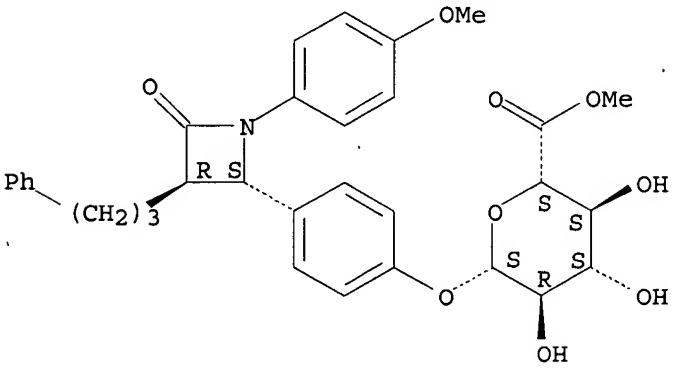
RN 190448-63-6 CAPLUS
CN β-D-Glucopyranosiduronic acid, 4-[(2S,3R)-1-(4-fluorophenyl)-3-[(3S)-3-(4-fluorophenyl)-3-hydroxypropyl]-4-oxo-2-azetidinyl]phenyl, methyl ester (CA INDEX NAME)

Absolute stereochemistry.



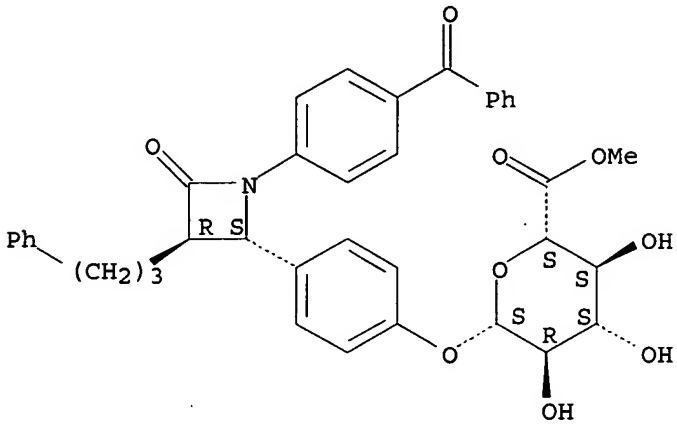
RN 190448-64-7 CAPLUS
CN β-D-Glucopyranosiduronic acid, 4-[(2S,3R)-1-(4-methoxyphenyl)-4-oxo-3-(3-phenylpropyl)-2-azetidinyl]phenyl, methyl ester (CA INDEX NAME)

Absolute stereochemistry.



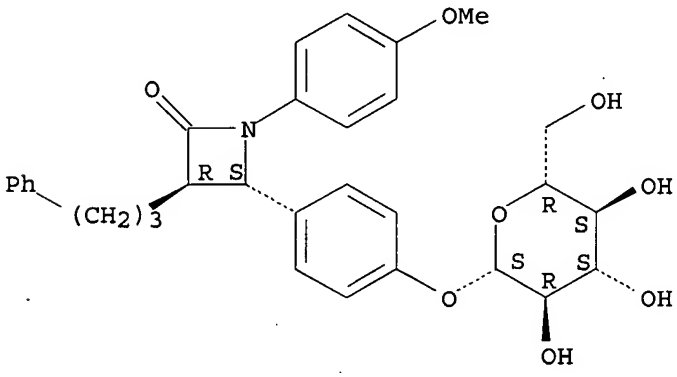
RN 190448-66-9 CAPLUS
CN β-D-Glucopyranosiduronic acid, 4-[(2S,3R)-1-(4-benzoylphenyl)-4-oxo-3-(3-phenylpropyl)-2-azetidinyl]phenyl, methyl ester (CA INDEX NAME)

Absolute stereochemistry.



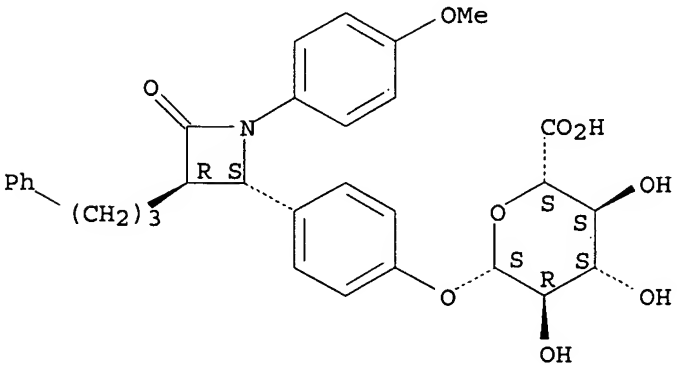
RN 190448-68-1 CAPLUS
CN 2-Azetidinone, 4-[4-(β-D-glucopyranosyloxy)phenyl]-1-(4-methoxyphenyl)-3-(3-phenylpropyl)-, (3R,4S)- (CA INDEX NAME)

Absolute stereochemistry.



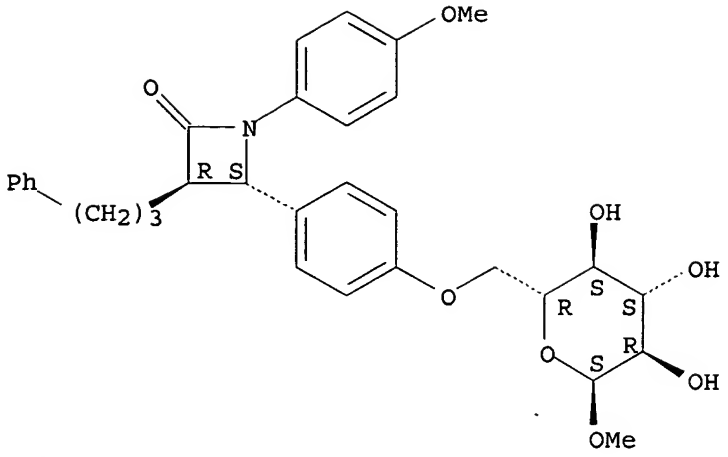
RN 190448-72-7 CAPLUS
CN β-D-Glucopyranosiduronic acid, 4-[(2S,3R)-1-(4-methoxyphenyl)-4-oxo-3-(3-phenylpropyl)-2-azetidinyl]phenyl (CA INDEX NAME)

Absolute stereochemistry.



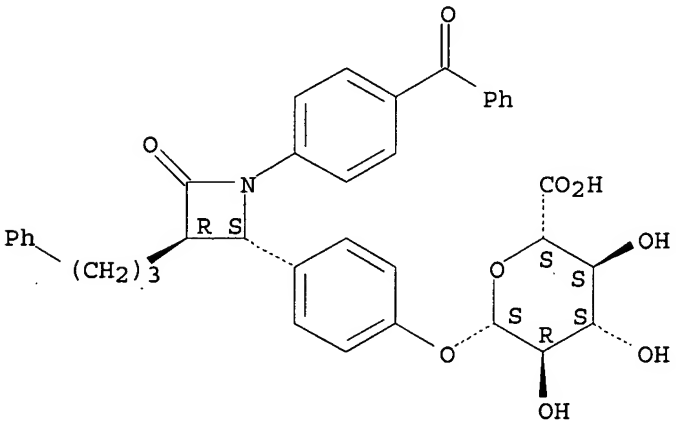
RN 190448-76-1 CAPLUS
CN α-D-Glucopyranoside, methyl 6-O-[4-[(2S,3R)-1-(4-methoxyphenyl)-4-oxo-3-(3-phenylpropyl)-2-azetidinyl]phenyl]- (CA INDEX NAME)

Absolute stereochemistry.



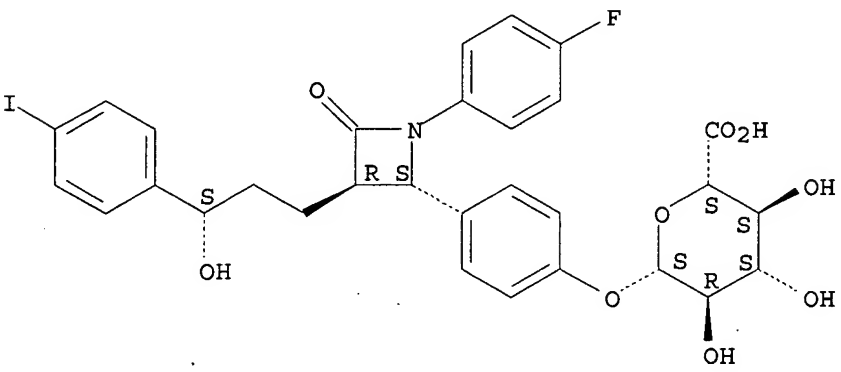
RN 190448-78-3 CAPLUS
CN β-D-Glucopyranosiduronic acid, 4-[(2S,3R)-1-(4-benzoylphenyl)-4-oxo-3-(3-phenylpropyl)-2-azetidinyl]phenyl (CA INDEX NAME)

Absolute stereochemistry.



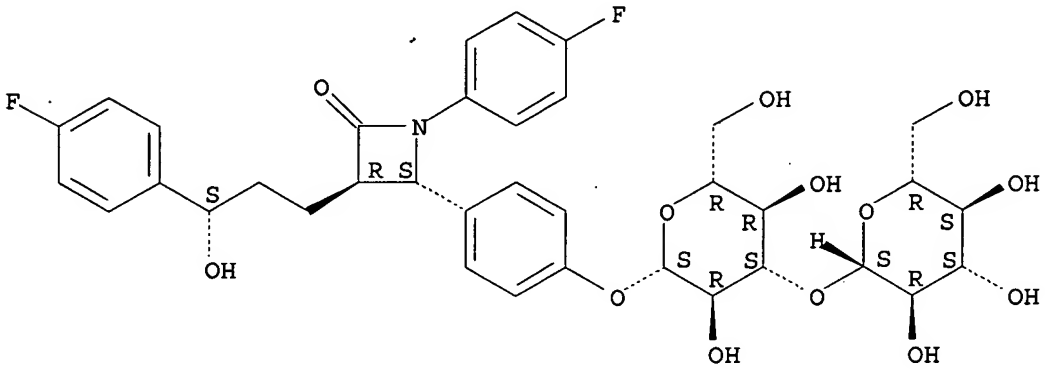
RN 190448-79-4 CAPLUS
CN β-D-Glucopyranosiduronic acid, 4-[(2S,3R)-1-(4-fluorophenyl)-3-[(3S)-3-hydroxy-3-(4-iodophenyl)propyl]-4-oxo-2-azetidinyl]phenyl (CA INDEX NAME)

Absolute stereochemistry.



RN 208259-77-2 CAPLUS
CN 2-Azetidinone, 1-(4-fluorophenyl)-3-[(3S)-3-(4-fluorophenyl)-3-hydroxypropyl]-4-[4-[(3-O-β-D-glucopyranosyl-β-D-glucopyranosyl)oxy]phenyl]-, (3R,4S)- (CA INDEX NAME)

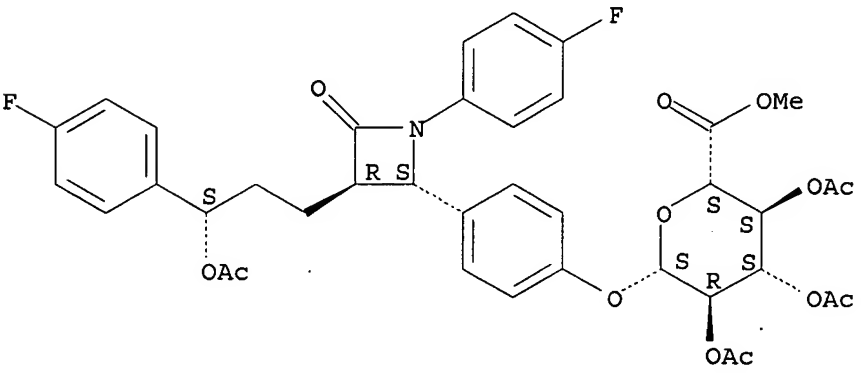
Absolute stereochemistry.



IT 190448-56-7P 190448-62-5P 190448-65-8P
190448-67-0P 190448-70-5P 190448-74-9P
190448-81-8P 190448-82-9P 190448-83-0P
208259-78-3P 208259-80-7P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of sugar substituted azetidinones useful as hypocholesterolemic agents)

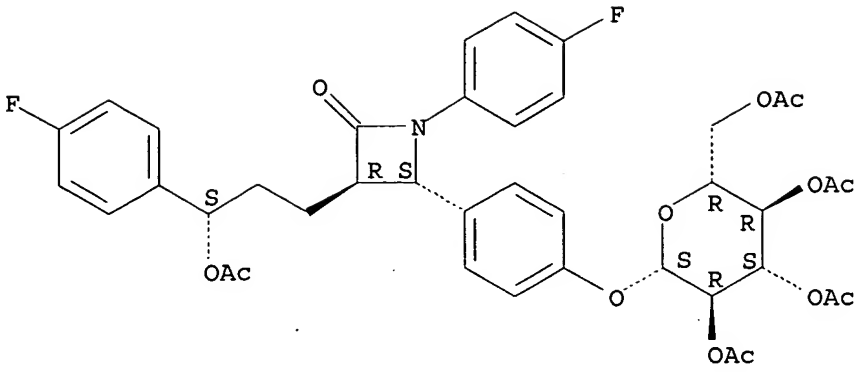
RN 190448-56-7 CAPLUS
CN β-D-Glucopyranosiduronic acid, 4-[(2S,3R)-3-[(3S)-3-(acetyloxy)-3-(4-fluorophenyl)propyl]-1-(4-fluorophenyl)-4-oxo-2-azetidinyl]phenyl, methyl ester, 2,3,4-triacetate (CA INDEX NAME)

Absolute stereochemistry.



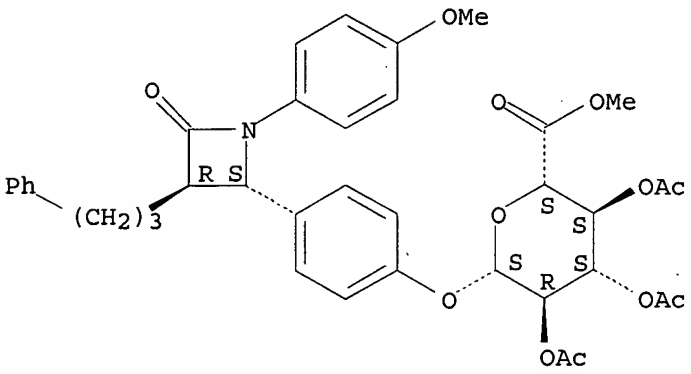
RN 190448-62-5 CAPLUS
CN 2-Azetidinone, 3-[(3S)-3-(acetyloxy)-3-(4-fluorophenyl)propyl]-1-(4-fluorophenyl)-4-[4-[(2,3,4,6-tetra-O-acetyl-β-D-glucopyranosyl)oxy]phenyl]-, (3R,4S)- (CA INDEX NAME)

Absolute stereochemistry.



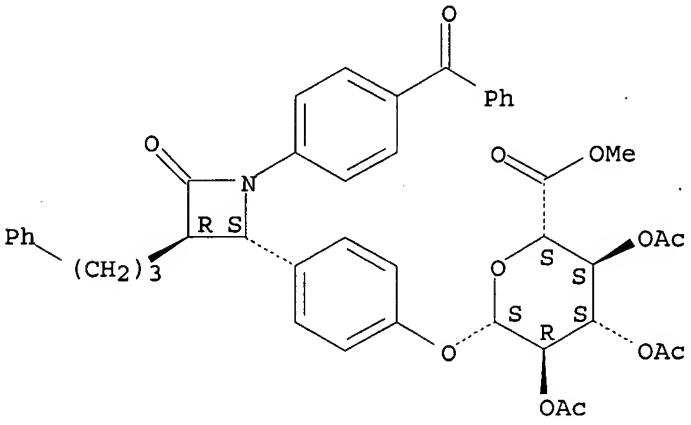
RN 190448-65-8 CAPLUS
CN β-D-Glucopyranosiduronic acid, 4-[(2S,3R)-1-(4-methoxyphenyl)-4-oxo-3-(3-phenylpropyl)-2-azetidinyl]phenyl, methyl ester, 2,3,4-triacetate (CA INDEX NAME)

Absolute stereochemistry.



RN 190448-67-0 CAPLUS
CN β-D-Glucopyranosiduronic acid, 4-[(2S,3R)-1-(4-benzoylphenyl)-4-oxo-3-(3-phenylpropyl)-2-azetidinyl]phenyl, methyl ester, 2,3,4-triacetate (CA INDEX NAME)

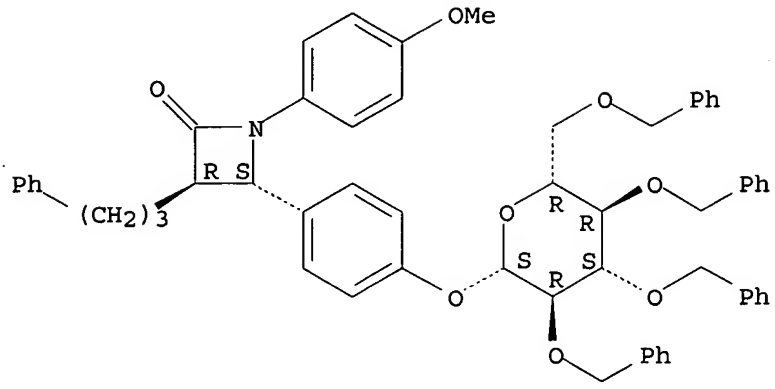
Absolute stereochemistry.



RN 190448-70-5 CAPLUS
CN 2-Azetidinone, 1-(4-methoxyphenyl)-3-(3-phenylpropyl)-4-[4-[[2,3,4,6-tetrakis-O-(phenylmethyl)-β-D-glucopyranosyl]oxy]phenyl]-, (3R,4S)-

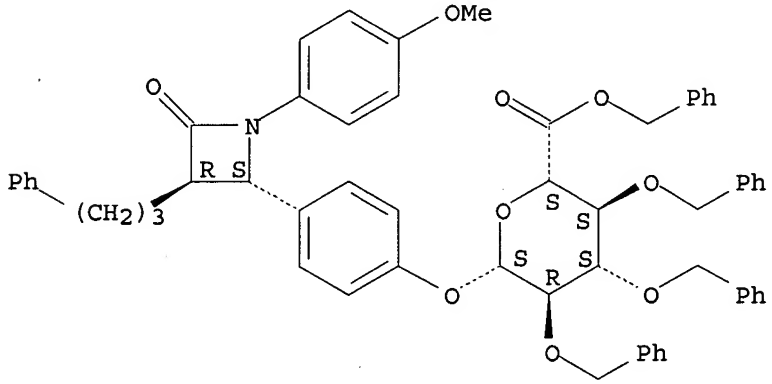
(CA INDEX NAME)

Absolute stereochemistry.



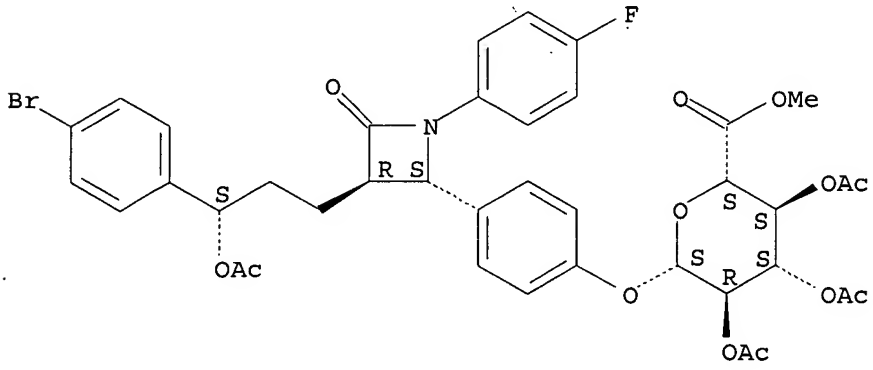
RN 190448-74-9 CAPLUS
CN β-D-Glucopyranosiduronic acid, 4-[(2S,3R)-1-(4-methoxyphenyl)-4-oxo-3-(3-phenylpropyl)-2-azetidinyl]phenyl 2,3,4-tris-O-(phenylmethyl)-, phenylmethyl ester (CA INDEX NAME)

Absolute stereochemistry.



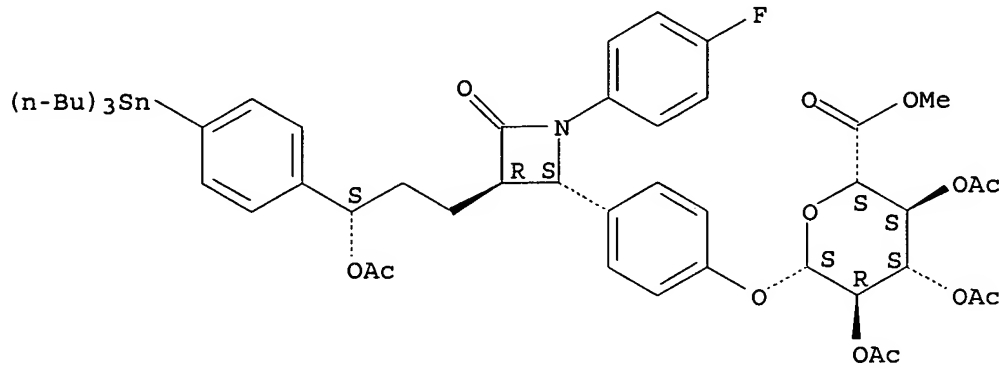
RN 190448-81-8 CAPLUS
CN β-D-Glucopyranosiduronic acid, 4-[(2S,3R)-3-[(3S)-3-(acetyloxy)-3-(4-bromophenyl)propyl]-1-(4-fluorophenyl)-4-oxo-2-azetidinyl]phenyl, methyl ester, 2,3,4-triacetate (CA INDEX NAME)

Absolute stereochemistry.



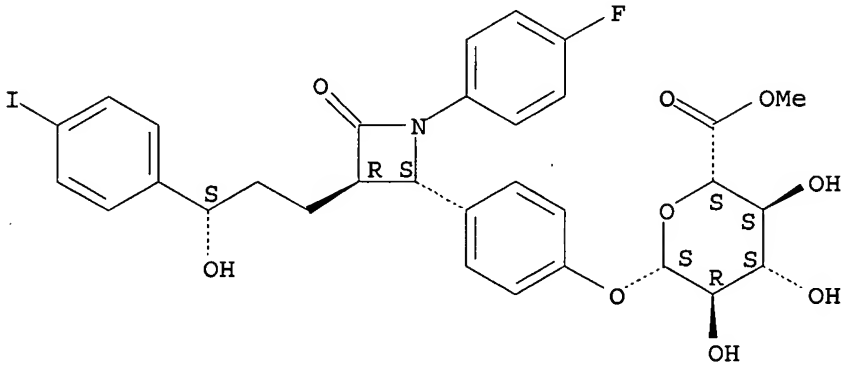
RN 190448-82-9 CAPLUS
CN β-D-Glucopyranosiduronic acid, 4-[(2S,3R)-3-[(3S)-3-(acetyloxy)-3-[4-(tributylstannyl)phenyl]propyl]-1-(4-fluorophenyl)-4-oxo-2-azetidinyl]phenyl, methyl ester, 2,3,4-triacetate (CA INDEX NAME)

Absolute stereochemistry.



RN 190448-83-0 CAPLUS
CN β-D-Glucopyranosiduronic acid, 4-[(2S,3R)-1-(4-fluorophenyl)-3-[(3S)-3-hydroxy-3-(4-iodophenyl)propyl]-4-oxo-2-azetidinyl]phenyl, methyl ester (CA INDEX NAME)

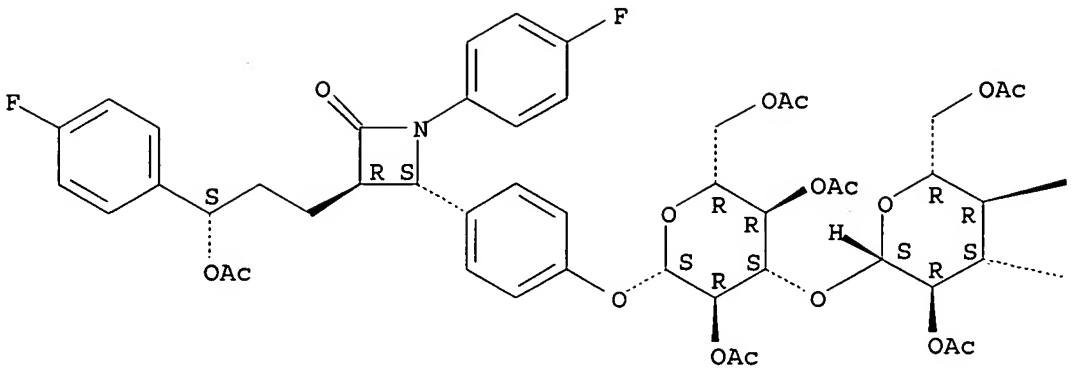
Absolute stereochemistry.



RN 208259-78-3 CAPLUS
CN 2-Azetidinone, 3-[(3S)-3-(acetyloxy)-3-(4-fluorophenyl)propyl]-1-(4-fluorophenyl)-4-[4-[[2,4,6-tri-O-acetyl-3-O-(2,3,4,6-tetra-O-acetyl-β-D-glucopyranosyl)-β-D-glucopyranosyl]oxy]phenyl]-, (3R,4S)- (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



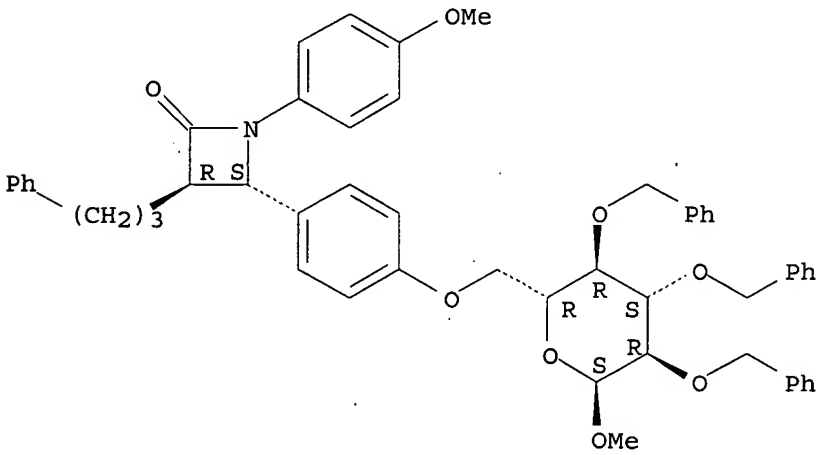
PAGE 1-B

OAc

OAc

RN 208259-80-7 CAPLUS
CN α-D-Glucopyranoside, methyl 6-O-[4-[(2S,3R)-1-(4-methoxyphenyl)-4-oxo-3-(3-phenylpropyl)-2-azetidinyl]phenyl]-2,3,4-tris-O-(phenylmethyl)-(CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 17 THERE ARE 17 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

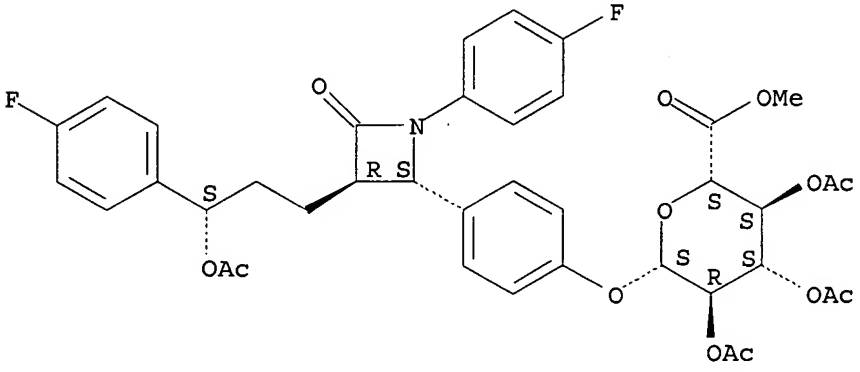
L19 ANSWER 28 OF 31 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1998:131087 CAPLUS

DOCUMENT NUMBER: 128:252522
TITLE: Sugar-substituted 2-azetidinone cholesterol absorption inhibitors: enhanced potency by modification of the sugar
AUTHOR(S): Vaccaro, Wayne D.; Davis, Harry R., Jr.
CORPORATE SOURCE: Schering-Plough Research Institute, Kenilworth, NJ, 07033-0539, USA
SOURCE: Bioorganic & Medicinal Chemistry Letters (1998), 8(3), 313-318
CODEN: BMCLE8; ISSN: 0960-894X
PUBLISHER: Elsevier Science Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English
AB A glucuronide conjugate of the potent 2-azetidinone cholesterol absorption inhibitor Sch 58235 was synthesized to confirm the structure of a metabolite isolated from in vivo sources. A series of 2-azetidinone glycosides was prepared via Schmidt trichloroimidate methodol. Enhanced cholesterol absorption inhibition was achieved by modification of the sugar moiety.

IT 190448-56-7P 190448-57-8P 190448-60-3P
190448-61-4P 190448-62-5P 190448-63-6P
190450-53-4P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation of azetidinone glucuronides as cholesterol absorption inhibitors)

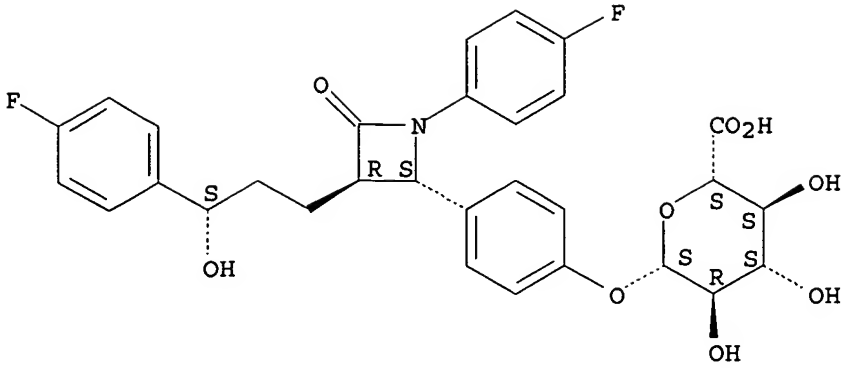
RN 190448-56-7 CAPLUS
CN β-D-Glucopyranosiduronic acid, 4-[(2S,3R)-3-[(3S)-3-(acetyloxy)-3-(4-fluorophenyl)propyl]-1-(4-fluorophenyl)-4-oxo-2-azetidinyl]phenyl, methyl ester, 2,3,4-triacetate (CA INDEX NAME)

Absolute stereochemistry.



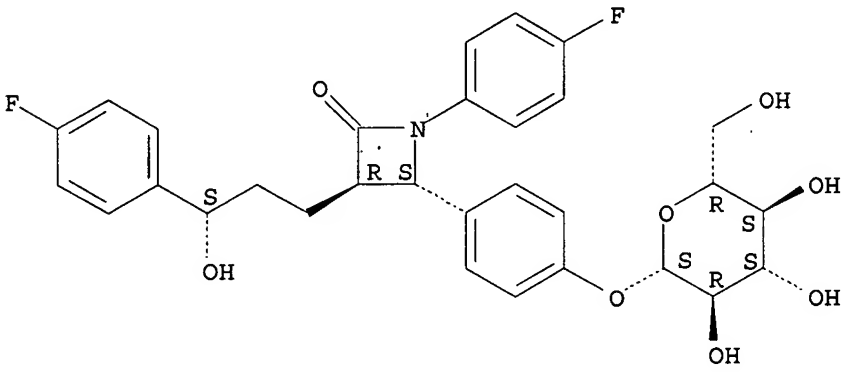
RN 190448-57-8 CAPLUS
CN β-D-Glucopyranosiduronic acid, 4-[(2S,3R)-1-(4-fluorophenyl)-3-[(3S)-3-(4-fluorophenyl)-3-hydroxypropyl]-4-oxo-2-azetidinyl]phenyl (CA INDEX NAME)

Absolute stereochemistry.



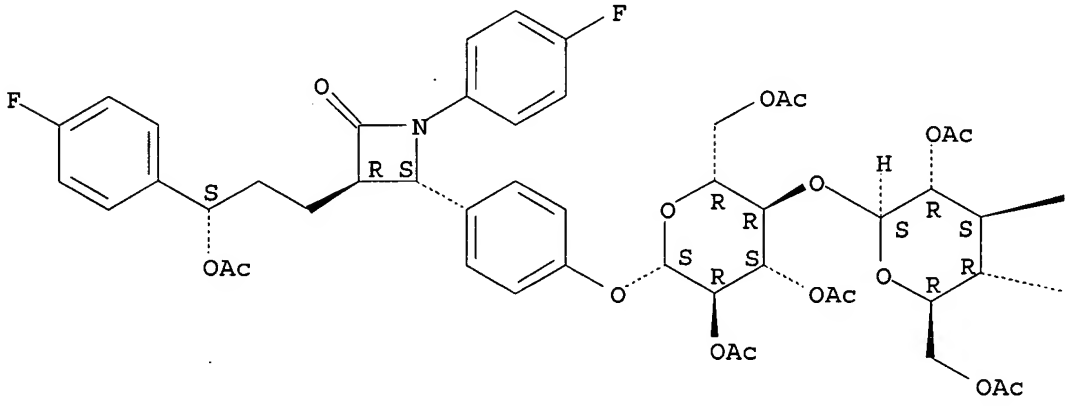
RN 190448-60-3 CAPLUS
CN 2-Azetidinone, 1-(4-fluorophenyl)-3-[(3S)-3-(4-fluorophenyl)-3-hydroxypropyl]-4-[4-(β-D-glucopyranosyloxy)phenyl]-, (3R,4S)- (CA INDEX NAME)

Absolute stereochemistry.



RN 190448-61-4 CAPLUS
CN 2-Azetidinone, 3-[(3S)-3-(acetyloxy)-3-(4-fluorophenyl)propyl]-1-(4-fluorophenyl)-4-[4-[[2,3,6-tri-O-acetyl-4-O-(2,3,4,6-tetra-O-acetyl-β-D-glucopyranosyl)-β-D-glucopyranosyl]oxy]phenyl]-, (3R,4S)- (CA INDEX NAME)

Absolute stereochemistry.

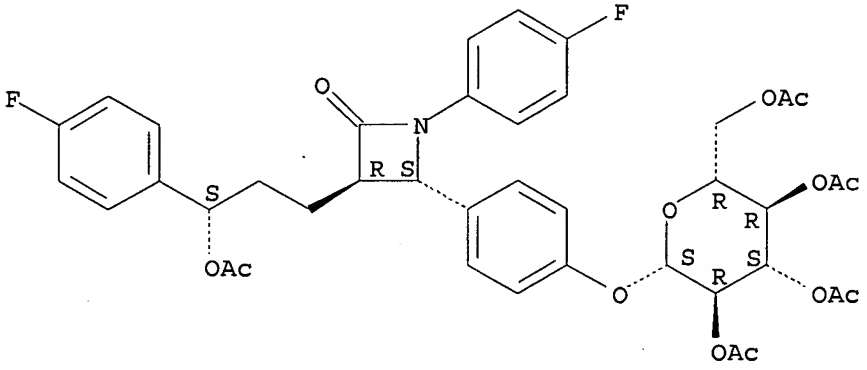


OAc

OAc

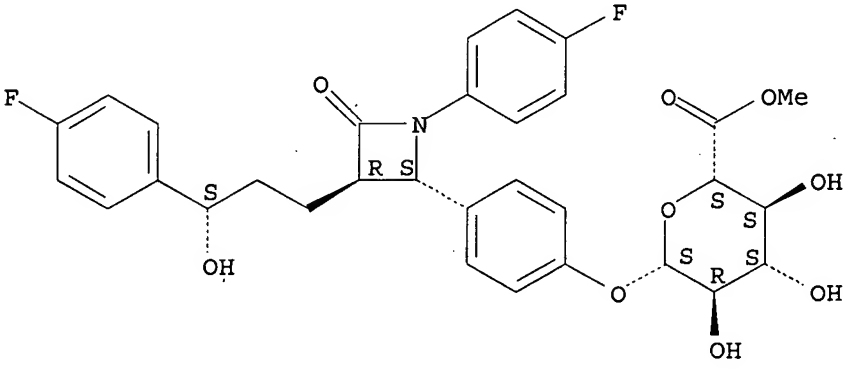
RN 190448-62-5 CAPLUS
CN 2-Azetidinone, 3-[(3S)-3-(acetyloxy)-3-(4-fluorophenyl)propyl]-1-(4-fluorophenyl)-4-[4-[(2,3,4,6-tetra-O-acetyl-β-D-glucopyranosyl)oxy]phenyl]-, (3R,4S)- (CA INDEX NAME)

Absolute stereochemistry.



RN 190448-63-6 CAPLUS
CN β-D-Glucopyranosiduronic acid, 4-[(2S,3R)-1-(4-fluorophenyl)-3-[(3S)-3-(4-fluorophenyl)-3-hydroxypropyl]-4-oxo-2-azetidinyl]phenyl, methyl ester (CA INDEX NAME)

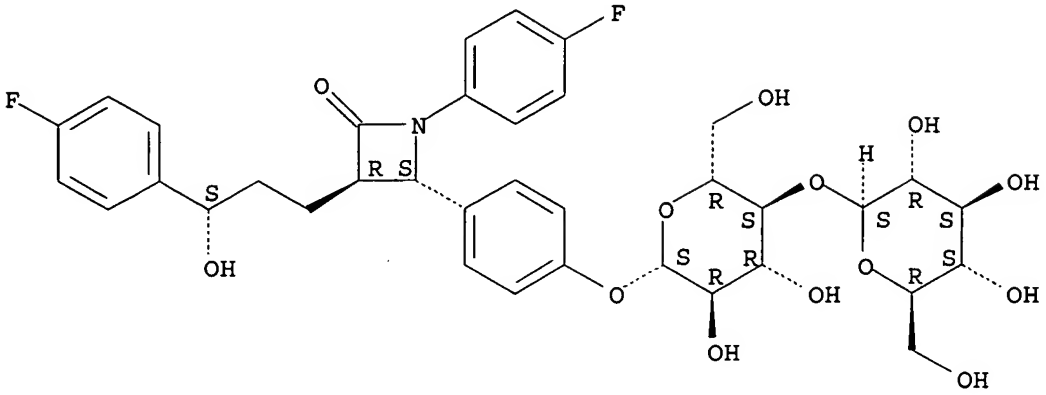
Absolute stereochemistry.



RN 190450-53-4 CAPLUS
CN 2-Azetidinone, 1-(4-fluorophenyl)-3-[(3S)-3-(4-fluorophenyl)-3-hydroxypropyl]-4-[4-[(4-O-β-D-glucopyranosyl-β-D-

glucopyranosyl)oxy]phenyl]-, (3R,4S)- (CA INDEX NAME)

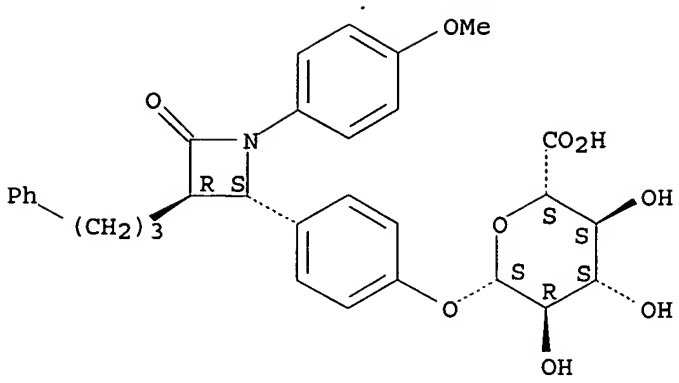
Absolute stereochemistry.



REFERENCE COUNT: 18 THERE ARE 18 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

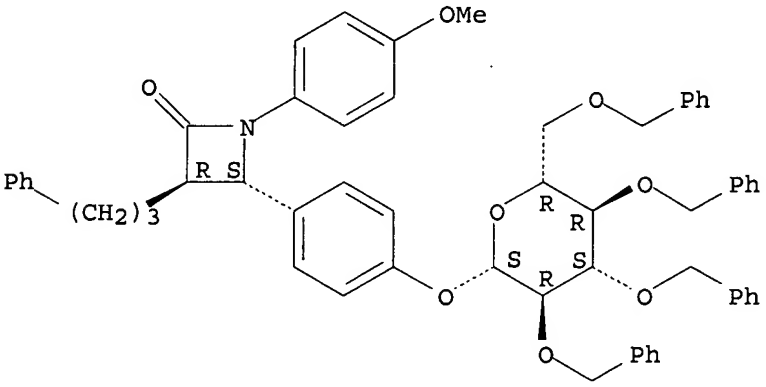
L19 ANSWER 29 OF 31 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1998:48501 CAPLUS
DOCUMENT NUMBER: 128:188296
TITLE: Sugar-substituted 2-azetidinones as cholesterol absorption inhibitors
AUTHOR(S): Vaccaro, Wayne D.; Sher, Rosy; Davis, Harry R., Jr.
CORPORATE SOURCE: Schering-Plough Research Institute, Kenilworth, NJ, 070330539, USA
SOURCE: Bioorganic & Medicinal Chemistry Letters (1998), 8(1), 35-40
CODEN: BMCLE8; ISSN: 0960-894X
PUBLISHER: Elsevier Science Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English
AB The asym. synthesis of a glucuronide conjugate of the 2-azetidinone cholesterol absorption inhibitor Sch 48461 was accomplished to confirm the structure of a metabolite isolated from in vivo sources. Key features of this article include the asym. synthesis of 2-azetidinones by Evan's chiral oxazolidinone methodol. and glucuronide formation by a Mitsunobu protocol.
IT 190448-72-7
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PRP (Properties); BIOL (Biological study)
(sugar-substituted 2-azetidinones as cholesterol absorption inhibitors)
RN 190448-72-7 CAPLUS
CN β-D-Glucopyranosiduronic acid, 4-[(2S,3R)-1-(4-methoxyphenyl)-4-oxo-3-(3-phenylpropyl)-2-azetidinyl]phenyl (CA INDEX NAME)

Absolute stereochemistry.



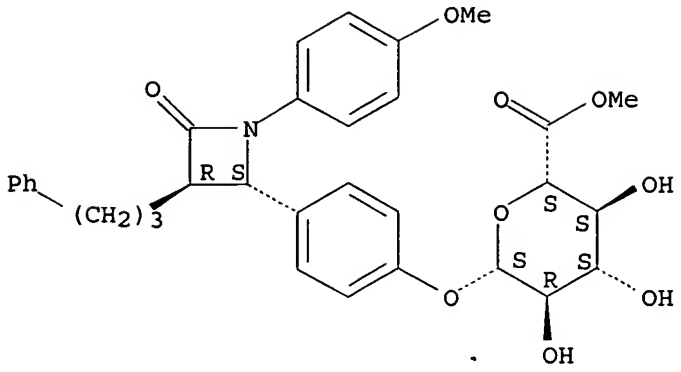
IT 190448-70-5P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PRP (Properties); RCT (Reactant); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent)
(sugar-substituted 2-azetidinones as cholesterol absorption inhibitors)
RN 190448-70-5 CAPLUS
CN 2-Azetidinone, 1-(4-methoxyphenyl)-3-(3-phenylpropyl)-4-[4-[[2,3,4,6-tetrakis-O-(phenylmethyl)-β-D-glucopyranosyl]oxy]phenyl]-, (3R,4S)- (CA INDEX NAME)

Absolute stereochemistry.



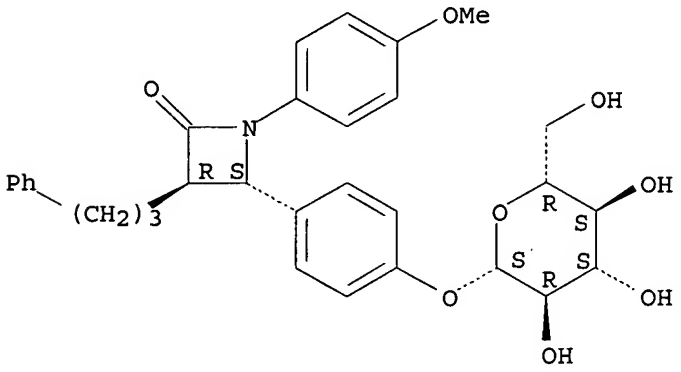
IT 190448-64-7P 190448-68-1P 190448-76-1P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PRP (Properties); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(sugar-substituted 2-azetidinones as cholesterol absorption inhibitors)
RN 190448-64-7 CAPLUS
CN β-D-Glucopyranosiduronic acid, 4-[(2S,3R)-1-(4-methoxyphenyl)-4-oxo-3-(3-phenylpropyl)-2-azetidinyl]phenyl, methyl ester (CA INDEX NAME)

Absolute stereochemistry.



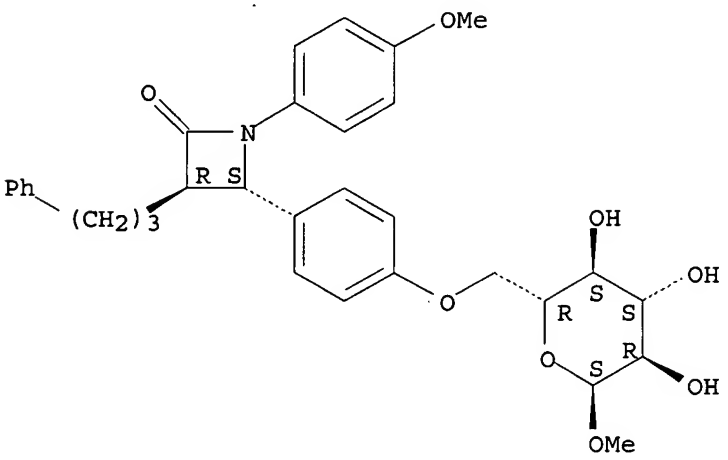
RN 190448-68-1 CAPLUS
CN 2-Azetidinone, 4-[4-(β-D-glucopyranosyloxy)phenyl]-1-(4-methoxyphenyl)-3-(3-phenylpropyl)-, (3R,4S)- (CA INDEX NAME)

Absolute stereochemistry.



RN 190448-76-1 CAPLUS
CN α-D-Glucopyranoside, methyl 6-O-[4-[(2S,3R)-1-(4-methoxyphenyl)-4-oxo-3-(3-phenylpropyl)-2-azetidinyl]phenyl]- (CA INDEX NAME)

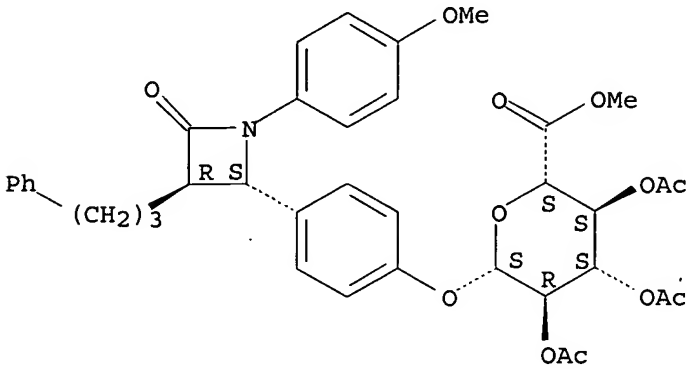
Absolute stereochemistry.



IT 190448-65-8P 190448-74-9P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(sugar-substituted 2-azetidinones as cholesterol absorption inhibitors)

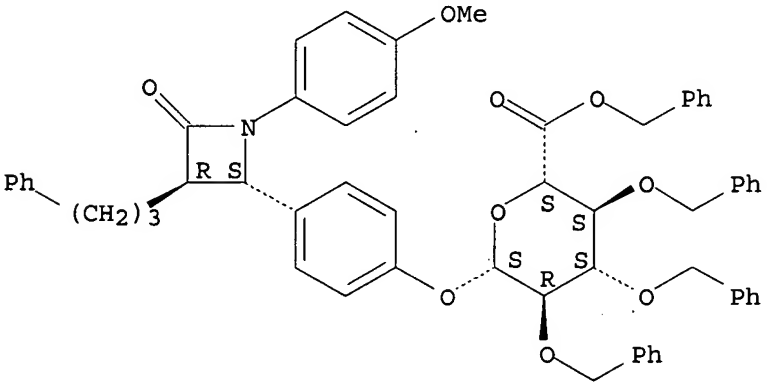
RN 190448-65-8 CAPLUS
CN β-D-Glucopyranosiduronic acid, 4-[(2S,3R)-1-(4-methoxyphenyl)-4-oxo-3-(3-phenylpropyl)-2-azetidinyl]phenyl, methyl ester, 2,3,4-triacetate (CA INDEX NAME)

Absolute stereochemistry.



RN 190448-74-9 CAPLUS
CN β-D-Glucopyranosiduronic acid, 4-[(2S,3R)-1-(4-methoxyphenyl)-4-oxo-3-(3-phenylpropyl)-2-azetidinyl]phenyl 2,3,4-tris-O-(phenylmethyl)-, phenylmethyl ester (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 19 THERE ARE 19 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L19 ANSWER 30 OF 31 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1997:674656 CAPLUS
DOCUMENT NUMBER: 127:355207
TITLE: In vivo metabolism-based discovery of a potent cholesterol absorption inhibitor, SCH58235, in the rat and rhesus monkey through the identification of the active metabolites of SCH48461
AUTHOR(S): Van Heek, Margaret; France, Constance F.; Compton, Douglas S.; McLeod, Robbie L.; Yumibe, Nathan P.; Alton, Kevin B.; Sybertz, Edmund J.; Davis, Harry R., Jr.
CORPORATE SOURCE: Department of CNS and Cardiovascular Research, Schering-Plough Research Institute, Kenilworth, NJ, USA
SOURCE: Journal of Pharmacology and Experimental Therapeutics (1997), 283(1), 157-163

CODEN: JPETAB; ISSN: 0022-3565
PUBLISHER: Williams & Wilkins
DOCUMENT TYPE: Journal
LANGUAGE: English

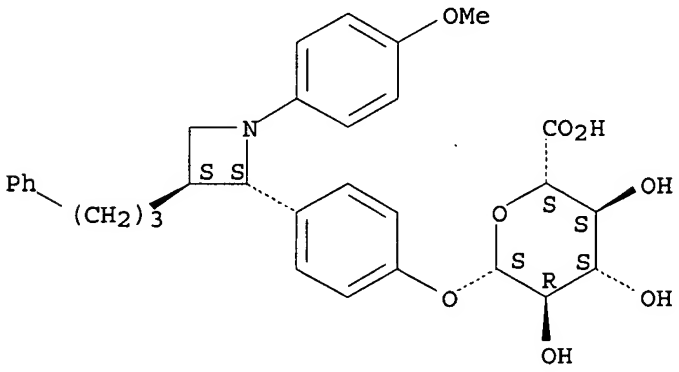
AB SCH48461 is a selective and highly potent inhibitor of cholesterol absorption. In rats, SCH48461 is rapidly and completely metabolized in the first pass through the body. To compare the activity of the metabolites of SCH48461 with SCH48461 itself, an intestinally cannulated, bile duct-cannulated rat model for cholesterol absorption was developed. SCH48461 inhibited the absorption of cholesterol by 70%, whereas bile containing the metabolites of SCH48461 (henceforth, "metabolite bile") inhibited the absorption by greater than 95%. Very little of the recovered radioactive dose of SCH48461 was located in the intestinal lumen (7%) or wall (4%), whereas 85% appeared in bile. However, in rats treated with metabolite bile, 62% of the dose remained in the lumen, 13% was associated with the wall and only 24% reappeared in bile, which suggests that the activity of the metabolite bile may be related to its higher retention in the intestinal wall. Rats treated with metabolite bile had 64% and 84% less drug-related radioactivity in their plasma and livers, resp., compared with animals treated with SCH48461, which indicates that the metabolites are systemically less available than SCH48461. The metabolites in bile were separated by high-performance liquid chromatog.; the most active fraction in the bile duct-cannulated rat model was identified by mass spectrometry as the glucuronide of the C4-phenol of SCH48461. The other fractions had moderate or no activity. Through the identification of the most active biliary metabolites of SCH48461 in the rat, we have discovered SCH58235, a novel cholesterol absorption inhibitor which is 400 times more potent than SCH48461 in the cholesterol-fed rhesus monkey.

IT 198561-85-2
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); MFM (Metabolic formation); BIOL (Biological study); FORM (Formation, nonpreparative)
(in vivo metabolism-based discovery of cholesterol absorption inhibitor, SCH58235, through identification of active metabolites of SCH48461)

RN 198561-85-2 CAPLUS

CN β-D-Glucopyranosiduronic acid, 4-[(2S,3S)-1-(4-methoxyphenyl)-3-(3-phenylpropyl)-2-azetidinyl]phenyl (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 21 THERE ARE 21 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

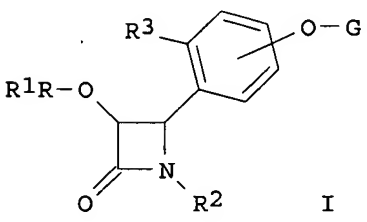
L19 ANSWER 31 OF 31 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1997:397385 CAPLUS
DOCUMENT NUMBER: 127:17912
TITLE: Preparation of glycoside-substituted 2-azetidinones useful as hypocholesterolemic agents
INVENTOR(S): Yumibe, Nathan P.; Alton, Kevin B.; Van Heek,

Margaret; Davis, Harry R.; Vaccaro, Wayne D.
PATENT ASSIGNEE(S): Schering Corporation, USA
SOURCE: PCT Int. Appl., 47 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9716455	A1	19970509	WO 1996-US16823	19961029
W: AL, AM, AU, AZ, BA, BB, BG, BR, BY, CA, CN, CZ, EE, GE, HU, IL, IS, JP, KG, KR, KZ, LC, LK, LR, LT, LV, MD, MG, MK, MN, MX, NO, NZ, PL, RO, RU, SG, SI, SK, TJ, TM, TR, TT, UA, UZ, VN				
RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
ZA 9609089	A	19970429	ZA 1996-9089	19961029
CA 2235943	A1	19970509	CA 1996-2235943	19961029
CA 2235943	C	20021001		
AU 9675179	A	19970522	AU 1996-75179	19961029
AU 712158	B2	19991028		
EP 877750	A1	19981118	EP 1996-937702	19961029
EP 877750	B1	20020619		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, LT, LV, FI, RO				
HU 9802539	A2	19981130	HU 1998-2539	19961029
JP 10512592	T	19981202	JP 1997-517386	19961029
JP 3385031	B2	20030310		
BR 9611401	A	19990105	BR 1996-11401	19961029
JP 2001048895	A	20010220	JP 2000-216704	19961029
TW 448181	B	20010801	TW 1996-85113142	19961029
AT 219495	T	20020715	AT 1996-937702	19961029
PT 877750	T	20020930	PT 1996-937702	19961029
ES 2175141	T3	20021116	ES 1996-937702	19961029
PL 184698	B1	20021231	PL 1996-327987	19961029
SK 283552	B6	20030911	SK 1998-483	19961029
CZ 293957	B6	20040818	CZ 1998-1294	19961029
IL 124268	A	20050831	IL 1996-124268	19961029
NO 9801950	A	19980626	NO 1998-1950	19980429
NO 311692	B1	20020107		
HK 1012507	A1	20021018	HK 1998-114029	19981218

PRIORITY APPLN. INFO.:	US 1995-8185P	P	19951031
	US 1995-570847	A	19951212
	US 1995-8185	P	19951031
	JP 1997-517386	A3	19961029
	WO 1996-US16823	W	19961029

OTHER SOURCE(S): MARPAT 127:17912
GI



I

AB Hypocholesterolemic glycoside-substituted 2-azetidinones I (R = alkyl,

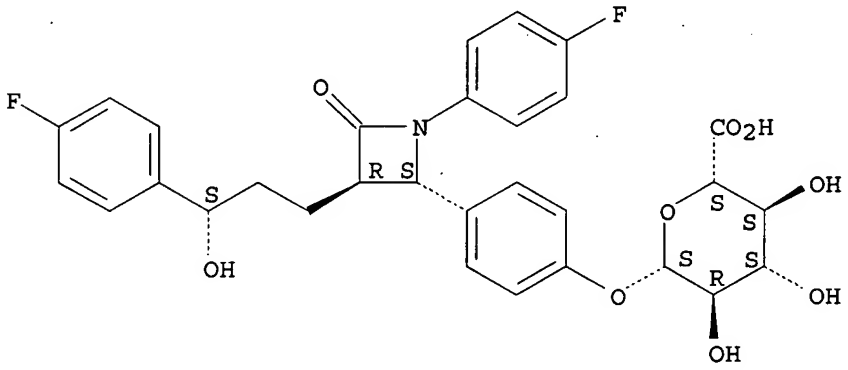
ether, keto, alkylamine, ; R1, R2 = aryl; R3 = H, glycosyloxy; G = glycosyl) are prepared as sugar-substituted 2-azetidinone cholesterol-lowering agent and a cholesterol bio-preparation inhibitor for the treatment and prevention of atherosclerosis. Thus, 1-O-[4-[trans-(3R,4S)-1-(4-fluorophenyl)-2-oxo-3-[3-[(S)-hydroxy-4-iodophenyl]propyl]-4-azetidinyl]phenyl]-β-D-glucuronic acid was prepared and show a 50-98 % reduction in hepatic cholesterol esters.

IT 190448-57-8P 190448-58-9P 190448-63-6P
190448-64-7P 190448-66-9P 190448-68-1P
190448-72-7P 190448-76-1P 190448-78-3P
190448-79-4P 190450-53-4P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of glycoside-substituted azetidinones useful as hypocholesterolemic agents)

RN 190448-57-8 CAPLUS

CN β-D-Glucopyranosiduronic acid, 4-[(2S,3R)-1-(4-fluorophenyl)-3-[(3S)-3-(4-fluorophenyl)-3-hydroxypropyl]-4-oxo-2-azetidinyl]phenyl (CA INDEX NAME)

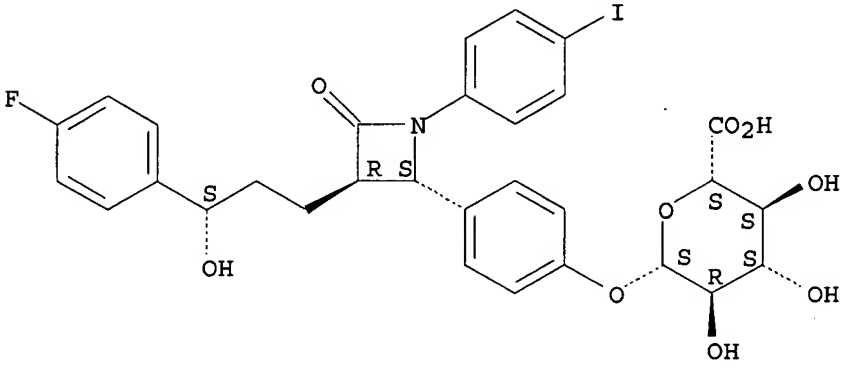
Absolute stereochemistry.



RN 190448-58-9 CAPLUS

CN β-D-Glucopyranosiduronic acid, 4-[(2S,3R)-3-[(3S)-3-(4-fluorophenyl)-3-hydroxypropyl]-1-(4-iodophenyl)-4-oxo-2-azetidinyl]phenyl (CA INDEX NAME)

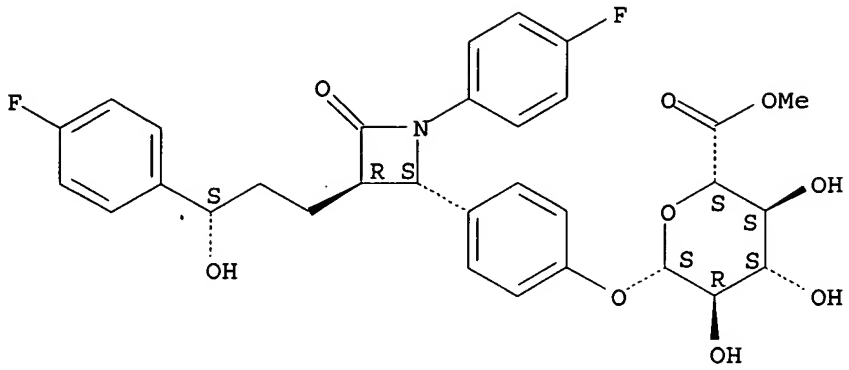
Absolute stereochemistry.



RN 190448-63-6 CAPLUS

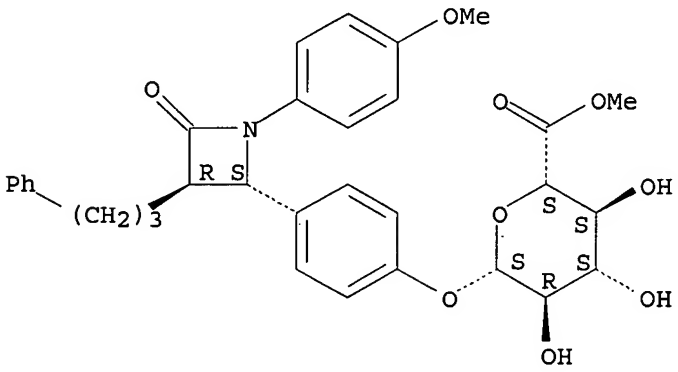
CN β-D-Glucopyranosiduronic acid, 4-[(2S,3R)-1-(4-fluorophenyl)-3-[(3S)-3-(4-fluorophenyl)-3-hydroxypropyl]-4-oxo-2-azetidinyl]phenyl, methyl ester (CA INDEX NAME)

Absolute stereochemistry.



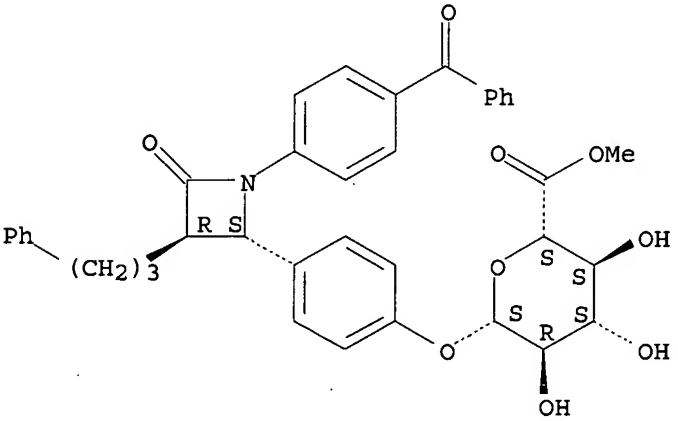
RN 190448-64-7 CAPLUS
CN β-D-Glucopyranosiduronic acid, 4-[(2S,3R)-1-(4-methoxyphenyl)-4-oxo-3-(3-phenylpropyl)-2-azetidinyl]phenyl, methyl ester (CA INDEX NAME)

Absolute stereochemistry.



RN 190448-66-9 CAPLUS
CN β-D-Glucopyranosiduronic acid, 4-[(2S,3R)-1-(4-benzoylphenyl)-4-oxo-3-(3-phenylpropyl)-2-azetidinyl]phenyl, methyl ester (CA INDEX NAME)

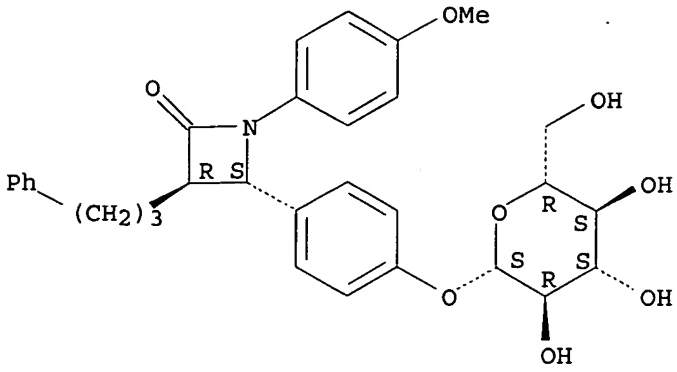
Absolute stereochemistry.



RN 190448-68-1 CAPLUS
CN 2-Azetidinone, 4-[4-(β-D-glucopyranosyloxy)phenyl]-1-(4-

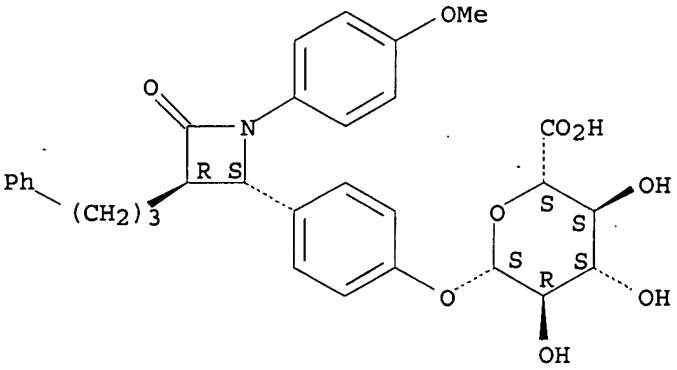
methoxyphenyl)-3-(3-phenylpropyl)-, (3R,4S)- (CA INDEX NAME)

Absolute stereochemistry.



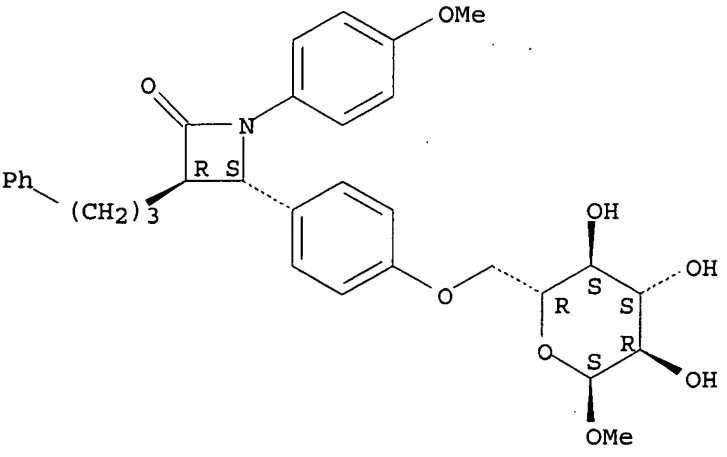
RN 190448-72-7 CAPLUS
CN β-D-Glucopyranosiduronic acid, 4-[(2S,3R)-1-(4-methoxyphenyl)-4-oxo-3-(3-phenylpropyl)-2-azetidinyl]phenyl (CA INDEX NAME)

Absolute stereochemistry.



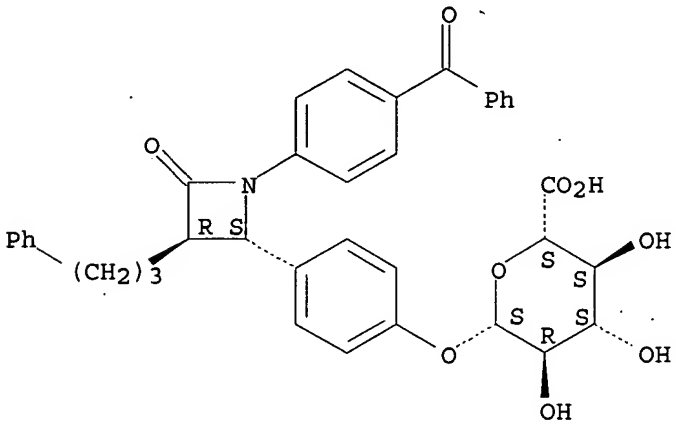
RN 190448-76-1 CAPLUS
CN α-D-Glucopyranoside, methyl 6-O-[4-[(2S,3R)-1-(4-methoxyphenyl)-4-oxo-3-(3-phenylpropyl)-2-azetidinyl]phenyl]- (CA INDEX NAME)

Absolute stereochemistry.



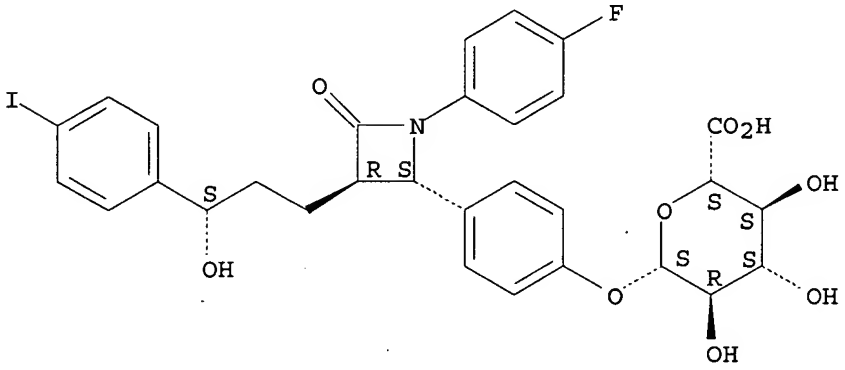
RN 190448-78-3 CAPLUS
CN β-D-Glucopyranosiduronic acid, 4-[(2S,3R)-1-(4-benzoylphenyl)-4-oxo-3-(3-phenylpropyl)-2-azetidinyl]phenyl (CA INDEX NAME)

Absolute stereochemistry.



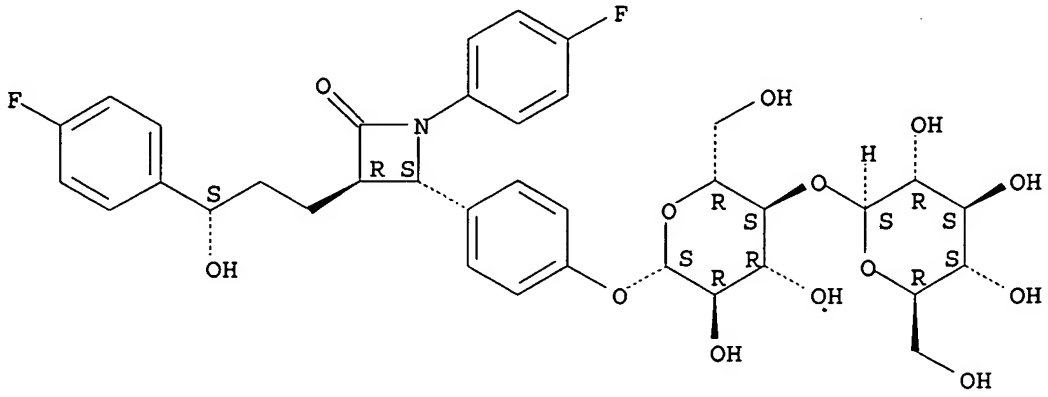
RN 190448-79-4 CAPLUS
CN β-D-Glucopyranosiduronic acid, 4-[(2S,3R)-1-(4-fluorophenyl)-3-[(3S)-3-hydroxy-3-(4-iodophenyl)propyl]-4-oxo-2-azetidinyl]phenyl (CA INDEX NAME)

Absolute stereochemistry.



RN 190450-53-4 CAPLUS
CN 2-Azetidinone, 1-(4-fluorophenyl)-3-[(3S)-3-(4-fluorophenyl)-3-hydroxypropyl]-4-[4-[(4-O-β-D-glucopyranosyl-β-D-glucopyranosyl)oxy]phenyl]-, (3R,4S)- (CA INDEX NAME)

Absolute stereochemistry.

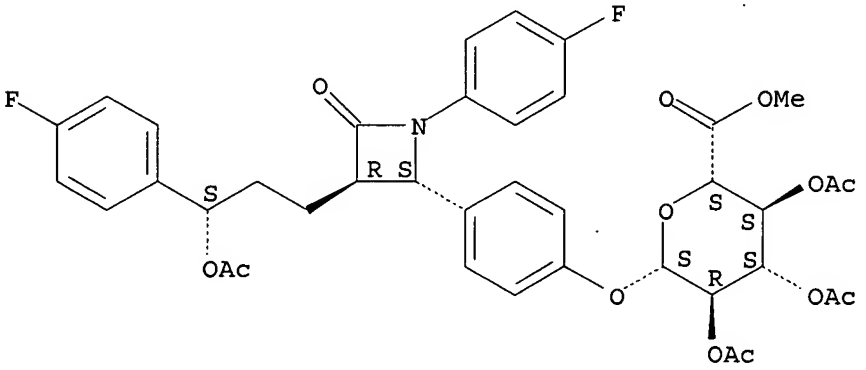


IT 190448-56-7P 190448-60-3P 190448-61-4P
190448-62-5P 190448-65-8P 190448-67-0P
190448-70-5P 190448-74-9P 190448-77-2P
190448-81-8P 190448-82-9P 190448-83-0P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of glycoside-substituted azetidinones useful as hypocholesterolemic agents)

RN 190448-56-7 CAPLUS

CN β-D-Glucopyranosiduronic acid, 4-[(2S,3R)-3-[(3S)-3-(acetyloxy)-3-(4-fluorophenyl)propyl]-1-(4-fluorophenyl)-4-oxo-2-azetidinyl]phenyl, methyl ester, 2,3,4-triacetate (CA INDEX NAME)

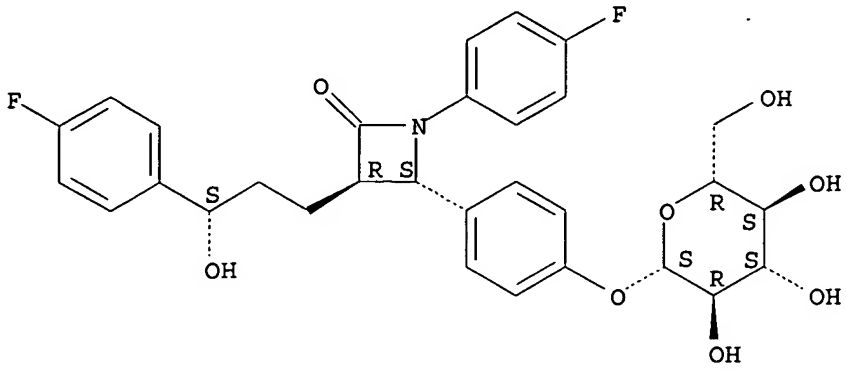
Absolute stereochemistry.



RN 190448-60-3 CAPLUS

CN 2-Azetidinone, 1-(4-fluorophenyl)-3-[(3S)-3-(4-fluorophenyl)-3-hydroxypropyl]-4-[4-(β-D-glucopyranosyloxy)phenyl]-, (3R,4S)- (CA INDEX NAME)

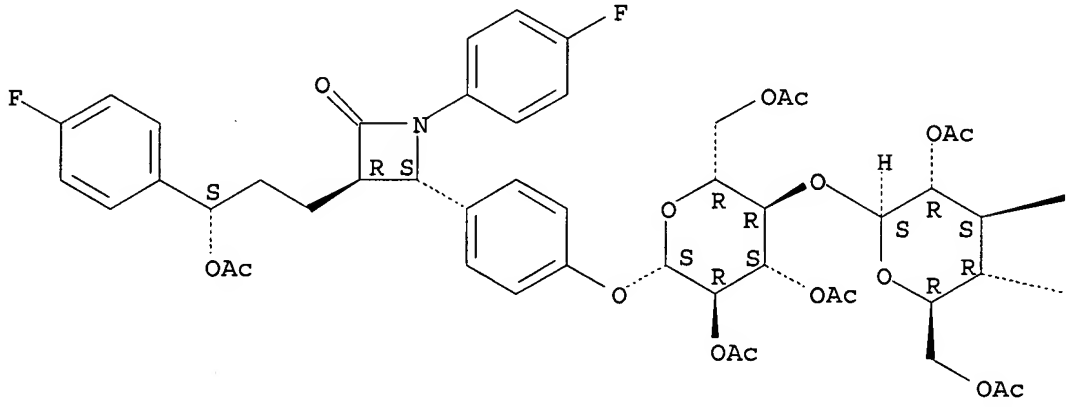
Absolute stereochemistry.



RN 190448-61-4 CAPLUS
CN 2-Azetidinone, 3-[(3S)-3-(acetyloxy)-3-(4-fluorophenyl)propyl]-1-(4-fluorophenyl)-4-[4-[[2,3,6-tri-O-acetyl-4-O-(2,3,4,6-tetra-O-acetyl-β-D-glucopyranosyl)-β-D-glucopyranosyl]oxy]phenyl]-, (3R,4S)- (CA INDEX NAME)

Absolute stereochemistry.

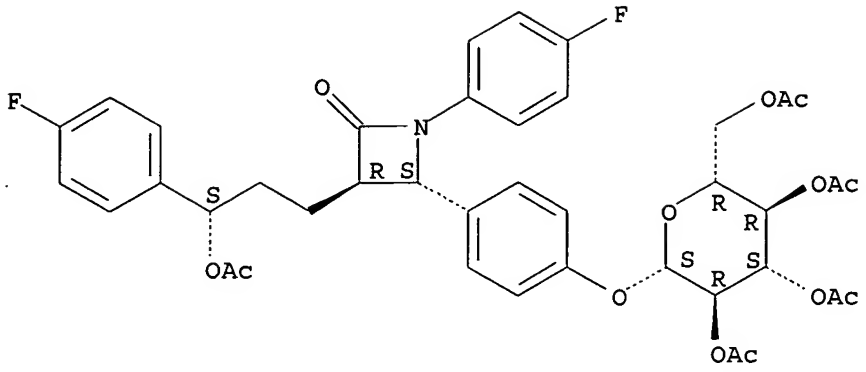
PAGE 1-A



PAGE 1-B

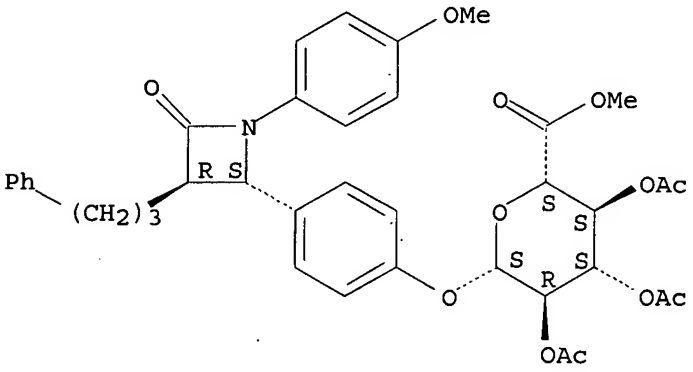
RN 190448-62-5 CAPLUS
CN 2-Azetidinone, 3-[(3S)-3-(acetyloxy)-3-(4-fluorophenyl)propyl]-1-(4-fluorophenyl)-4-[4-[(2,3,4,6-tetra-O-acetyl-β-D-glucopyranosyl)oxy]phenyl]-, (3R,4S)- (CA INDEX NAME)

Absolute stereochemistry.



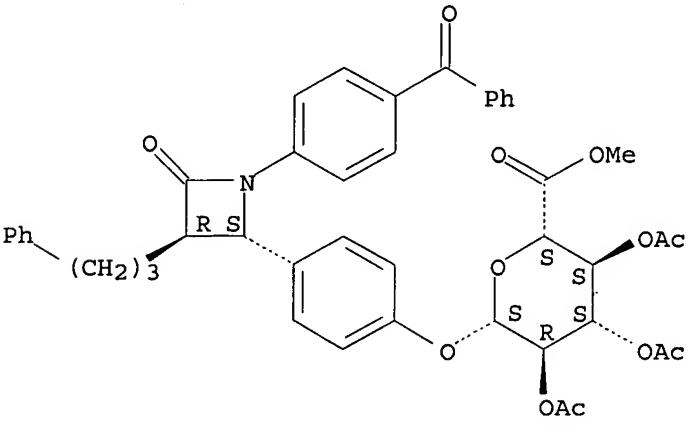
RN 190448-65-8 CAPLUS
CN β-D-Glucopyranosiduronic acid, 4-[(2S,3R)-1-(4-methoxyphenyl)-4-oxo-3-(3-phenylpropyl)-2-azetidinyl]phenyl, methyl ester, 2,3,4-triacetate (CA INDEX NAME)

Absolute stereochemistry.



RN 190448-67-0 CAPLUS
CN β-D-Glucopyranosiduronic acid, 4-[(2S,3R)-1-(4-benzoylphenyl)-4-oxo-3-(3-phenylpropyl)-2-azetidinyl]phenyl, methyl ester, 2,3,4-triacetate (CA INDEX NAME)

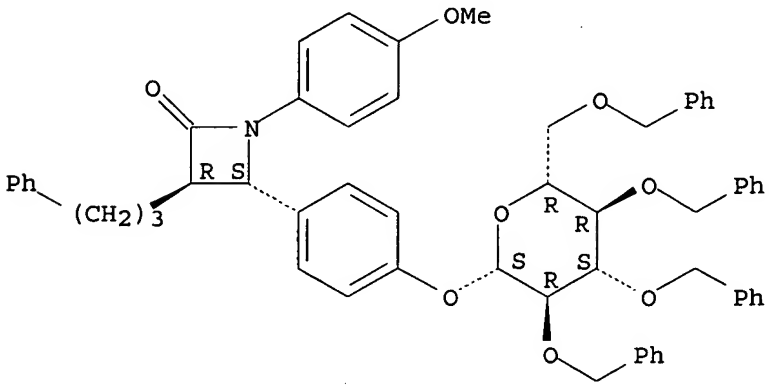
Absolute stereochemistry.



RN 190448-70-5 CAPLUS

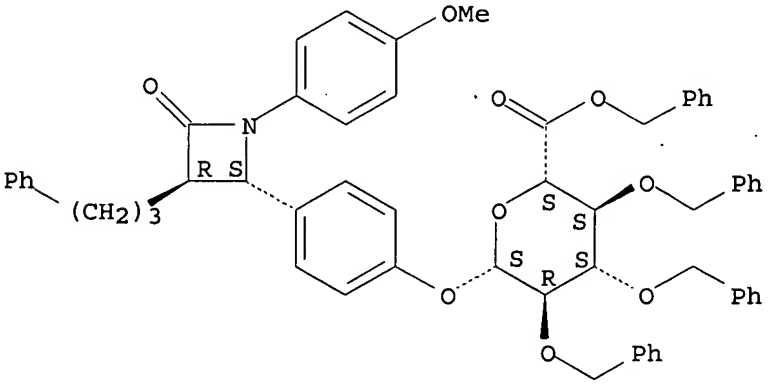
CN 2-Azetidinone, 1-(4-methoxyphenyl)-3-(3-phenylpropyl)-4-[4-[[2,3,4,6-tetrakis-O-(phenylmethyl)-β-D-glucopyranosyl]oxy]phenyl]-, (3R,4S)-(CA INDEX NAME)

Absolute stereochemistry.



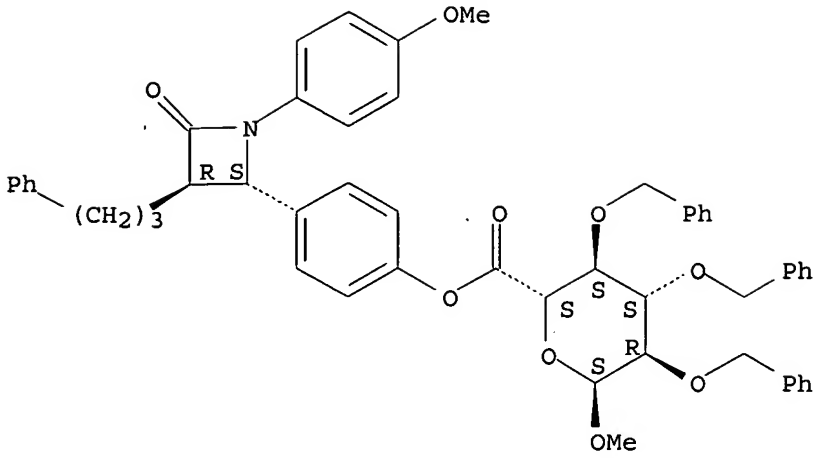
RN 190448-74-9 CAPLUS
CN β-D-Glucopyranosiduronic acid, 4-[(2S,3R)-1-(4-methoxyphenyl)-4-oxo-3-(3-phenylpropyl)-2-azetidinyl]phenyl 2,3,4-tris-O-(phenylmethyl)-, phenylmethyl ester (CA INDEX NAME)

Absolute stereochemistry.



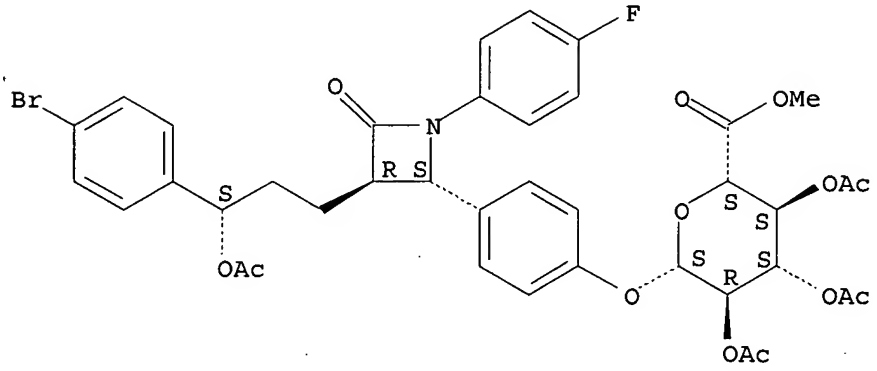
RN 190448-77-2 CAPLUS
CN α-D-Glucopyranosiduronic acid, methyl 2,3,4-tris-O-(phenylmethyl)-, 4-[(2S,3R)-1-(4-methoxyphenyl)-4-oxo-3-(3-phenylpropyl)-2-azetidinyl]phenyl ester (CA INDEX NAME)

Absolute stereochemistry.



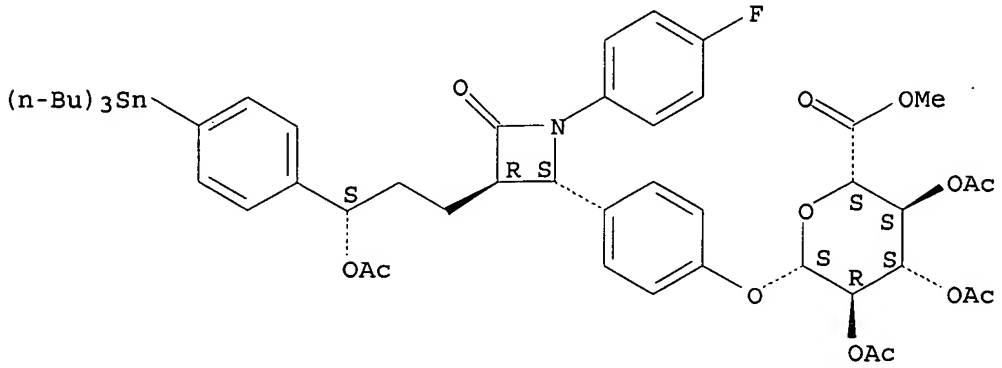
RN 190448-81-8 CAPLUS
CN β-D-Glucopyranosiduronic acid, 4-[(2S,3R)-3-[(3S)-3-(acetyloxy)-3-(4-bromophenyl)propyl]-1-(4-fluorophenyl)-4-oxo-2-azetidinyl]phenyl, methyl ester, 2,3,4-triacetate (CA INDEX NAME)

Absolute stereochemistry.



RN 190448-82-9 CAPLUS
CN β-D-Glucopyranosiduronic acid, 4-[(2S,3R)-3-[(3S)-3-(acetyloxy)-3-[4-(tributylstannyl)phenyl]propyl]-1-(4-fluorophenyl)-4-oxo-2-azetidinyl]phenyl, methyl ester, 2,3,4-triacetate (CA INDEX NAME)

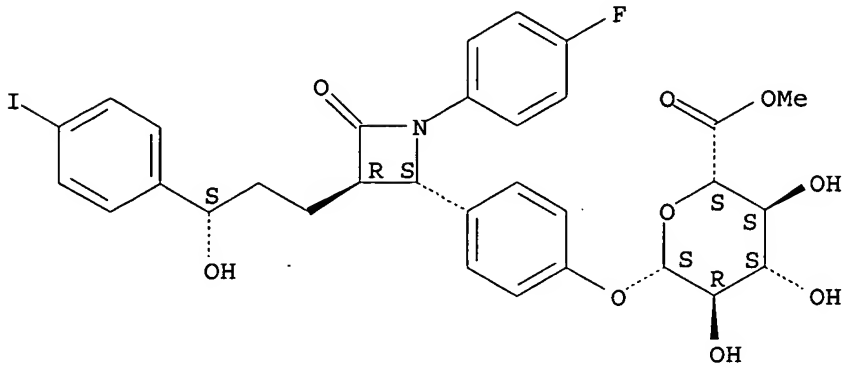
Absolute stereochemistry.



RN 190448-83-0 CAPLUS
CN β-D-Glucopyranosiduronic acid, 4-[(2S,3R)-1-(4-fluorophenyl)-3-[(3S)-

3-hydroxy-3-(4-iodophenyl)propyl]-4-oxo-2-azetidinyl]phenyl, methyl ester
(CA INDEX NAME)

Absolute stereochemistry.

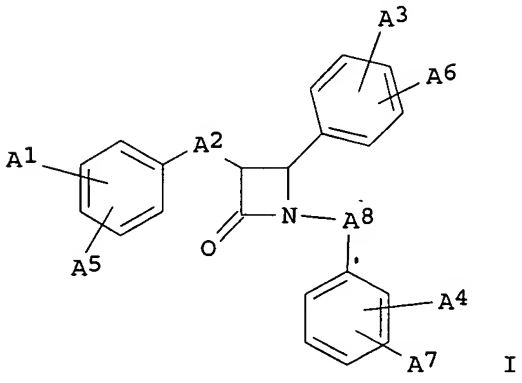


L25 ANSWER 9 OF 12 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2002:658112 CAPLUS
DOCUMENT NUMBER: 137:201523
TITLE: Preparation of β-lactam compounds as serum cholesterol-lowering agents
INVENTOR(S): Tomiyama, Hiroshi; Yokota, Masayuki; Noda, Atsushi; Ohno, Akira
PATENT ASSIGNEE(S): Kotobuki Pharmaceutical Co., Ltd., Japan
SOURCE: PCT Int. Appl., 113 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002066464	A1	20020829	WO 2002-JP1481	20020220
W: AU, BR, CA, CN, ID, IN, JP, KR, MX, RU, US				
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR				
CA 2438961	A1	20020829	CA 2002-2438961	20020220
AU 2002237522	A1	20020904	AU 2002-237522	20020220
AU 2002237522	B2	20070802		
EP 1362855	A1	20031119	EP 2002-703861	20020220
EP 1362855	B1	20071003		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI, CY, TR				
BR 2002006193	A	20040203	BR 2002-6193	20020220
CN 1492865	A	20040428	CN 2002-805201	20020220
RU 2301799	C2	20070627	RU 2003-128424	20020220
AT 374769	T	20071015	AT 2002-703861	20020220
MX 2003PA05073	A	20030905	MX 2003-PA5073	20030606
US 2004063929	A1	20040401	US 2003-450171	20030611
US 7045515	B2	20060516		
IN 2003KN00849	A	20050311	IN 2003-KN849	20030701

PRIORITY APPLN. INFO.: JP 2001-48202 A 20010223
JP 2001-128031 A 20010425
WO 2002-JP1481 W 20020220

OTHER SOURCE(S): MARPAT 137:201523
GI



I

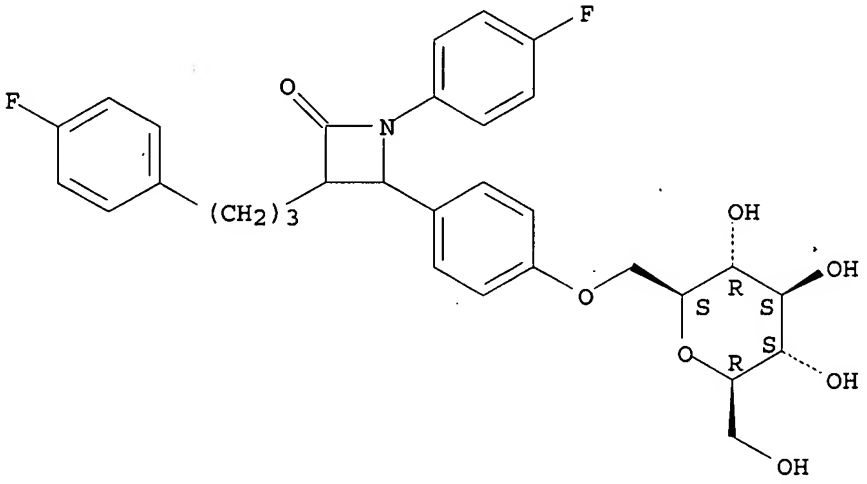
AB The title compds. I [A1, A3 and A4 represent each hydrogen, halogeno, C1-5 alkyl, C1-5 alkoxy, a group represented by the general formula OCMe2CO2R1 (wherein R1 represents hydrogen or C1-5 alkyl), etc.; a proviso is given; A2 represents C1-5 alkyl, C1-5 alkoxy, C1-5 alkenyl, C1-5 hydroxyalkyl or

C1-5 carbonylalkyl; A5 is (R3)p; A6 is (R3)q; A7 is (R3)m; A8 is (CH2)n; and n, p, q and m are each an integer of 0, 1 or 2; R3 is OH, etc.] are prepared Processes for preparing I are disclosed. The cholesterol-lowering activity of compds. of this invention was demonstrated in hamsters.

IT 452067-93-5P 452067-94-6P 452067-95-7P
RL: IMF (Industrial manufacture); PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of β-lactam compds. as serum cholesterol-lowering agents)

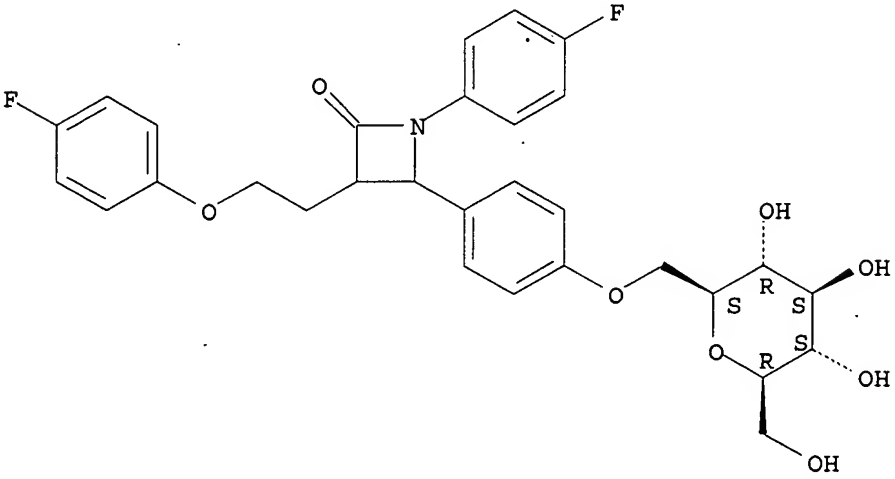
RN 452067-93-5 CAPLUS
CN D-glycero-D-gulo-Heptitol, 2,6-anhydro-1-O-[4-[1-(4-fluorophenyl)-3-[3-(4-fluorophenyl)propyl]-4-oxo-2-azetidinyl]phenyl]- (CA INDEX NAME)

Absolute stereochemistry.



RN 452067-94-6 CAPLUS
CN D-glycero-D-gulo-Heptitol, 2,6-anhydro-1-O-[4-[3-[2-(4-fluorophenoxy)ethyl]-1-(4-fluorophenyl)-4-oxo-2-azetidinyl]phenyl]- (CA INDEX NAME)

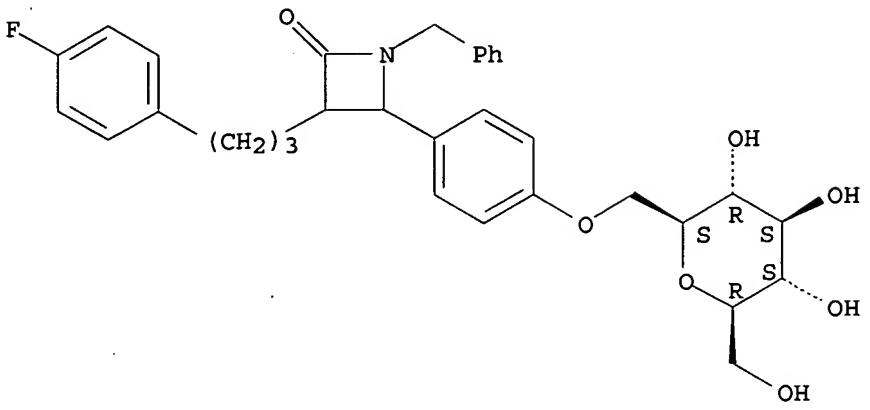
Absolute stereochemistry.



RN 452067-95-7 CAPLUS
CN D-glycero-D-gulo-Heptitol, 2,6-anhydro-1-O-[4-[3-[3-(4-

fluorophenyl)propyl]-4-oxo-1-(phenylmethyl)-2-azetidinyl]phenyl]- (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L25 ANSWER 10 OF 12 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2002:487576 CAPLUS
DOCUMENT NUMBER: 137:41758
TITLE: Sugar-substituted 2-azetidinones useful as hypocholesterolemic agents and in the treatment of atherosclerosis
INVENTOR(S): Ghosal, Anima; Zbaida, Shmuel; Chowdhury, Swapan K.; Iannucci, Robert M.; Feng, Wenqing; Alton, Kevin B.; Patrick, James E.; Davis, Harry R.
PATENT ASSIGNEE(S): Schering Corporation, USA
SOURCE: PCT Int. Appl., 33 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 12
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002050090	A1	20020627	WO 2001-US49127	20011217
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, HR, HU, ID, IL, IN, IS, JP, KG, KR, KZ, LC, LK, LR, LT, LU, LV, MA, MD, MG, MK, MN, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UZ, VN, YU, ZA				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2432798	A1	20020627	CA 2001-2432798	20011217
CA 2432798	C	20070227		
AU 200231049	A	20020701	AU 2002-31049	20011217
EP 1347987	A1	20031001	EP 2001-991315	20011217
EP 1347987	B1	20041013		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
HU 2003002269	A2	20031028	HU 2003-2269	20011217
BR 2001016212	A	20031230	BR 2001-16212	20011217
JP 2004516299	T	20040603	JP 2002-551983	20011217
AT 279425	T	20041015	AT 2001-991315	20011217

NZ 525722	A	20041126	NZ 2001-525722		20011217
PT 1347987	T	20050131	PT 2001-991315		20011217
ES 2230385	T3	20050501	ES 2001-1991315		20011217
EP 1593670	A1	20051109	EP 2005-4699		20011217
EP 1593670	B1	20070808			
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR					
RU 2297422	C2	20070420	RU 2003-122520		20011217
AT 369334	T	20070815	AT 2005-4699		20011217
ES 2287826	T3	20071216	ES 2005-5004699		20011217
ZA 2003003694	A	20040813	ZA 2003-3694		20030513
IN 2003CN00940	A	20050422	IN 2003-CN940		20030613
NO 2003002806	A	20030819	NO 2003-2806		20030619
MX 2003PA05671	A	20031006	MX 2003-PA5671		20030620
HK 1056735	A1	20050506	HK 2003-109136		20031215
EP 1510521	A1	20050302	EP 2004-19610		20040818
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR					
AU 2007201970	A1	20070524	AU 2007-201970		20070503
PRIORITY APPLN. INFO.:			US 2000-256875P	P	20001220
			EP 2001-991315	A3	20011217
			WO 2001-US49127	W	20011217
			EP 2004-19610	A3	20040818
			AU 2006-202618	A3	20060620

OTHER SOURCE(S): MARPAT 137:41758

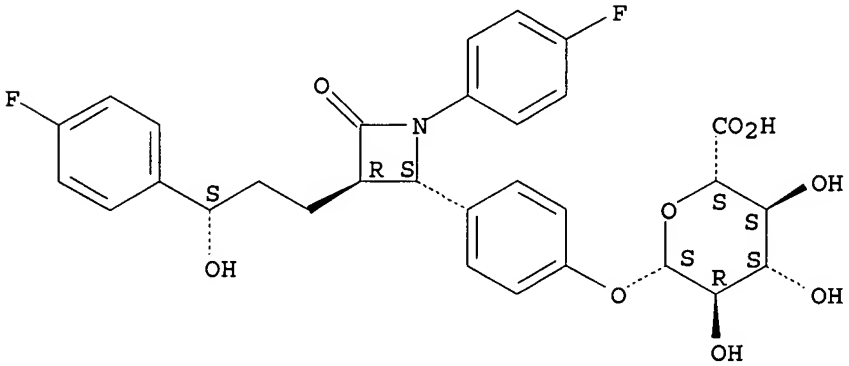
AB Hypocholesterolemic sugar-substituted 2-azetidinone compds. are disclosed, as are a method of lowering cholesterol by administering these compds., pharmaceutical compns. containing them, and the combination of a sugar-substituted 2-azetidinone cholesterol-lowering agent and a cholesterol biosynthesis inhibitor for the treatment and prevention of atherosclerosis.

IT 190448-57-8
RL: BSU (Biological study, unclassified); PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(sugar-substituted 2-azetidinones useful as hypocholesterolemics and in atherosclerosis treatment)

RN 190448-57-8 CAPLUS

CN β-D-Glucopyranosiduronic acid, 4-[(2S,3R)-1-(4-fluorophenyl)-3-[(3S)-3-(4-fluorophenyl)-3-hydroxypropyl]-4-oxo-2-azetidinyl]phenyl (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L25 ANSWER 11 OF 12 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1998:352625 CAPLUS
DOCUMENT NUMBER: 129:41376

TITLE: Preparation of sugar-substituted 2-azetidinones useful as hypocholesterolemic agents

INVENTOR(S): Yumibe, Nathan P.; Alton, Kevin B.; Van Heek, Margaret; Davis, Harry R.; Vaccaro, Wayne D.

PATENT ASSIGNEE(S): Schering Corp., USA

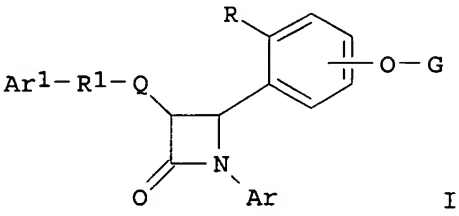
SOURCE: U.S., 18 pp.
CODEN: USXXAM

DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5756470	A	19980526	US 1996-741179	19961029
CN 1205707	A	19990120	CN 1996-199226	19961029
CN 1103780	B	20030326		

PRIORITY APPLN. INFO.: US 1996-741179 A 19961029
OTHER SOURCE(S): MARPAT 129:41376

GI



I

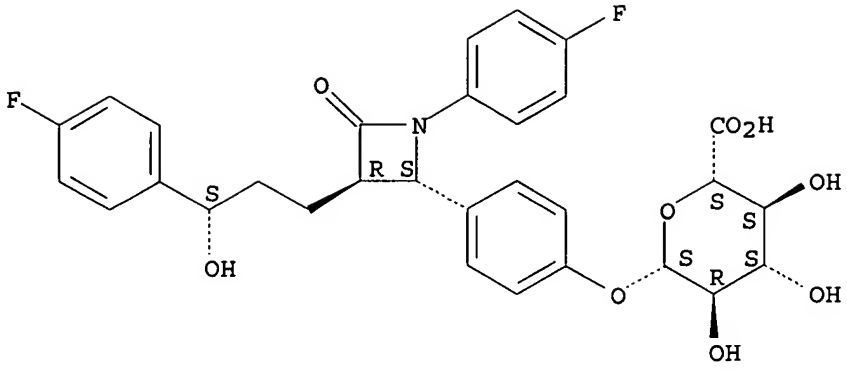
AB Hypocholesterolemic sugar-substituted 2-azetidinones I (R = H, OH, sugar; R1 = alkylene, cycloalkylene, phenylene, alkenylene; G = sugar residue; Q = bond, spiro group; Ar, Ar1 = aryl), are disclosed, as well as a method of lowering cholesterol by administering said compds., pharmaceutical compns. containing them, and the combination of a sugar-substituted 2-azetidinone cholesterol-lowering agent and a cholesterol biosynthesis inhibitor for the treatment and prevention of atherosclerosis. Thus, 1-O-[4-[trans-(3R,4S)-1-(4-fluorophenyl)-2-oxo-3-[3-[(S)-hydroxy-4-fluorophenylpropyl]]-4-azetidinyl]phenyl]-β-D-glucuronic acid was prepared as anticholesteremic agent 58 % reduction in plasma cholesterol with 3 mg/kg dose in hamsters.

IT 190448-57-8P 190448-58-9P 190448-60-3P
190448-63-6P 190448-64-7P 190448-66-9P
190448-68-1P 190448-72-7P 190448-76-1P
190448-78-3P 190448-79-4P 208259-77-2P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of sugar substituted azetidinones useful as hypocholesterolemic agents)

RN 190448-57-8 CAPLUS

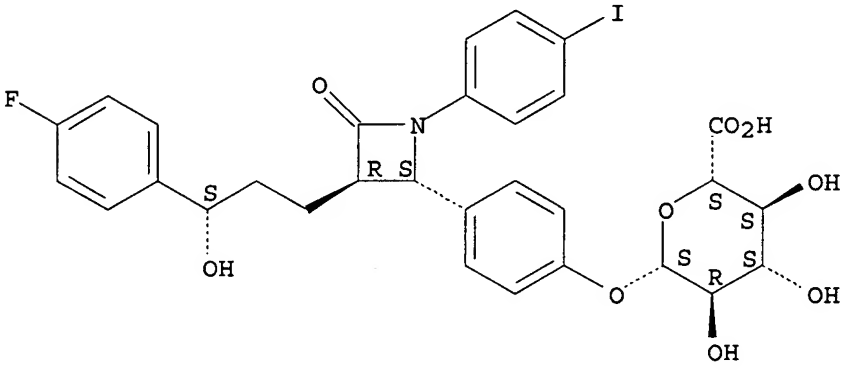
CN β-D-Glucopyranosiduronic acid, 4-[(2S,3R)-1-(4-fluorophenyl)-3-[(3S)-3-(4-fluorophenyl)-3-hydroxypropyl]-4-oxo-2-azetidinyl]phenyl (CA INDEX NAME)

Absolute stereochemistry.



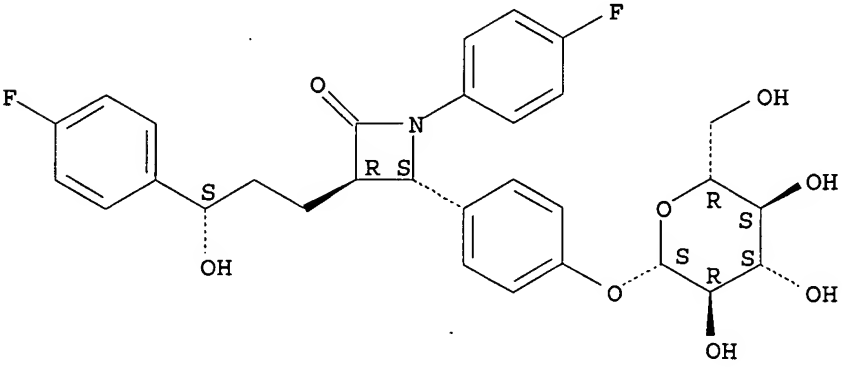
RN 190448-58-9 CAPLUS
CN β-D-Glucopyranosiduronic acid, 4-[(2S,3R)-3-[(3S)-3-(4-fluorophenyl)-3-hydroxypropyl]-1-(4-iodophenyl)-4-oxo-2-azetidinyl]phenyl (CA INDEX NAME)

Absolute stereochemistry.



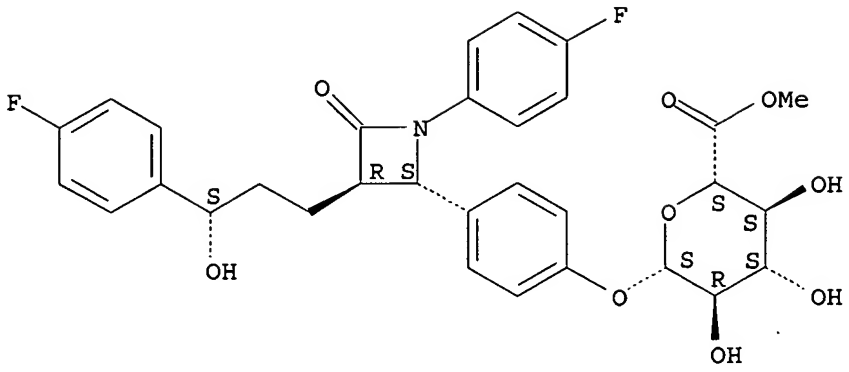
RN 190448-60-3 CAPLUS
CN 2-Azetidinone, 1-(4-fluorophenyl)-3-[(3S)-3-(4-fluorophenyl)-3-hydroxypropyl]-4-[4-(β-D-glucopyranosyloxy)phenyl]-, (3R,4S)- (CA INDEX NAME)

Absolute stereochemistry.



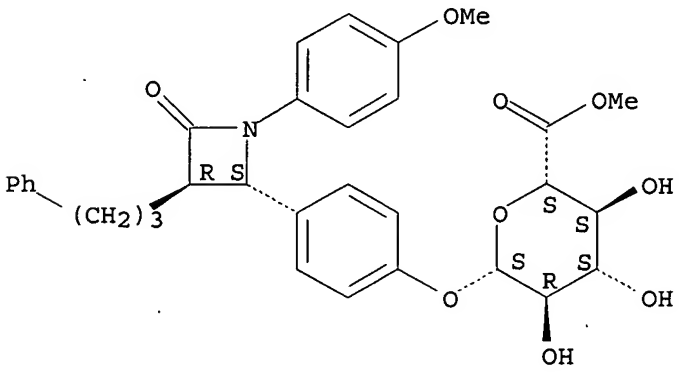
RN 190448-63-6 CAPLUS
CN β-D-Glucopyranosiduronic acid, 4-[(2S,3R)-1-(4-fluorophenyl)-3-[(3S)-3-(4-fluorophenyl)-3-hydroxypropyl]-4-oxo-2-azetidinyl]phenyl, methyl ester (CA INDEX NAME)

Absolute stereochemistry.



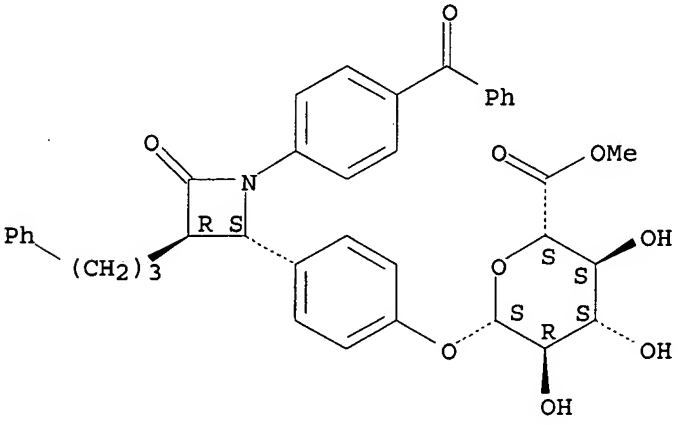
RN 190448-64-7 CAPLUS
CN β-D-Glucopyranosiduronic acid, 4-[(2S,3R)-1-(4-methoxyphenyl)-4-oxo-3-(3-phenylpropyl)-2-azetidinyl]phenyl, methyl ester (CA INDEX NAME)

Absolute stereochemistry.



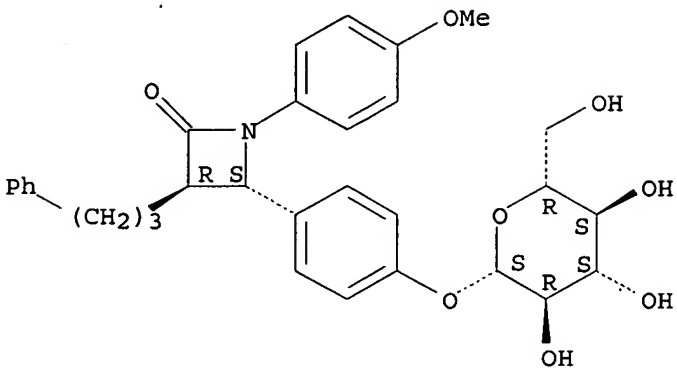
RN 190448-66-9 CAPLUS
CN β-D-Glucopyranosiduronic acid, 4-[(2S,3R)-1-(4-benzoylphenyl)-4-oxo-3-(3-phenylpropyl)-2-azetidinyl]phenyl, methyl ester (CA INDEX NAME)

Absolute stereochemistry.



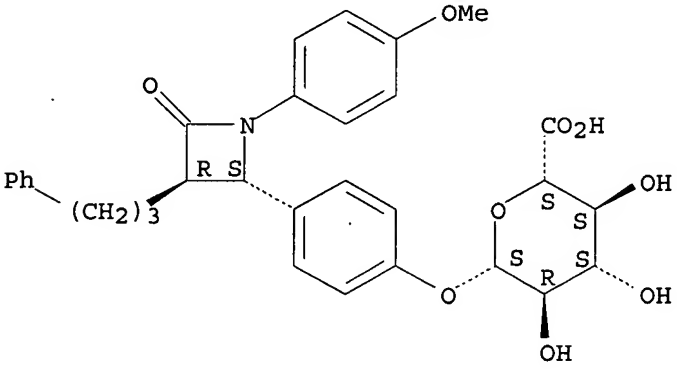
RN 190448-68-1 CAPLUS
CN 2-Azetidinone, 4-[4-(β-D-glucopyranosyloxy)phenyl]-1-(4-methoxyphenyl)-3-(3-phenylpropyl)-, (3R,4S)- (CA INDEX NAME)

Absolute stereochemistry.



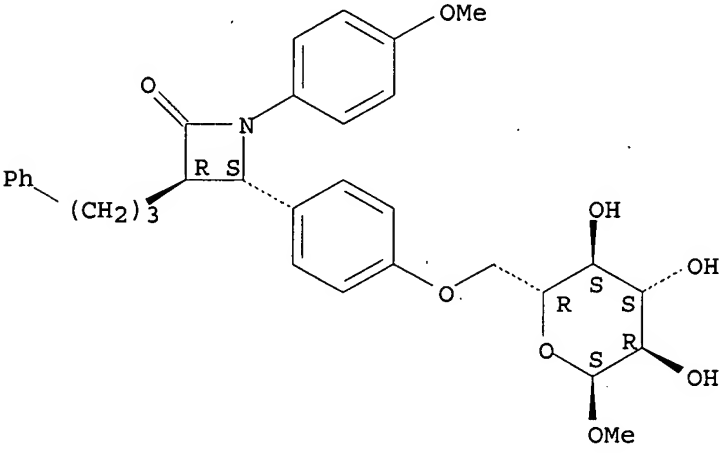
RN 190448-72-7 CAPLUS
CN β-D-Glucopyranosiduronic acid, 4-[(2S,3R)-1-(4-methoxyphenyl)-4-oxo-3-(3-phenylpropyl)-2-azetidinyl]phenyl (CA INDEX NAME)

Absolute stereochemistry.



RN 190448-76-1 CAPLUS
CN α-D-Glucopyranoside, methyl 6-O-[4-[(2S,3R)-1-(4-methoxyphenyl)-4-oxo-3-(3-phenylpropyl)-2-azetidinyl]phenyl]- (CA INDEX NAME)

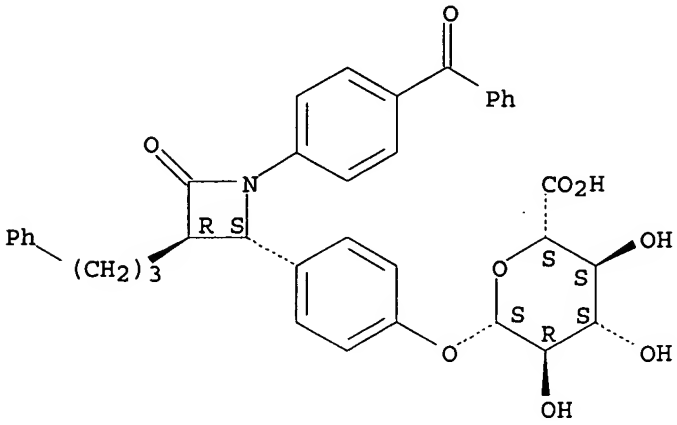
Absolute stereochemistry.



RN 190448-78-3 CAPLUS

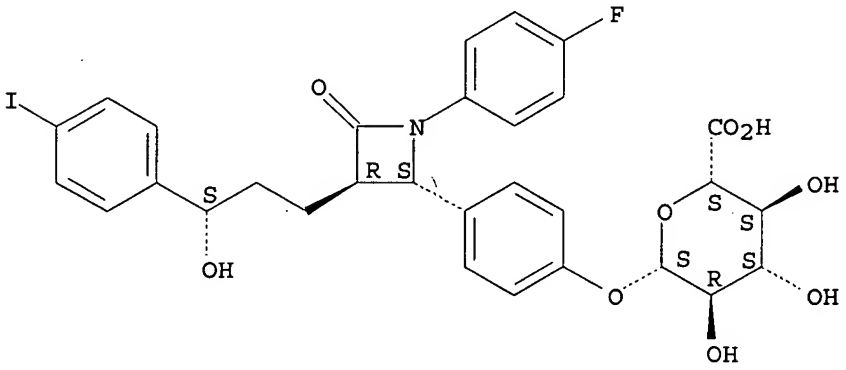
CN β-D-Glucopyranosiduronic acid, 4-[(2S,3R)-1-(4-benzoylphenyl)-4-oxo-3-(3-phenylpropyl)-2-azetidinyl]phenyl (CA INDEX NAME)

Absolute stereochemistry.



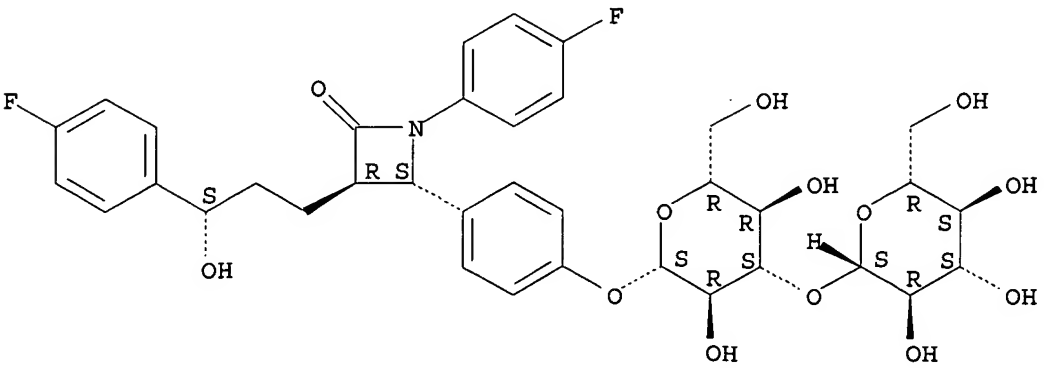
RN 190448-79-4 CAPLUS
CN β-D-Glucopyranosiduronic acid, 4-[(2S,3R)-1-(4-fluorophenyl)-3-[(3S)-3-hydroxy-3-(4-iodophenyl)propyl]-4-oxo-2-azetidinyl]phenyl (CA INDEX NAME)

Absolute stereochemistry.



RN 208259-77-2 CAPLUS
CN 2-Azetidinone, 1-(4-fluorophenyl)-3-[(3S)-3-(4-fluorophenyl)-3-hydroxypropyl]-4-[4-[(3-O-β-D-glucopyranosyl-β-D-glucopyranosyl)oxy]phenyl]-, (3R,4S)- (CA INDEX NAME)

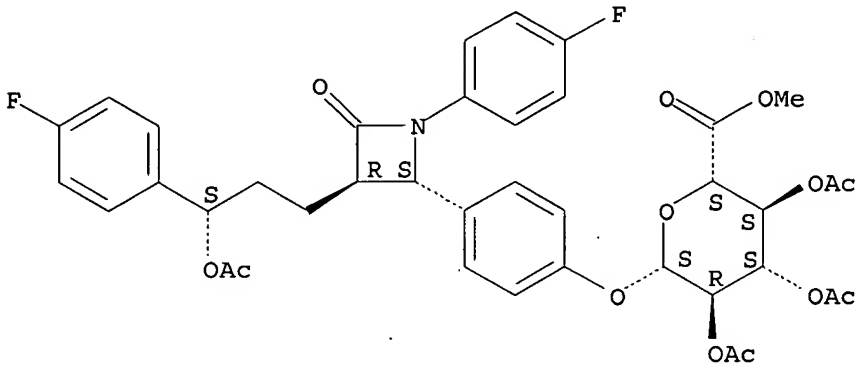
Absolute stereochemistry.



IT 190448-56-7P 190448-62-5P 190448-65-8P
190448-67-0P 190448-70-5P 190448-74-9P
190448-81-8P 190448-82-9P 190448-83-0P
208259-78-3P 208259-80-7P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of sugar substituted azetidinones useful as hypocholesterolemic agents)

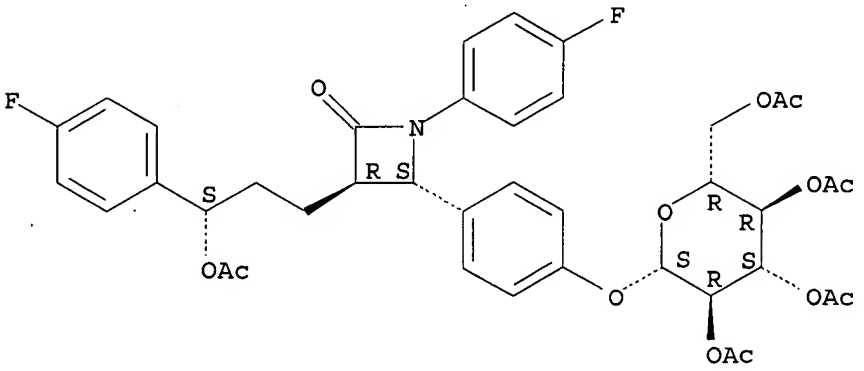
RN 190448-56-7 CAPLUS
CN β-D-Glucopyranosiduronic acid, 4-[(2S,3R)-3-[(3S)-3-(acetyloxy)-3-(4-fluorophenyl)propyl]-1-(4-fluorophenyl)-4-oxo-2-azetidinyl]phenyl, methyl ester, 2,3,4-triacetate (CA INDEX NAME)

Absolute stereochemistry.



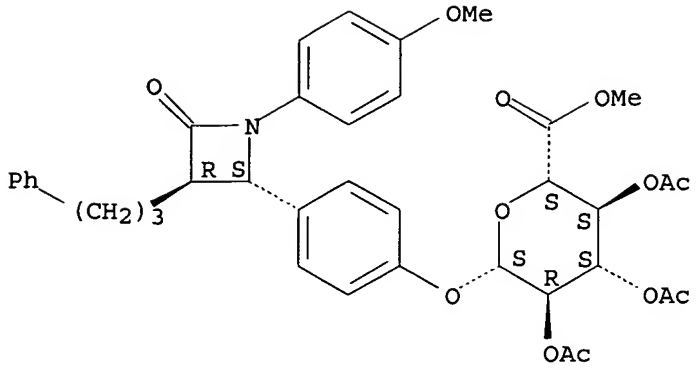
RN 190448-62-5 CAPLUS
CN 2-Azetidinone, 3-[(3S)-3-(acetyloxy)-3-(4-fluorophenyl)propyl]-1-(4-fluorophenyl)-4-[4-[(2,3,4,6-tetra-O-acetyl-β-D-glucopyranosyl)oxy]phenyl]-, (3R,4S)- (CA INDEX NAME)

Absolute stereochemistry.



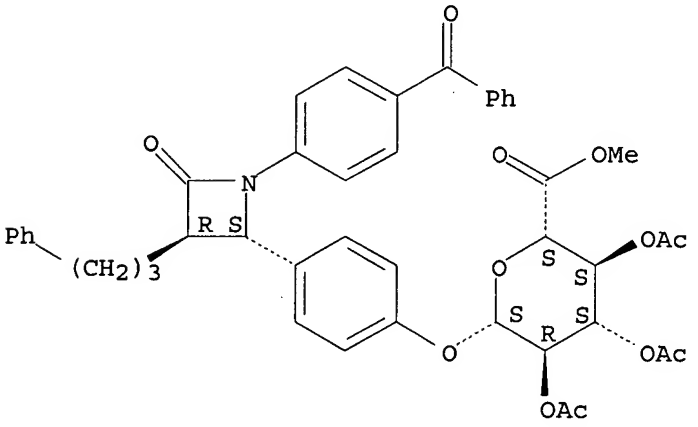
RN 190448-65-8 CAPLUS
CN β-D-Glucopyranosiduronic acid, 4-[(2S,3R)-1-(4-methoxyphenyl)-4-oxo-3-(3-phenylpropyl)-2-azetidinyl]phenyl, methyl ester, 2,3,4-triacetate (CA INDEX NAME)

Absolute stereochemistry.



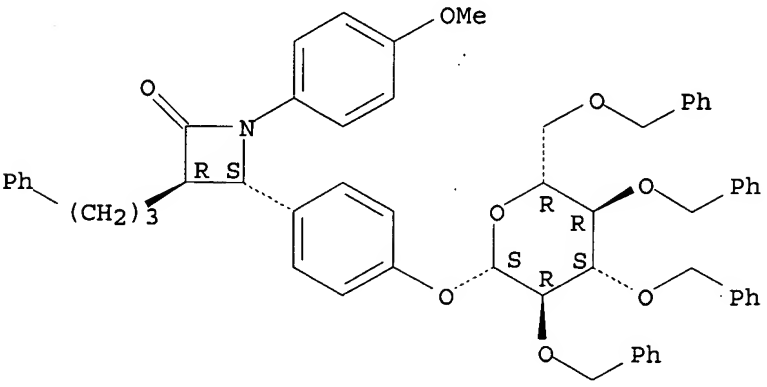
RN 190448-67-0 CAPLUS
CN β-D-Glucopyranosiduronic acid, 4-[(2S,3R)-1-(4-benzoylphenyl)-4-oxo-3-(3-phenylpropyl)-2-azetidinyl]phenyl, methyl ester, 2,3,4-triacetate (CA INDEX NAME)

Absolute stereochemistry.



RN 190448-70-5 CAPLUS
CN 2-Azetidinone, 1-(4-methoxyphenyl)-3-(3-phenylpropyl)-4-[4-[[2,3,4,6-tetrakis-O-(phenylmethyl)-β-D-glucopyranosyl]oxy]phenyl]-, (3R,4S)- (CA INDEX NAME)

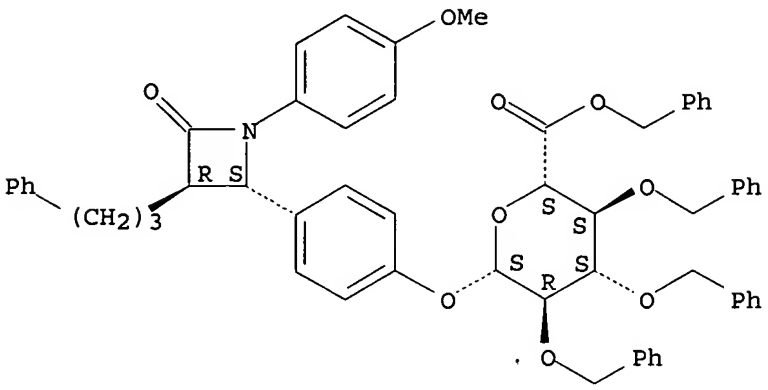
Absolute stereochemistry.



RN 190448-74-9 CAPLUS
CN β-D-Glucopyranosiduronic acid, 4-[(2S,3R)-1-(4-methoxyphenyl)-4-oxo-3-

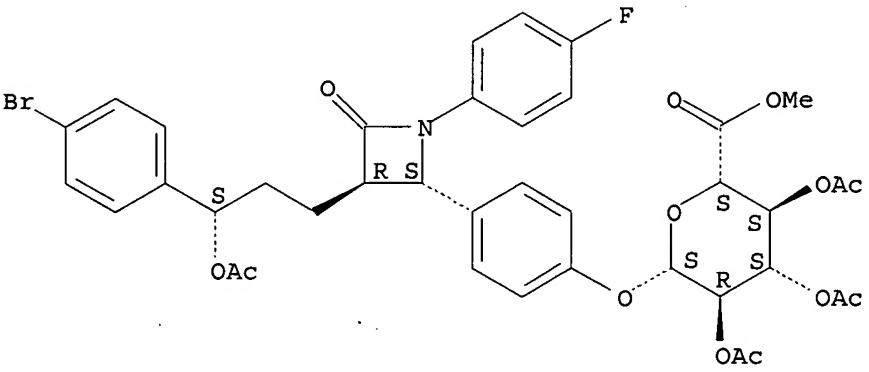
(3-phenylpropyl)-2-azetidinyl]phenyl 2,3,4-tris-O-(phenylmethyl)-, phenylmethyl ester (CA INDEX NAME)

Absolute stereochemistry.



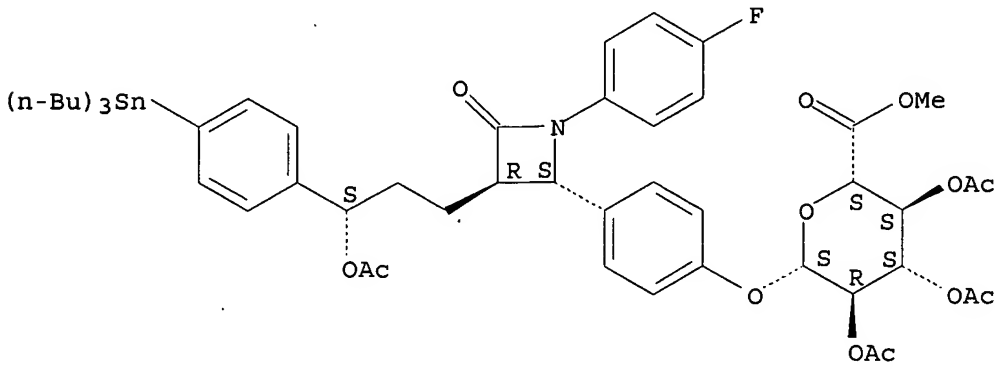
RN 190448-81-8 CAPLUS
CN β-D-Glucopyranosiduronic acid, 4-[(2S,3R)-3-[(3S)-3-(acetyloxy)-3-(4-bromophenyl)propyl]-1-(4-fluorophenyl)-4-oxo-2-azetidinyl]phenyl, methyl ester, 2,3,4-triacetate (CA INDEX NAME)

Absolute stereochemistry.



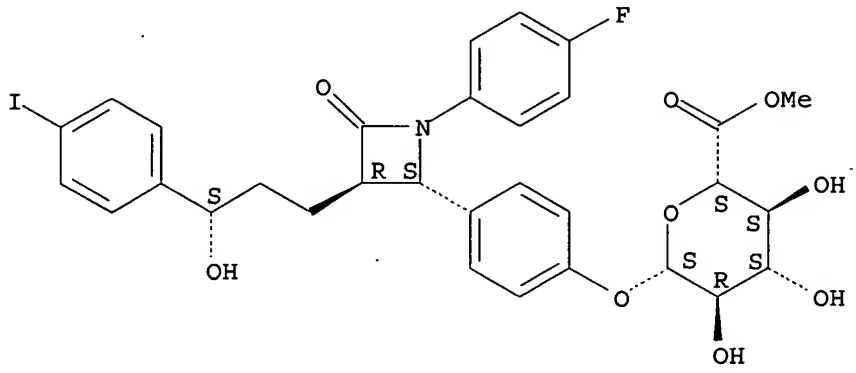
RN 190448-82-9 CAPLUS
CN β-D-Glucopyranosiduronic acid, 4-[(2S,3R)-3-[(3S)-3-(acetyloxy)-3-[4-(tributylstannyl)phenyl]propyl]-1-(4-fluorophenyl)-4-oxo-2-azetidinyl]phenyl, methyl ester, 2,3,4-triacetate (CA INDEX NAME)

Absolute stereochemistry.



RN 190448-83-0 CAPLUS
CN β-D-Glucopyranosiduronic acid, 4-[(2S,3R)-1-(4-fluorophenyl)-3-[(3S)-3-hydroxy-3-(4-iodophenyl)propyl]-4-oxo-2-azetidinyl]phenyl, methyl ester (CA INDEX NAME)

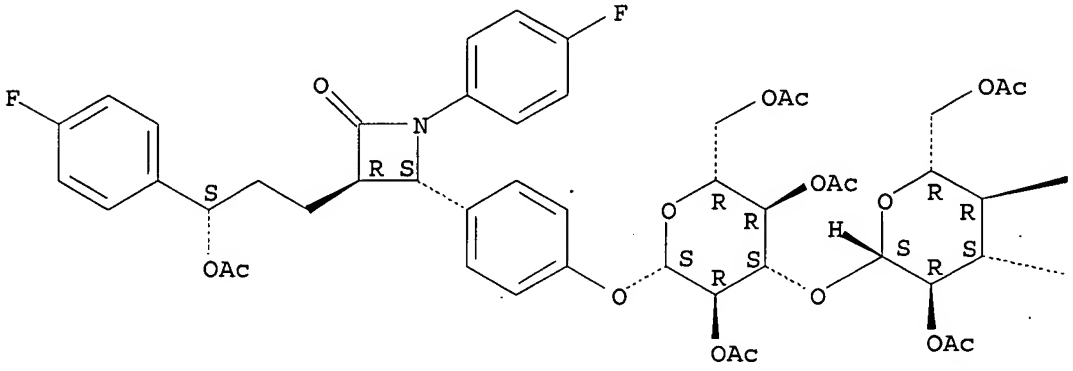
Absolute stereochemistry.



RN 208259-78-3 CAPLUS
CN 2-Azetidinone, 3-[(3S)-3-(acetyloxy)-3-(4-fluorophenyl)propyl]-1-(4-fluorophenyl)-4-[4-[[2,4,6-tri-O-acetyl-3-O-(2,3,4,6-tetra-O-acetyl-β-D-glucopyranosyl)-β-D-glucopyranosyl]oxy]phenyl]-, (3R,4S)- (CA INDEX NAME)

Absolute stereochemistry.

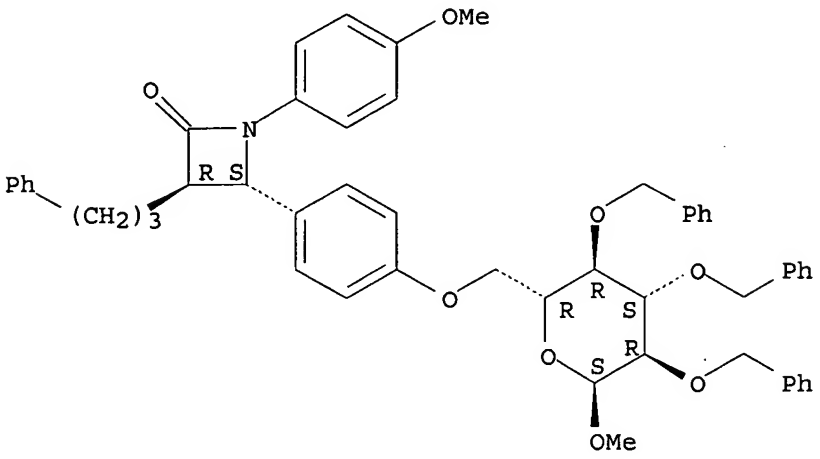
PAGE 1-A



PAGE 1-B

RN 208259-80-7 CAPLUS
CN α-D-Glucopyranoside, methyl 6-O-[4-[(2S,3R)-1-(4-methoxyphenyl)-4-oxo-3-(3-phenylpropyl)-2-azetidinyl]phenyl]-2,3,4-tris-O-(phenylmethyl)- (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 17 THERE ARE 17 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

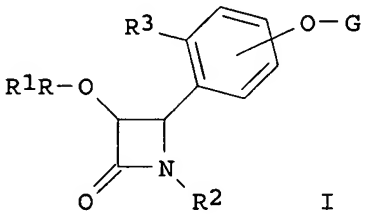
L25 ANSWER 12 OF 12 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1997:397385 CAPLUS
DOCUMENT NUMBER: 127:17912
TITLE: Preparation of glycoside-substituted 2-azetidinones useful as hypocholesterolemic agents
INVENTOR(S): Yumibe, Nathan P.; Alton, Kevin B.; Van Heek, Margaret; Davis, Harry R.; Vaccaro, Wayne D.
PATENT ASSIGNEE(S): Schering Corporation, USA
SOURCE: PCT Int. Appl., 47 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9716455	A1	19970509	WO 1996-US16823	19961029
W: AL, AM, AU, AZ, BA, BB, BG, BR, BY, CA, CN, CZ, EE, GE, HU, IL, IS, JP, KG, KR, KZ, LC, LK, LR, LT, LV, MD, MG, MK, MN, MX, NO, NZ, PL, RO, RU, SG, SI, SK, TJ, TM, TR, TT, UA, UZ, VN				
RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
ZA 9609089	A	19970429	ZA 1996-9089	19961029
CA 2235943	A1	19970509	CA 1996-2235943	19961029
CA 2235943	C	20021001		
AU 9675179	A	19970522	AU 1996-75179	19961029
AU 712158	B2	19991028		
EP 877750	A1	19981118	EP 1996-937702	19961029
EP 877750	B1	20020619		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, LT, LV, FI, RO				
HU 9802539	A2	19981130	HU 1998-2539	19961029
JP 10512592	T	19981202	JP 1997-517386	19961029
JP 3385031	B2	20030310		

BR 9611401	A	19990105	BR 1996-11401		19961029
JP 2001048895	A	20010220	JP 2000-216704		19961029
TW 448181	B	20010801	TW 1996-85113142		19961029
AT 219495	T	20020715	AT 1996-937702		19961029
PT 877750	T	20020930	PT 1996-937702		19961029
ES 2175141	T3	20021116	ES 1996-937702		19961029
PL 184698	B1	20021231	PL 1996-327987		19961029
SK 283552	B6	20030911	SK 1998-483		19961029
CZ 293957	B6	20040818	CZ 1998-1294		19961029
IL 124268	A	20050831	IL 1996-124268		19961029
NO 9801950	A	19980626	NO 1998-1950		19980429
NO 311692	B1	20020107			
HK 1012507	A1	20021018	HK 1998-114029		19981218
PRIORITY APPLN. INFO.:			US 1995-8185P	P	19951031
			US 1995-570847	A	19951212
			US 1995-8185	P	19951031
			JP 1997-517386	A3	19961029
			WO 1996-US16823	W	19961029

OTHER SOURCE(S): MARPAT 127:17912

GI



I

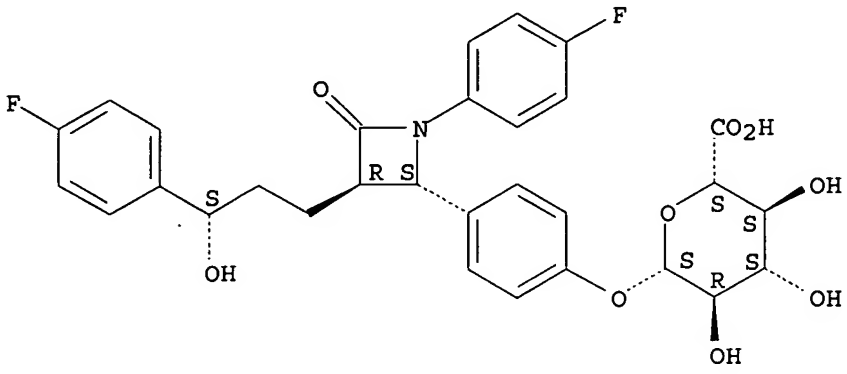
AB Hypocholesterolemic glycoside-substituted 2-azetidinones I (R = alkyl, ether, keto, alkylamine, ; R1, R2 = aryl; R3 = H, glycosyloxy; G = glycosyl) are prepared as sugar-substituted 2-azetidinone cholesterol-lowering agent and a cholesterol bio-preparation inhibitor for the treatment and prevention of atherosclerosis. Thus, 1-O-[4-[trans-(3R,4S)-1-(4-fluorophenyl)-2-oxo-3-[3-[(S)-hydroxy-4-iodophenyl]propyl]-4-azetidinyl]phenyl]-β-D-glucuronic acid was prepared and show a 50-98 % reduction in hepatic cholesterol esters.

IT 190448-57-8P 190448-58-9P 190448-63-6P
190448-64-7P 190448-66-9P 190448-68-1P
190448-72-7P 190448-76-1P 190448-78-3P
190448-79-4P 190450-53-4P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of glycoside-substituted azetidinones useful as hypocholesterolemic agents)

RN 190448-57-8 CAPLUS

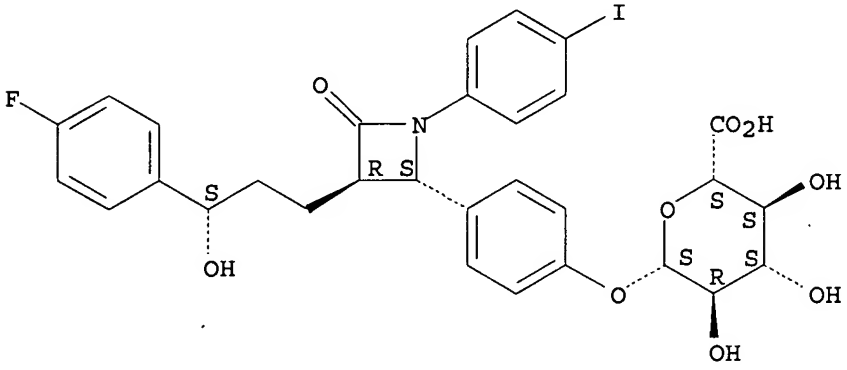
CN β-D-Glucopyranosiduronic acid, 4-[(2S,3R)-1-(4-fluorophenyl)-3-[(3S)-3-(4-fluorophenyl)-3-hydroxypropyl]-4-oxo-2-azetidinyl]phenyl (CA INDEX NAME)

Absolute stereochemistry.



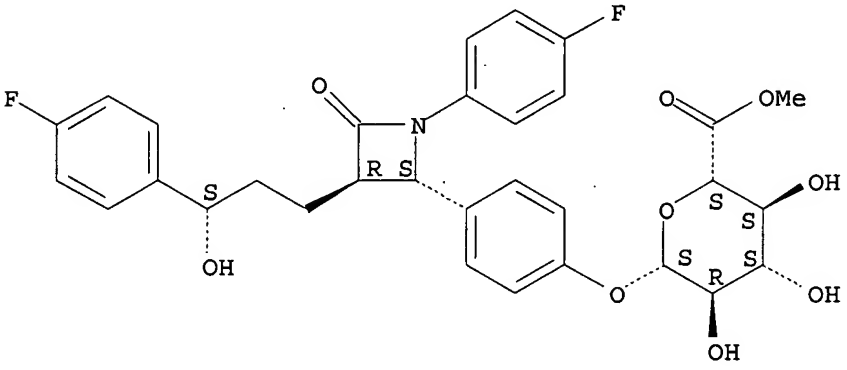
RN 190448-58-9 CAPLUS
CN β-D-Glucopyranosiduronic acid, 4-[(2S,3R)-3-[(3S)-3-(4-fluorophenyl)-3-hydroxypropyl]-1-(4-iodophenyl)-4-oxo-2-azetidinyl]phenyl (CA INDEX NAME)

Absolute stereochemistry.



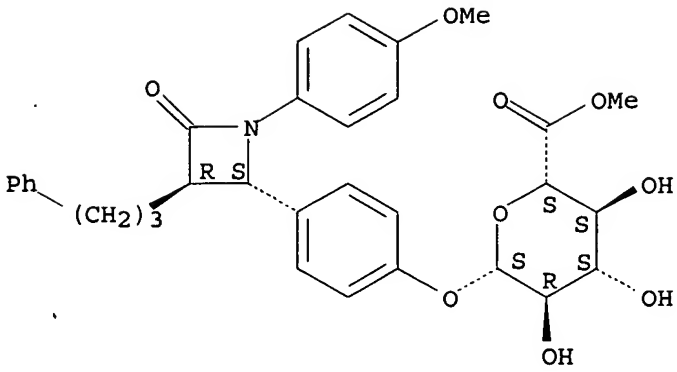
RN 190448-63-6 CAPLUS
CN β-D-Glucopyranosiduronic acid, 4-[(2S,3R)-1-(4-fluorophenyl)-3-[(3S)-3-(4-fluorophenyl)-3-hydroxypropyl]-4-oxo-2-azetidinyl]phenyl, methyl ester (CA INDEX NAME)

Absolute stereochemistry.



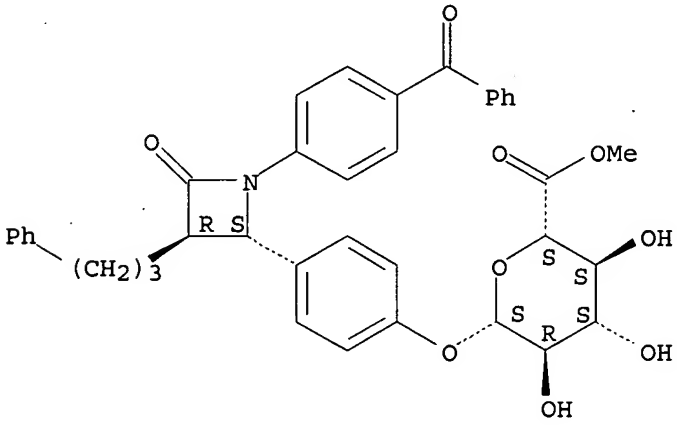
RN 190448-64-7 CAPLUS
CN β-D-Glucopyranosiduronic acid, 4-[(2S,3R)-1-(4-methoxyphenyl)-4-oxo-3-(3-phenylpropyl)-2-azetidinyl]phenyl, methyl ester (CA INDEX NAME)

Absolute stereochemistry.



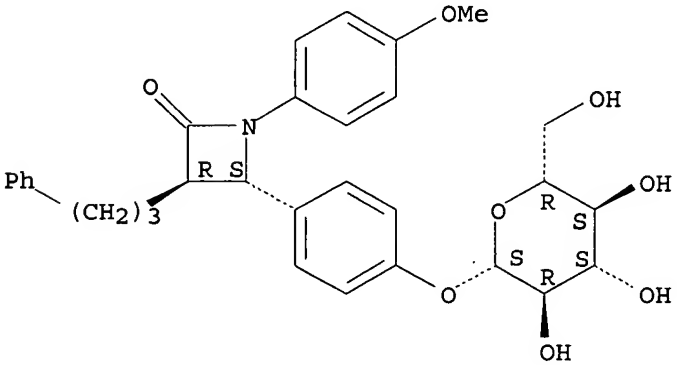
RN 190448-66-9 CAPLUS
CN β-D-Glucopyranosiduronic acid, 4-[(2S,3R)-1-(4-benzoylphenyl)-4-oxo-3-(3-phenylpropyl)-2-azetidinyl]phenyl, methyl ester (CA INDEX NAME)

Absolute stereochemistry.



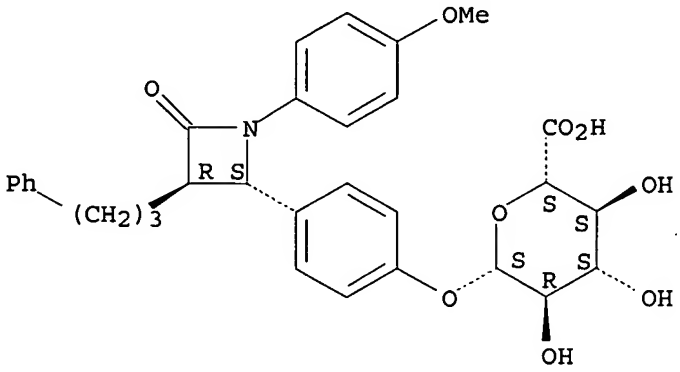
RN 190448-68-1 CAPLUS
CN 2-Azetidinone, 4-[4-(β-D-glucopyranosyloxy)phenyl]-1-(4-methoxyphenyl)-3-(3-phenylpropyl)-, (3R,4S)- (CA INDEX NAME)

Absolute stereochemistry.



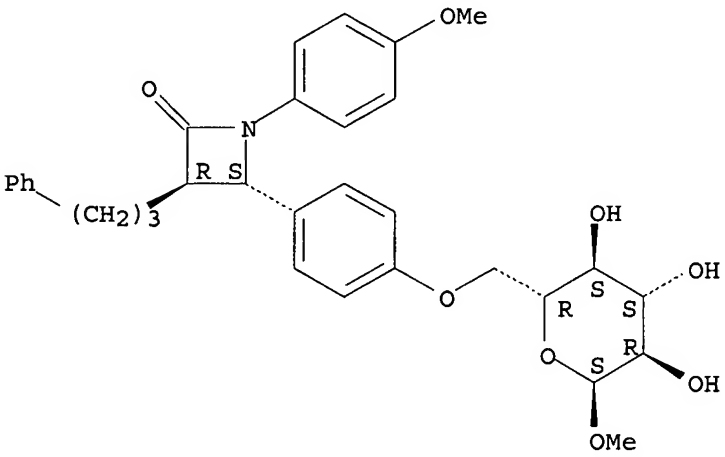
RN 190448-72-7 CAPLUS
CN β-D-Glucopyranosiduronic acid, 4-[(2S,3R)-1-(4-methoxyphenyl)-4-oxo-3-(3-phenylpropyl)-2-azetidinyl]phenyl (CA INDEX NAME)

Absolute stereochemistry.



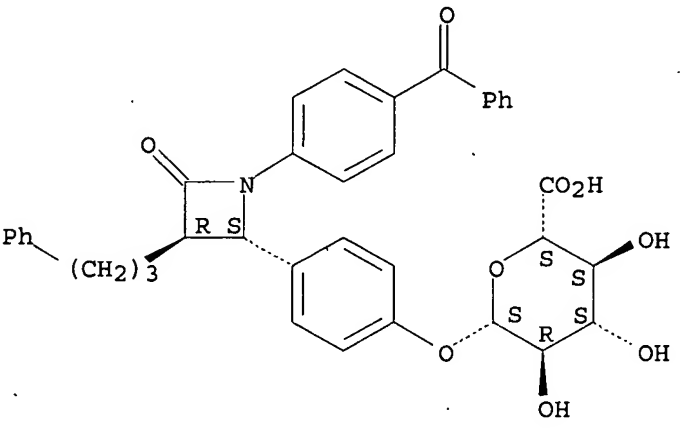
RN 190448-76-1 CAPLUS
CN α-D-Glucopyranoside, methyl 6-O-[4-[(2S,3R)-1-(4-methoxyphenyl)-4-oxo-3-(3-phenylpropyl)-2-azetidinyl]phenyl]- (CA INDEX NAME)

Absolute stereochemistry.



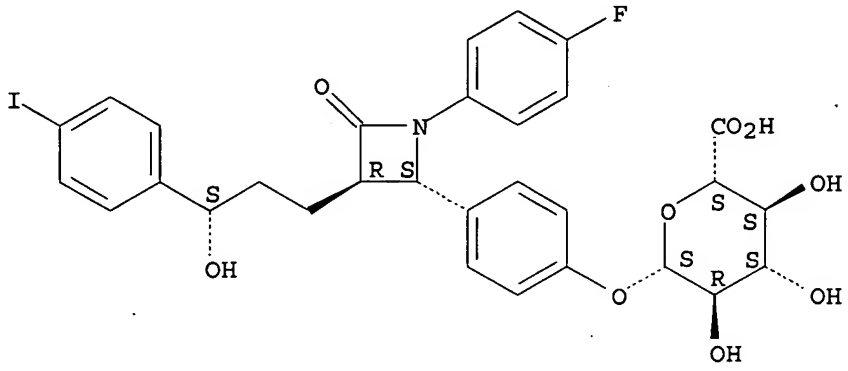
RN 190448-78-3 CAPLUS
CN β-D-Glucopyranosiduronic acid, 4-[(2S,3R)-1-(4-benzoylphenyl)-4-oxo-3-(3-phenylpropyl)-2-azetidinyl]phenyl (CA INDEX NAME)

Absolute stereochemistry.



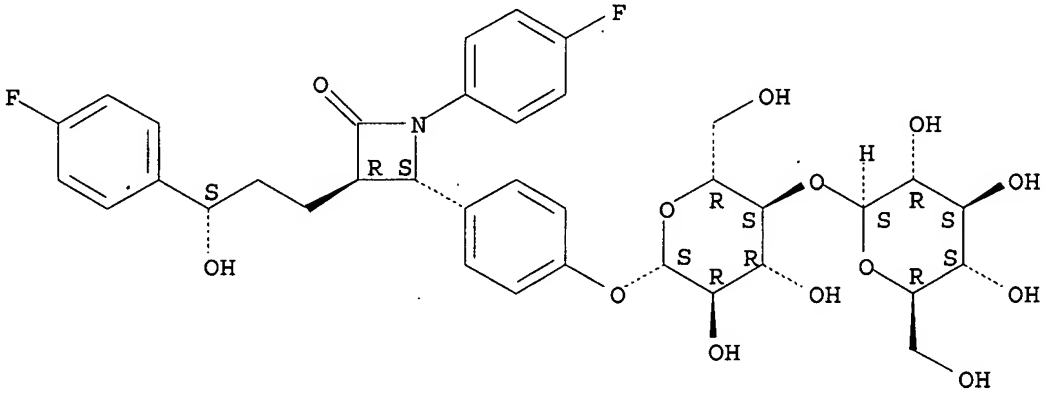
RN 190448-79-4 CAPLUS
CN β-D-Glucopyranosiduronic acid, 4-[(2S,3R)-1-(4-fluorophenyl)-3-[(3S)-3-hydroxy-3-(4-iodophenyl)propyl]-4-oxo-2-azetidinyl]phenyl (CA INDEX NAME)

Absolute stereochemistry.



RN 190450-53-4 CAPLUS
CN 2-Azetidinone, 1-(4-fluorophenyl)-3-[(3S)-3-(4-fluorophenyl)-3-hydroxypropyl]-4-[4-[(4-O-β-D-glucopyranosyl-β-D-glucopyranosyl)oxy]phenyl]-, (3R,4S)- (CA INDEX NAME)

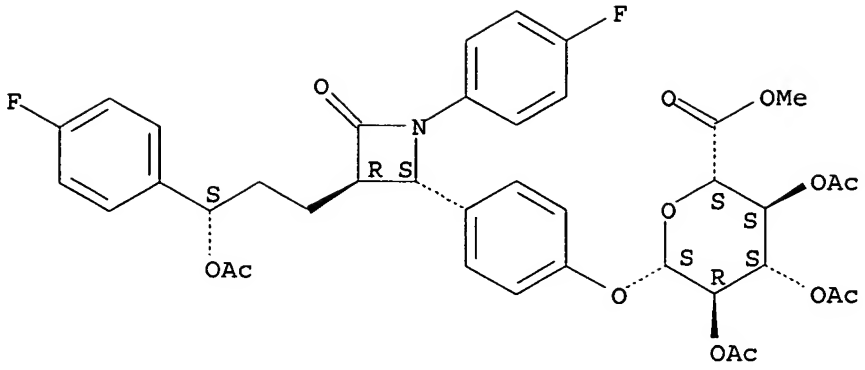
Absolute stereochemistry.



IT 190448-56-7P 190448-60-3P 190448-61-4P
190448-62-5P 190448-65-8P 190448-67-0P
190448-70-5P 190448-74-9P 190448-77-2P
190448-81-8P 190448-82-9P 190448-83-0P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of glycoside-substituted azetidinones useful as hypocholesterolemic agents)

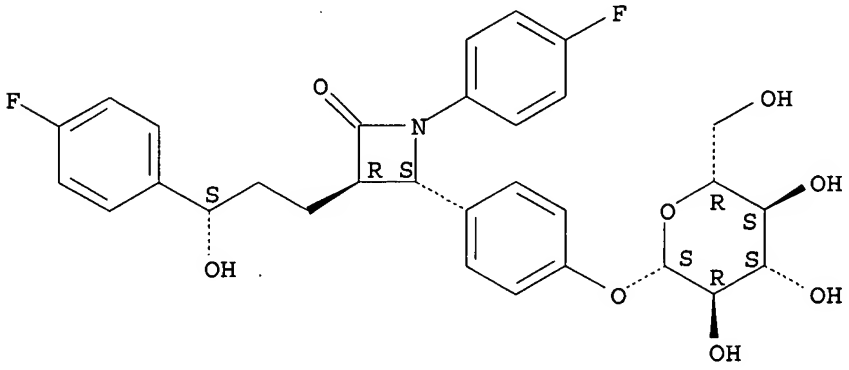
RN 190448-56-7 CAPLUS
CN β-D-Glucopyranosiduronic acid, 4-[(2S,3R)-3-[(3S)-3-(acetyloxy)-3-(4-fluorophenyl)propyl]-1-(4-fluorophenyl)-4-oxo-2-azetidinyl]phenyl, methyl ester, 2,3,4-triacetate (CA INDEX NAME)

Absolute stereochemistry.



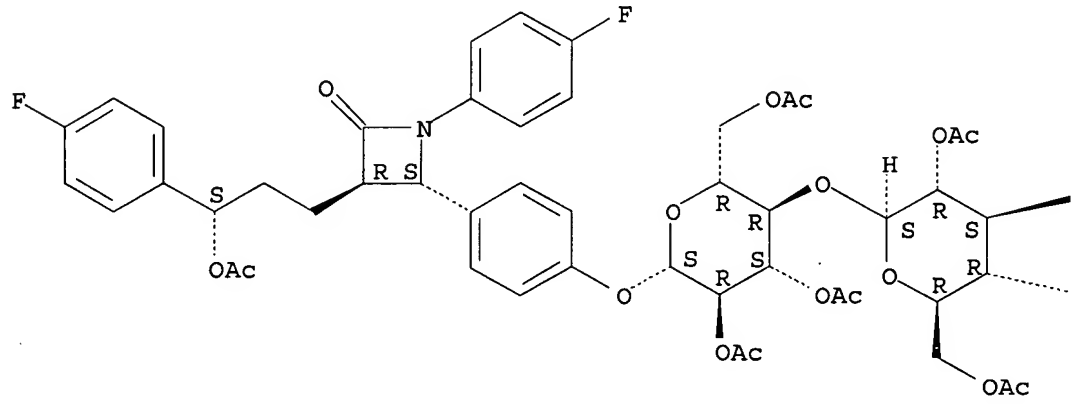
RN 190448-60-3 CAPLUS
CN 2-Azetidinone, 1-(4-fluorophenyl)-3-[(3S)-3-(4-fluorophenyl)-3-hydroxypropyl]-4-[4-(β-D-glucopyranosyloxy)phenyl]-, (3R,4S)- (CA INDEX NAME)

Absolute stereochemistry.



RN 190448-61-4 CAPLUS
CN 2-Azetidinone, 3-[(3S)-3-(acetyloxy)-3-(4-fluorophenyl)propyl]-1-(4-fluorophenyl)-4-[4-[[2,3,6-tri-O-acetyl-4-O-(2,3,4,6-tetra-O-acetyl-β-D-glucopyranosyl)-β-D-glucopyranosyl]oxy]phenyl]-, (3R,4S)- (CA INDEX NAME)

Absolute stereochemistry.

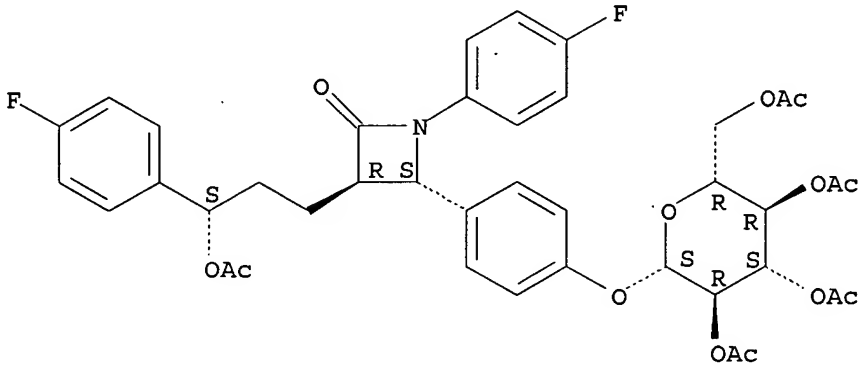


OAc

OAc

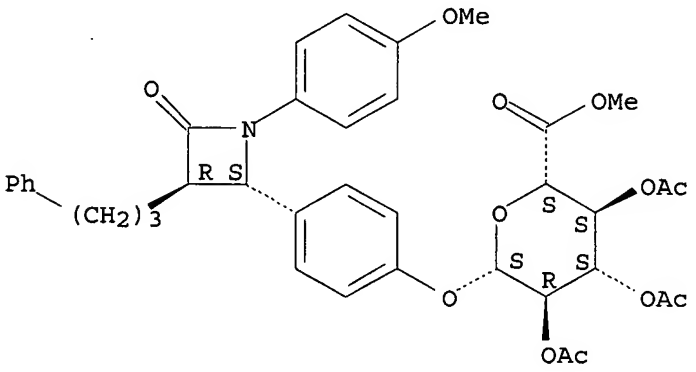
RN 190448-62-5 CAPLUS
CN 2-Azetidinone, 3-[(3S)-3-(acetyloxy)-3-(4-fluorophenyl)propyl]-1-(4-fluorophenyl)-4-[4-[(2,3,4,6-tetra-O-acetyl-β-D-glucopyranosyl)oxy]phenyl]-, (3R,4S)- (CA INDEX NAME)

Absolute stereochemistry.



RN 190448-65-8 CAPLUS
CN β-D-Glucopyranosiduronic acid, 4-[(2S,3R)-1-(4-methoxyphenyl)-4-oxo-3-(3-phenylpropyl)-2-azetidinyl]phenyl, methyl ester, 2,3,4-triacetate (CA INDEX NAME)

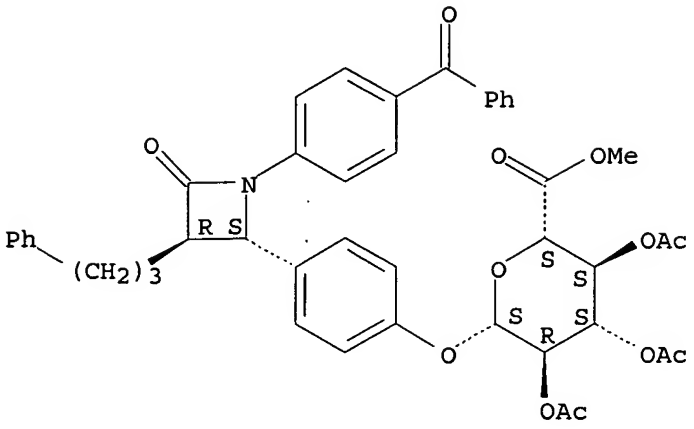
Absolute stereochemistry.



RN 190448-67-0 CAPLUS
CN β-D-Glucopyranosiduronic acid, 4-[(2S,3R)-1-(4-benzoylphenyl)-4-oxo-3-(3-phenylpropyl)-2-azetidinyl]phenyl, methyl ester, 2,3,4-triacetate (CA

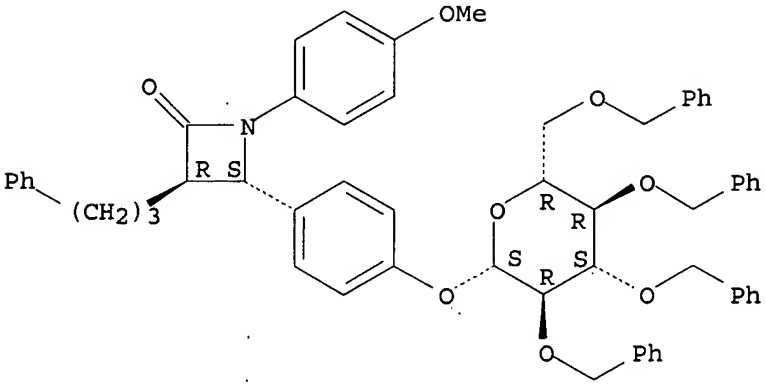
INDEX NAME)

Absolute stereochemistry.



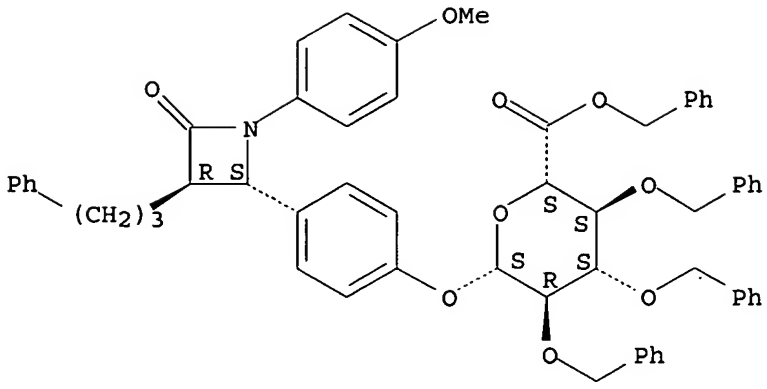
RN 190448-70-5 CAPLUS
CN 2-Azetidinone, 1-(4-methoxyphenyl)-3-(3-phenylpropyl)-4-[4-[[2,3,4,6-tetrakis-O-(phenylmethyl)-β-D-glucopyranosyl]oxy]phenyl]-, (3R,4S)-(CA INDEX NAME)

Absolute stereochemistry.



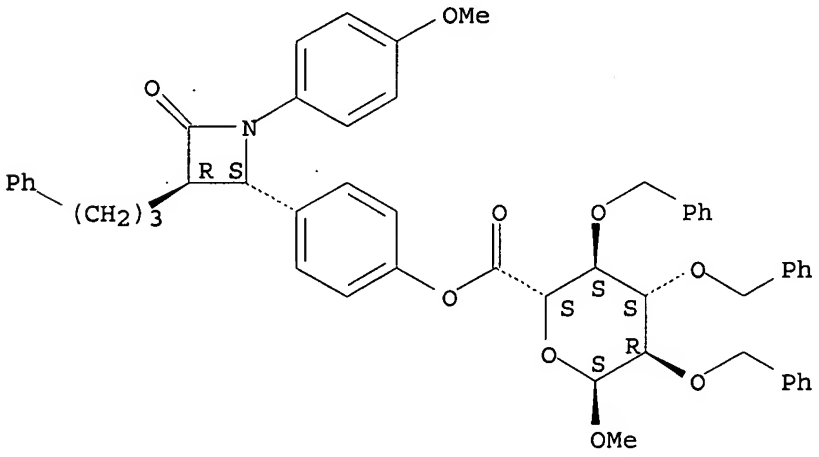
RN 190448-74-9 CAPLUS
CN β-D-Glucopyranosiduronic acid, 4-[(2S,3R)-1-(4-methoxyphenyl)-4-oxo-3-(3-phenylpropyl)-2-azetidinyl]phenyl 2,3,4-tris-O-(phenylmethyl)-, phenylmethyl ester (CA INDEX NAME)

Absolute stereochemistry.



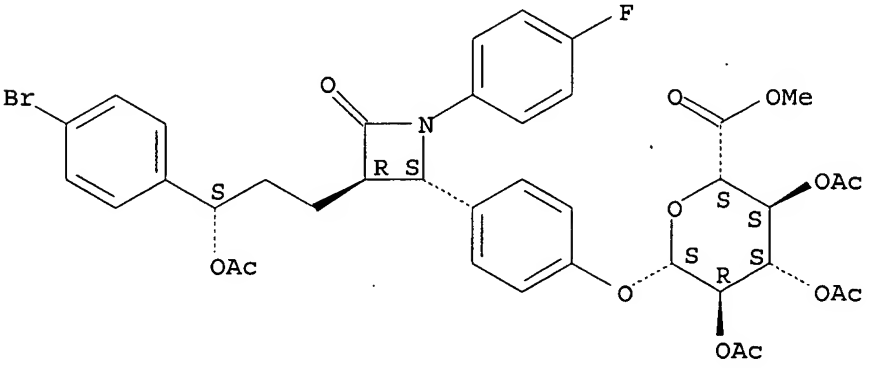
RN 190448-77-2 CAPLUS
CN α-D-Glucopyranosiduronic acid, methyl 2,3,4-tris-O-(phenylmethyl)-, 4-[(2S,3R)-1-(4-methoxyphenyl)-4-oxo-3-(3-phenylpropyl)-2-azetidinyl]phenyl ester (CA INDEX NAME)

Absolute stereochemistry.



RN 190448-81-8 CAPLUS
CN β-D-Glucopyranosiduronic acid, 4-[(2S,3R)-3-[(3S)-3-(acetyloxy)-3-(4-bromophenyl)propyl]-1-(4-fluorophenyl)-4-oxo-2-azetidinyl]phenyl, methyl ester, 2,3,4-triacetate (CA INDEX NAME)

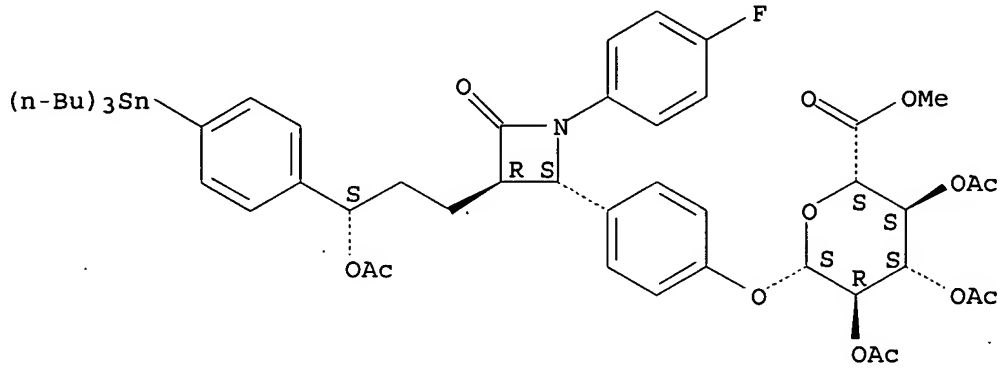
Absolute stereochemistry.



RN 190448-82-9 CAPLUS

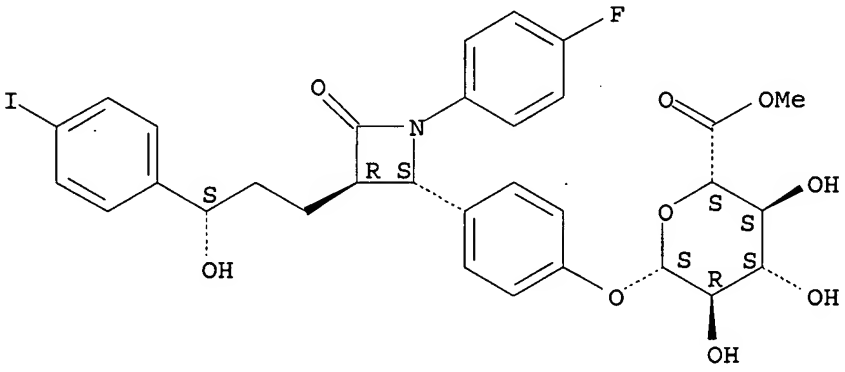
CN β-D-Glucopyranosiduronic acid, 4-[(2S,3R)-3-[(3S)-3-(acetyloxy)-3-[4-(tributylstannyl)phenyl]propyl]-1-(4-fluorophenyl)-4-oxo-2-azetidinyl]phenyl, methyl ester, 2,3,4-triacetate (CA INDEX NAME)

Absolute stereochemistry.



RN 190448-83-0 CAPLUS
CN β-D-Glucopyranosiduronic acid, 4-[(2S,3R)-1-(4-fluorophenyl)-3-[(3S)-3-hydroxy-3-(4-iodophenyl)propyl]-4-oxo-2-azetidinyl]phenyl, methyl ester (CA INDEX NAME)

Absolute stereochemistry.



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     (FILE 'HOME' ENTERED AT 13:04:19 ON 29 DEC 2007)

     FILE 'CASREACT' ENTERED AT 13:04:39 ON 29 DEC 2007

     FILE 'REGISTRY' ENTERED AT 13:04:46 ON 29 DEC 2007
L1               STRUCTURE UPLOADED
L2             0 S L1 SSS SAM
L3             0 S L1 SSS FULL
L4               STRUCTURE UPLOADED
L5             0 S L4 SSS SAM
L6             1 S L4 SSS FULL
L7               STRUCTURE UPLOADED
L8             2 S L7 SSS SAM
L9            36 S L7 SSS FULL
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=> d his

     (FILE 'HOME' ENTERED AT 13:04:19 ON 29 DEC 2007)

     FILE 'CASREACT' ENTERED AT 13:04:39 ON 29 DEC 2007

     FILE 'REGISTRY' ENTERED AT 13:04:46 ON 29 DEC 2007
L1              STRUCTURE UPLOADED
L2            0 S L1 SSS SAM
L3            0 S L1 SSS FULL
L4              STRUCTURE UPLOADED
L5            0 S L4 SSS SAM
L6            1 S L4 SSS FULL
L7              STRUCTURE UPLOADED
L8            2 S L7 SSS SAM
L9           36 S L7 SSS FULL
```

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=> d his

     (FILE 'HOME' ENTERED AT 18:06:44 ON 29 DEC 2007)

     FILE 'REGISTRY' ENTERED AT 18:07:56 ON 29 DEC 2007
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L3           0 S L1 SSS FULL
L4             STRUCTURE UPLOADED
L5           4 S L4 SSS SAM
L6          68 S L4 SSS FULL
L7             STRUCTURE UPLOADED
L8           4 S L7 SSS SAM
L9          68 S L7 SSS FULL

     FILE 'CAPLUS, MEDLINE' ENTERED AT 18:11:41 ON 29 DEC 2007
L10         12 S L6
L11         12 S L9
L12          0 S L10 NOT L11
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=> d his

     (FILE 'HOME' ENTERED AT 18:06:44 ON 29 DEC 2007)

     FILE 'REGISTRY' ENTERED AT 18:07:56 ON 29 DEC 2007
L1             STRUCTURE UPLOADED
L2           0 S L1
L3           0 S L1 SSS FULL
L4             STRUCTURE UPLOADED
L5           4 S L4 SSS SAM
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L7             STRUCTURE UPLOADED
L8           4 S L7 SSS SAM
L9          68 S L7 SSS FULL

     FILE 'CAPLUS, MEDLINE' ENTERED AT 18:11:41 ON 29 DEC 2007
L10         12 S L6
L11         12 S L9
L12          0 S L10 NOT L11
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